

=> fil casreact

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FILE CONTENT:1840 - 17 Apr 2005 VOL 142 ISS 16

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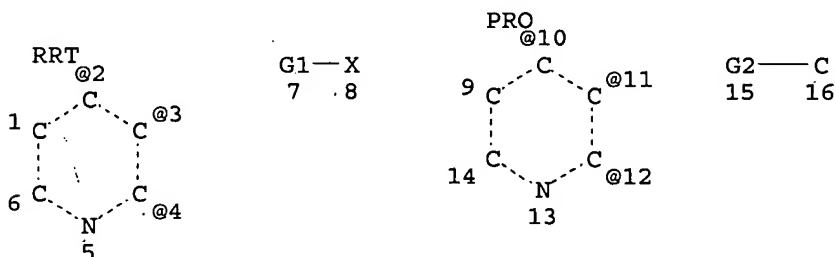
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*   CASREACT now has more than 8 million reactions
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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d sta que 16

L1 STR



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VAR G2=10/11/12

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DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

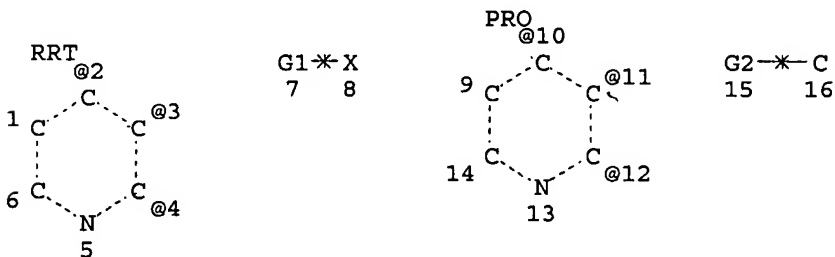
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RING(S) ARE ISOLATED OR EMBEDDED

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STEREO ATTRIBUTES: NONE

L3 STR



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VAR G2=10/11/12
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GRAPH ATTRIBUTES:
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NUMBER OF NODES IS 16

STEREO ATTRIBUTES: NONE
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L6 2389 SEA FILE=CASREACT SUB=L5 SSS FUL L3 (24843 REACTIONS)

100.0% DONE 62854 VERIFIED 24843 HIT RXNS 2389 DOCS
SEARCH TIME: 00.00.03

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L3 STR L1
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SAV TEMP L5 ZINNA677/A
L6 2389 S L3 FUL SUB=L5
SAV TEMP L6 ZINNA677A/A
L7 31 S L6 AND (C OR CARBON?) (L) ELECTROPHIL?
L8 0 S L6 AND (C OR CARBON?) () ELECTROPHIL?

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L9 137 S L6 AND (LI OR LITHIUM)
L10 0 S L6 AND (ARYL OR ALKYL) () CYANATE
L11 106 S L6 AND ?CYANAT?
L12 8 S L6 AND OXIRAN?
L13 3 S L9 AND L11,L12
L14 8 S L7 AND L9
L15 10 S L13,L14
L16 9 S L15 NOT ORTHO/TI
E MEUDT A/AU
L17 26 S E4
E ERBES M/AU
L18 7 S E4
E FORSTINGER K/AU
L19 16 S E4
E FOERSTINGER K/AU
L20 3 S L17-L19 AND L5
L21 3 S L20 AND L6
L22 1 S L21 AND L7,L9,L11-L16
L23 2 S L21 NOT L22
L24 332 S L6 AND LITH?
L25 10 S L24,L9 AND L11
L26 2 S L24,L9 AND OXIRAN?
L27 8 S L25,L26 NOT L16
L28 19 S L16,L22,L23,L27

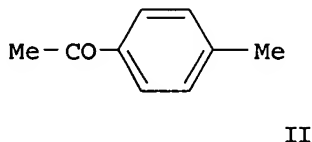
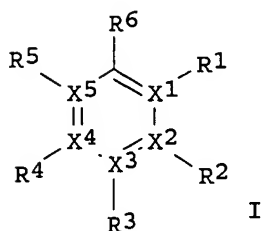
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 L30 5 S L28 NOT L29

FILE 'CASREACT' ENTERED AT 08:41:59 ON 21 APR 2005

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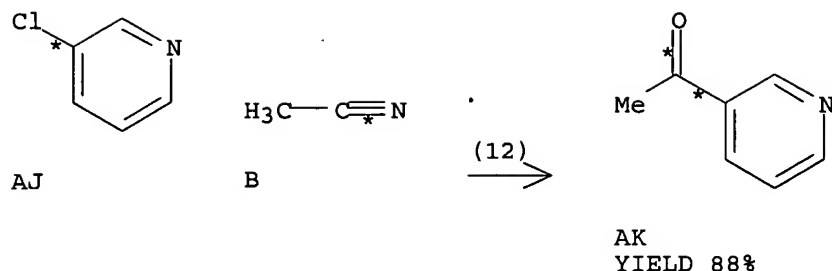
L29 ANSWER 1 OF 14 CASREACT COPYRIGHT 2005 ACS on STN
 AN 138:73075 CASREACT
 TI Process for the preparation of substituted aromatics via lithiation and electrophilic alkylation of haloaromatics
 IN Meudt, Andreas; Erbes, Michael; Forstinger, Klaus
 PA Clariant G.m.b.H., Germany
 SO Eur. Pat. Appl., 11 pp.
 CODEN: EPXXDW
 DT Patent
 LA German
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 1270535	A2	20030102	EP 2002-12763	20020608
	EP 1270535	A3	20040218		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	DE 10155209	A1	20030109	DE 2001-10155209	20011109
	US 2003018192	A1	20030123	US 2002-171444	20020613
	US 6657093	B2	20031202		
	JP 2003073308	A2	20030312	JP 2002-180218	20020620
	US 2004073032	A1	20040415	US 2003-677412	20031002
PRAI	DE 2001-10129765		20010620		
	DE 2001-10155209		20011109		
	US 2002-171444		20020613		
OS	MARPAT 138:73075				
GI					



AB A process for the preparation of compds. I [R1 - R5 = H, (un)substituted alkyl, alkoxy, etc.; R6 = aryl, alkyl] via the lithiation and electrophilic alkylation of haloaroms. I [R1 - R5 = H, (un)substituted alkyl, alkoxy, etc.; R6 = Cl, F] is disclosed. For example, a mixture of p-chlorotoluene (1 mol) and acetonitrile (1.1 mol) was added to a suspension of lithium (2.0 mol) in THF (350 mL) at -50.degree.C. After stirring for 7.5 h, the reaction was quenched with water, the pH adjusted to 2.0 and the mixture heated at reflux for 2 h. The reaction was cooled, extracted with petroleum ether and the combined organic layers were distilled to provide acetophenone II in 99% yield. The preparation of approx. 12-specific examples of compds. I are disclosed.

RX(12) OF 13 AJ + B ==> AK



RX(12) RCT AJ 626-60-8, B 75-05-8

STAGE(1)

RGT D 7439-93-2 Li
 SOL 109-99-9 THF

STAGE(2)

RGT E 7647-01-0 HCl
 SOL 109-99-9 THF, 7732-18-5 Water
 PRO AK 350-03-8

L29 ANSWER 2 OF 14 CASREACT COPYRIGHT 2005 ACS on STN

AN 136:279303 CASREACT

TI Regiochemical flexibility: the optional functionalization of
 2,3,5-trihalopyridines at the 4- or 6-position

AU Bobbio, Carla; Schlosser, Manfred

CS Section de Chimie (BCh), Universite de Lausanne, Lausanne, 1015, Switz.

SO European Journal of Organic Chemistry (2001), (23), 4533-4536

CODEN: EJOCFK; ISSN: 1434-193X

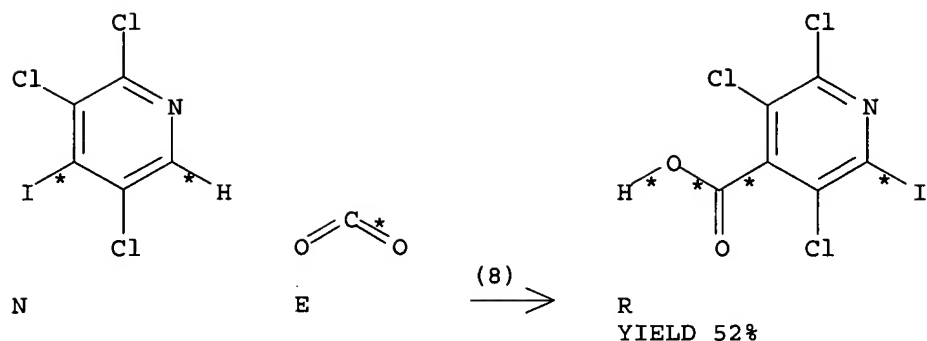
PB Wiley-VCH Verlag GmbH

DT Journal

LA English

AB A deprotonation study was performed using 2,3,5-trichloropyridine, 3,5-dichloro-2-fluoropyridine, and 5-chloro-2,3-difluoropyridine as the substrates. Upon reaction with lithium diisopropylamide (LDA), deprotonation occurred exclusively at the 4-position. Subsequent carboxylation and iodination led to the acids and 4-iodopyridines. The exposure of the latter compds. to lithium 2,2,6,6-tetramethylpiperidide (LITMP) caused deprotonation and immediately ensuing iodine migration. The intermediates were trapped with dry ice to afford the carboxylic acids. Upon neutralization, the 6-iodopyridines were obtained. These compds. readily exchanged the heavy halogen for metal when treated with isopropylmagnesium chloride. In this way, functional groups could be selectively introduced in the 6-position. Employing carbon dioxide routinely as the model electrophile, trihalopyridinecarboxylic acids were formed which, all unknown so far, should provide valuable new building blocks for pharmaceutical research. Moreover, the selective nucleophilic displacement of the halogen at the 2-position could give rise to an immense variety of new structures.

RX(8) OF 33 ...N + E ==> R



RX(8) RCT N 406676-23-1

STAGE(1)

RGT S 768-66-1 Me4-piperidine, T 109-72-8 BuLi
 SOL 109-99-9 THF

STAGE(2)

RCT E 124-38-9

STAGE(3)

RGT H 7647-01-0 HCl
 SOL 7732-18-5 Water

PRO R 406676-28-6

NTE regioselective, in-situ generated reagent

RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Finger, G	1963	28	1666	J Org Chem	CAPLUS
Kumai, S	1990			JP 04164068	CAPLUS
Mallet, M	1982	38	3035	Tetrahedron	CAPLUS
Marzi, E	2001		1371	Eur J Org Chem	CAPLUS
Marzi, E	2001		2771	Eur J Org Chem	
Metzger, H	1959	112	337	Houben-Weyl: Methode	
Mongin, F	1996	37	2767	Tetrahedron Lett	CAPLUS
Mongin, F	1998	39	1749	Tetrahedron Lett	CAPLUS
Schach, T	1996			US 5498807	CAPLUS
Venugopal, B	1996			EP 710649	CAPLUS
Ziegler, K	1929	473	1	Justus Liebigs Ann C	CAPLUS

L29 ANSWER 3 OF 14 CASREACT COPYRIGHT 2005 ACS on STN

AN 136:134729 CASREACT

TI Cyclic ureas as ortho directing substituents

AU Meigh, Jon-Paul; Alvarez, Mercedes; Joule, John A.

CS Chemistry Department, The University of Manchester, Manchester, M13 9PL, UK

SO Journal of the Chemical Society, Perkin Transactions 1 (2001), (17), 2012-2021

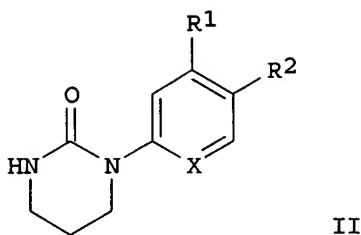
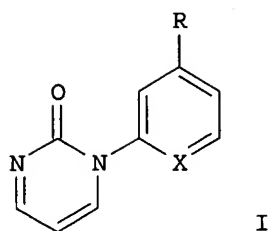
CODEN: JCSPCE; ISSN: 1472-7781

PB Royal Society of Chemistry

DT Journal

LA English

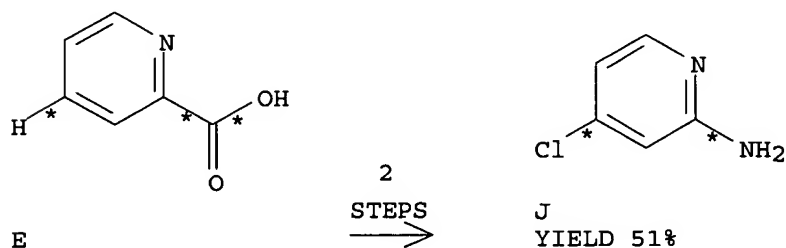
GI



AB Six-membered cyclic ureas are shown to have a weak ortho directing ability when linked through nitrogen to benzene and pyridine rings. Aryl dihydropyrimidinones I (R = H, MeO; X = CH, N) were prepared; under lithiation conditions, reaction of I (R = MeO; X = CH) with tert-butyllithium gave the product of addition to the pyrimidinone ring rather than products derived from lithiation of the aryl ring. Aryl hexahydropyrimidinones II (R1 = H, MeO, Cl; R2 = H, MeO; X = CH, N) were prepared in two steps from the aryl amines by treatment with 3-chloropropyl isocyanate and cyclization of the chloropropyl urea with potassium t-butoxide. Methoxyphenyl hexahydropyrimidinone II (R1 = MeO; R2 = H; X = CH) underwent regioselective lithiation between the methoxy group and the cyclic urea moiety followed by alkylation or addition reactions with Me iodide, trimethylsilyl chloride, pivaldehyde, and benzoyl chloride; lithiation of II (R1 = H; R2 = MeO; X = CH) under the same conditions followed by trapping with trimethylsilyl chloride gave a regioisomeric mixture derived from lithiation ortho to either the methoxy or the cyclic urea moieties. Methoxypyridinyl hexahydropyrimidinone II (R1 = MeO; R1 = H; X = N) was methylated regioselectively at the pyridine ring by treatment with one equivalent of butyllithium, one equivalent of trimethylsilyl chloride, and treatment with a second equivalent of butyllithium followed by Me iodide; without trimethylsilylation of the urea moiety, the pyridine ring underwent addition of butyllithium rather than lithiation. Under certain conditions, cyclic ureas can have comparable directing effects to methoxy groups.

RX(26) OF 56 COMPOSED OF RX(2), RX(3)

RX(26) E ==> J



RX(2) RCT E 98-98-6

STAGE(1)

RGT G 7719-09-7 SOCl₂, H 7647-15-6 NaBr

STAGE(2)

RGT C 7664-41-7 NH₃

SOL 109-99-9 THF

PRO F 99586-65-9

RX(3) RCT F 99586-65-9

STAGE(1)

RGT K 1310-58-3 KOH, L 7726-95-6 Br2

SOL 7732-18-5 Water, 123-91-1 Dioxane

STAGE(2)

RGT M 64-19-7 AcOH

PRO J 19798-80-2

RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Abramovitch, R	1988	44	3039	Tetrahedron	CAPLUS
Alvarez, M	1999		249	J Chem Soc, Perkin T	CAPLUS
Alvarez, M	1999		615	Synthesis	CAPLUS
Alvarez, M	2001	42	315	Tetrahedron Lett	CAPLUS
Anderson, D	1999	121	7553	J Am Chem Soc	CAPLUS
Anon				http://www.chem.quee	
Artz, S	1984	106	2160	J Am Chem Soc	CAPLUS
Brown, D	1968	21	243	Aust J Chem	CAPLUS
Brown, D	1950	165	1010	Nature	CAPLUS
Chan, D	1996	37	9013	Tetrahedron Lett	CAPLUS
Farbenfabriken Bayer A-	1962			DE 1126392	CAPLUS
Finet, J	1989	89	1487	Chem Rev	CAPLUS
Gerchuk, M	1950	20	910	Zh Org Khim	CAPLUS
Godard, A	1988	354	273	Organometal Chem	CAPLUS
Gschwend, H	1979	26	1	Org React	CAPLUS
Hassel, T	1979	18	399	Angew Chem, Int Ed E	
Iwakura, Y	1966	31	1651	J Org Chem	CAPLUS
Karady, S	1979	12	815	Heterocycles	CAPLUS
Kurtzer, F	1963	4	49	Org Synth	
Nishimoto, N	1962	82	1267	Yakugaku Zasshi	CAPLUS
Nishio, T	1981		943	J Chem Soc, Perkin T	CAPLUS
Nolte, R	1984	106	1416	J Am Chem Soc	CAPLUS
Perrin, D	1980			Purification of labo	
Perry, N	1994	50	3987	Tetrahedron	CAPLUS
Queguiner, G	1991	52	187	Adv Heterocycl Chem	CAPLUS
Smith, K	1999		2305	J Chem Soc, Perkin T	CAPLUS
Snieckus, V	1990	90	879	Chem Rev	CAPLUS
Still, C	1978	43	2923	J Org Chem	
Sundberg, R	1997	29	117	Org Prep Proced Int	CAPLUS
Swan, G	1974		885	J Chem Soc, Perkin T	CAPLUS
Thavonekham, B	1997		1189	Synthesis	CAPLUS
Trimurtulu, G	1994	50	3993	Tetrahedron	CAPLUS
Undheim, K	1990	30	1155	Heterocycles	CAPLUS
Varlet, D	2000	53	797	Heterocycles	CAPLUS
Wachi, K	1980	28	465	Chem Pharm Bull	CAPLUS
Watson, S	1967	9	165	J Organomet Chem	CAPLUS

L29 ANSWER 4 OF 14 CASREACT COPYRIGHT 2005 ACS on STN

AN 135:331353 CASREACT

TI Synthesis of intermediates useful in preparing tricyclic compounds

IN Poirier, Marc; Wong, Yee-shing; Wu, George G.

PA Schering Corp., USA

SO PCT Int. Appl., 22 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.

KIND DATE

APPLICATION NO. DATE

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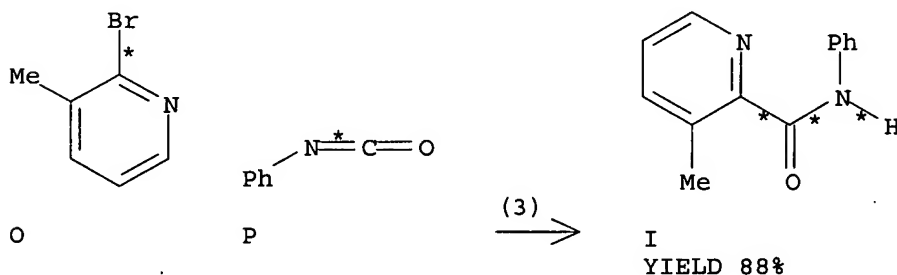
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        IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG,
        MK, MN, MX, MZ, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ,
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        TJ, TM
      RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
        DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
        BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
      CA 2406384      AA    20011025      CA 2001-2406384  20010417
      US 2002035261   A1    20020321      US 2001-836605   20010417
      US 6492519      B2    20021210
      EP 1274688      A1    20030115      EP 2001-927122   20010417
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      JP 2004501081   T2    20040115      JP 2001-576776   20010417
      US 2003050319   A1    20030313      US 2002-174145   20020618
      US 6750347      B2    20040615
PRAI US 2000-198341P 20000418
      US 2001-836605  20010417
      WO 2001-US12494 20010417
OS   MARPAT 135:331353
GI

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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB A process is provided for preparing the title compds. [I; R = H, Cl] comprising: (a) reacting II [M = Li, Na, K, MgX, ZnRA, and Al(RA)₂; RA = alkyl; X = halo] with an **isocyanate** R₁NCO [R₁ = alkyl, aryl, aralkyl, etc.] to produce III; (b) optionally hydrolyzing III to form an amide IV; (c) reacting III or IV with a compound V [L = a leaving group] in the presence of a strong base to produce VI; and (d) cyclizing VI to obtain the compound I. Also provided is a process for preparing a compound VII comprising reacting II with CO₂ and a protonating agent.

RX(3) OF 7 O + P ==> I...



RX(3) RCT O 3430-17-9

STAGE(1)

RGT K 109-72-8 BuLi

SOL 110-71-4 (CH₂OMe)₂, 109-99-9 THF

STAGE(2)

RCT P 103-71-9

STAGE(3)

RGT L 12125-02-9 NH4Cl

SOL 7732-18-5 Water

PRO I 24691-94-9

RETABLe

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Birkenmeyer, R	1984	27	216	JOURNAL OF MEDICINAL	CAPLUS
Schering Corp	1998			WO 9842676 A	CAPLUS
Schering Corp	2000			WO 0030589 A	CAPLUS
Schickaneder Helmut	2000			WO 0005215 A	CAPLUS

L29 ANSWER 5 OF 14 CASREACT COPYRIGHT 2005 ACS on STN

AN 134:86165 CASREACT

TI Method for producing biaryls using palladaphosphacyclobutane catalysis

IN Geissler, Holger; Haber, Steffen; Meudt, Andreas; Vollmuller, Frank; Scherer, Stefan

PA Clariant G.m.b.H., Germany

SO PCT Int. Appl., 23 pp.

CODEN: PIXXD2

DT Patent

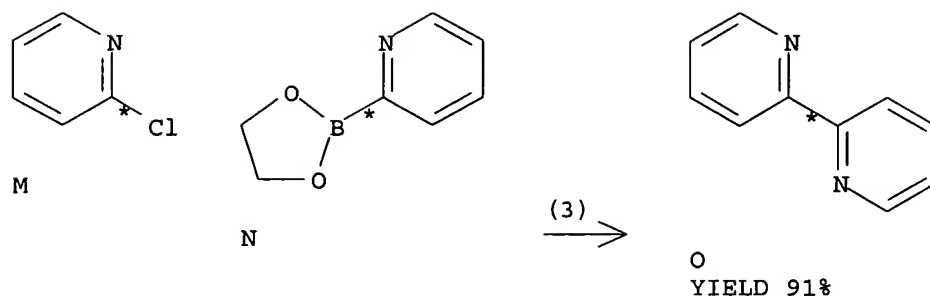
LA German

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001004076	A1	20010118	WO 2000-EP6435	20000707
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RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
DE 19932571	A1	20010118	DE 1999-19932571	19990713
EP 1200373	A1	20020502	EP 2000-947945	20000707
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
US 6392047	B1	20020521	US 2000-615486	20000713
PRAI DE 1999-19932571		19990713		
WO 2000-EP6435		20000707		

AB Biaryls, e.g., biphenyls, phenylpyridines, phenylfurans, phenylpyrroles, phenylthiophenes, bipyridines, pyridylfurans or pyridylpyrroles, are produced in high yields by coupling aromatic compds. with an aromatic boronic acid or boronic acid ester in the presence of a palladaphosphacyclobutane catalyst. Thus, a mixture of 50 mmol 2-chloropyridine, 50 mmol 2-pyridylboronic acid glycol ester, 25 mmol LiCl, 50 mmol KOH, 1 mmol Bu₄NCl, and 0.05 mmol trans-di-μ-acetatobis[2-[bis(1,1-dimethylethyl)phosphino]-2-methylpropyl-C,P]dipalladium in 150 mL THF was refluxed 5 h to give a 91% yield of 2,2'-bipyridine.

RX(3) OF 3 M + N ==> O



RX(3) RCT M 109-09-1, N 317810-27-8
 RGT P 1310-58-3 KOH, E 7447-41-8 LiCl
 PRO O 366-18-7
 CAT 207843-95-6 Palladium, bis[μ-(acetato-κO:κO')]bis[2-[bis(1,1-dimethylethyl)phosphino-κP]-2-methylpropyl-κC]di-, stereoisomer, 1112-67-0
 Bu4NCl
 SOL 142-96-1 Bu2O

RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Hoechst	1996			EP 0690046 A	CAPLUS
Hoechst	1998			DE 19647582 A	CAPLUS
Hoechst	1998			DE 19647584 A	CAPLUS

L29 ANSWER 6 OF 14 CASREACT COPYRIGHT 2005 ACS on STN

AN 132:78471 CASREACT

TI Procedure for the production of arylpyridines

IN Noerenberg, Antje; Haber, Steffen; Meudt, Andreas

PA Clariant G.m.b.H., Germany

SO Ger. Offen., 6 pp.

CODEN: GWXXBX

DT Patent

LA German

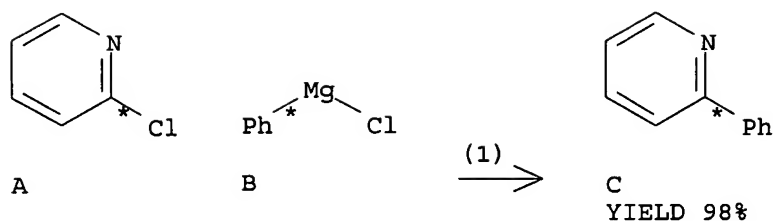
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19831246	A1	20000113	DE 1998-19831246	19980711
EP 972765	A1	20000119	EP 1999-112438	19990630
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US 6248892	B1	20010619	US 1999-346429	19990701
JP 2000204076	A2	20000725	JP 1999-198154	19990712
PRAI DE 1998-19831246		19980711		

OS MARPAT 132:78471

AB Arylpyridines are prepared by Grignard reaction of halopyridines with arylmagnesium halides in presence of a phosphinoferrocenepalladium catalyst. Thus, 2-chloropyridine was treated with PhMgCl in presence of 1,1'-bis(diphenylphosphino)ferrocenepalladium dichloride at 50° to give 98.1% 2-phenylpyridine.

RX(1) OF 1 A + B ==> C



RX(1) RCT A 109-09-1

STAGE(1)

CAT 72287-26-4 Palladium, [1,1'-bis(diphenylphosphino-κP)ferrocene]dichloro-, (SP-4-2)-

SOL 109-99-9 THF

STAGE(2)

RCT B 100-59-4

SOL 109-99-9 THF

STAGE(3)

RGT D 7732-18-5 Water

PRO C 1008-89-5

NTE claimed reaction

L29 ANSWER 7 OF 14 CASREACT COPYRIGHT 2005 ACS on STN

AN 131:322543 CASREACT

TI Preparation of 2-substituted pyridines via lithiation and electrophilic substitution

IN Kelly, Martha Jean; Weaver, Damian Gerard

PA Rohm and Haas Company, USA

SO Eur. Pat. Appl., 7 pp.

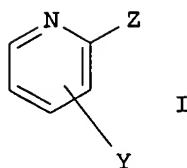
CODEN: EPXXDW

DT Patent

LA English

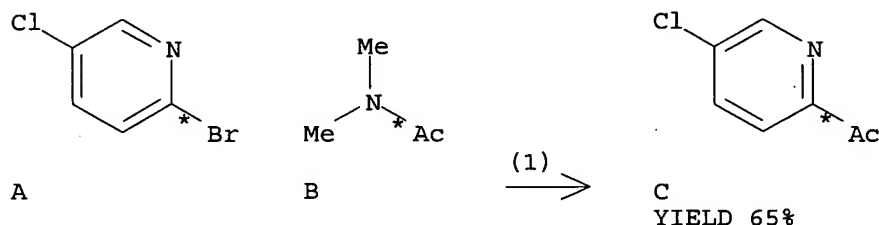
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 955291	A1	19991110	EP 1999-303341	19990428
	EP 955291	B1	20020710		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	CN 1238331	A	19991215	CN 1999-105986	19990426
	CN 1114593	B	20030716		
	IL 129596	A1	20031031	IL 1999-129596	19990426
	AU 9925003	A1	19991118	AU 1999-25003	19990429
	AU 748410	B2	20020606		
	US 6054583	A	20000425	US 1999-305410	19990505
	BR 9901985	A	20000502	BR 1999-1985	19990507
	JP 11335353	A2	19991207	JP 1999-128401	19990510
PRAI	US 1998-84685P		19980508		
OS	MARPAT 131:322543				
GI					



AB 2-Substituted pyridines (I; Y = a group that is not reactive with the lithium compds. under reaction conditions; Z = electrophile residue) are prepared in high yield via a metal-halogen exchange with sec-Bu lithium on optionally substituted 2-bromo or 2-iodopyridines and the resulting 2-lithiopyridine intermediate is then reacted with an electrophile to provide I. Thus, sec-Bu lithium was reacted with 2-bromo-5-chloropyridine and the lithiated intermediate reacted with N,N-dimethylacetamide to produce 2-acetyl-5-chloropyridine in 65% yield.

RX(1) OF 1 A + B ==> C



RX(1) RCT A 40473-01-6

STAGE(1)

SOL 60-29-7 Et2O

STAGE(2)

RGT D 598-30-1 s-BuLi

SOL 110-82-7 Cyclohexane

STAGE(3)

RCT B 127-19-5

SOL 60-29-7 Et2O

PRO C 94952-46-2

RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Dongwei, C	1996	37	2537	TETRAHEDRON LETTERS	
Gilman, H	1951	16	1788	JOURNAL OF ORGANIC C	CAPLUS
Reitz, D	1997			US 5602153 A	
Sandoz AG	1995			EP 0683156 A	CAPLUS

L29 ANSWER 8 OF 14 CASREACT COPYRIGHT 2005 ACS on STN

AN 115:256617 CASREACT

TI Synthesis of micrococcinic acid

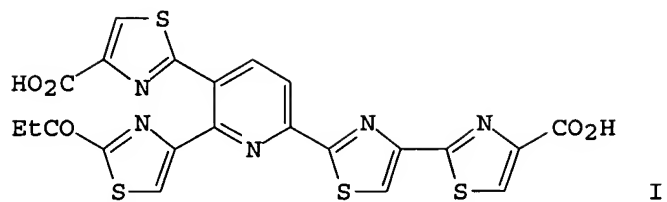
AU Kelly, T. Ross; Jagoe, Christopher T.; Gu, Zhengxiang

CS Dep. Chem., Boston Coll., Chestnut Hill, MA, 02167, USA

SO Tetrahedron Letters (1991), 32(34), 4263-6

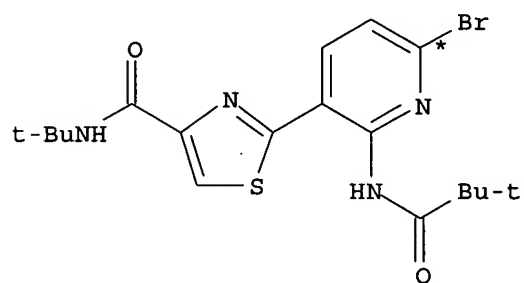
CODEN: TELEAY; ISSN: 0040-4039

DT Journal
LA English
GI

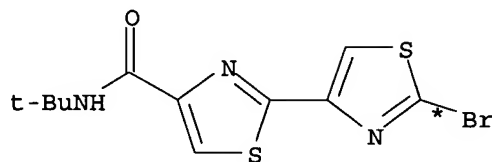


AB The first synthesis of micrococccinic acid (I) is described. The 5 rings of I are assembled from monocyclic precursors using 4 palladium-catalyzed biaryl coupling reactions.

RX(13) OF 338 ...AA + AC ==> AD

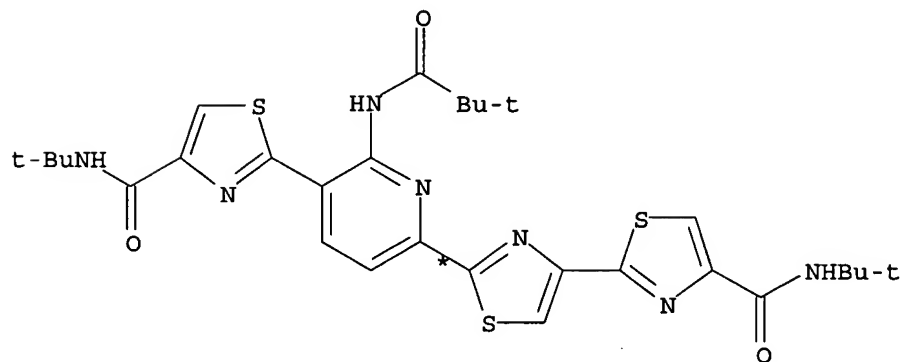


AA



AC

(13)
→

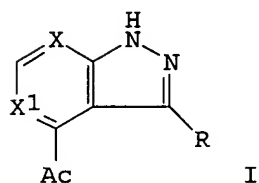


AD
YIELD 49%

RX(13) RCT AA 137310-09-9, AC 137337-78-1

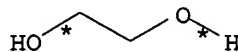
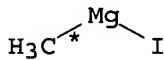
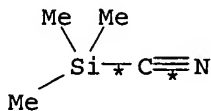
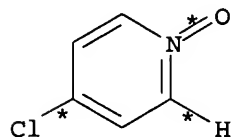
RGT T 661-69-8 Me3SnSnMe3
 PRO AD 137310-10-2
 CAT 13965-03-2 PdCl2(PPh3)2
 NTE key step

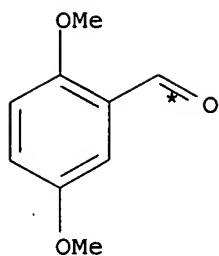
L29 ANSWER 9 OF 14 CASREACT COPYRIGHT 2005 ACS on STN
 AN 112:198209 CASREACT
 TI Synthesis of 3-aryl-4-acetyl-1H-pyrazolo[3,4-b]pyridines and
 3-aryl-4-acetyl-1H-pyrazolo[4,3-c]pyridines
 AU Bisagni, Emile; Rautureau, Marilys; Huel, Christiane
 CS Lab. Synth. Org., Inst. Curie, Orsay, 91405, Fr.
 SO Heterocycles (1989), 29(9), 1815-24
 CODEN: HTCYAM; ISSN: 0385-5414
 DT Journal
 LA English
 GI



AB 4-Acetyl-2-chloro-3-lithiopyridine ethylene glycol ketal and
 2-acetyl-4-chloro-3-lithiopyridine ethylene glycol ketal were
 reacted with aromatic aldehydes. Oxidation of the resulting alcs. provided the
 corresponding 3-arylpuridines. These intermediates were transformed by
 N2H4 to 4-acetyl-3-aryl-1H-pyrazolo[3,4-b]- and -[4,3-c]pyridine ethylene
 glycol ketals, which afforded the title compds. I [X = N, X1 = CH; X = CH,
 X1 = N; R = 2,5-(MeO)2C6H3, 3,4,5-(MeO)3C6H2, 4-pyridyl], resp., by acid
 hydrolysis.

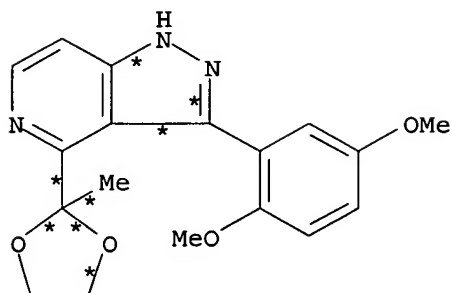
RX(110) OF 133 COMPOSED OF RX(1), RX(4), RX(5), RX(9), RX(15), RX(21)
 RX(110) A + B + K + N + S ==> AS





S

6
STEPS
→



AS

YIELD 62%

RX(1) RCT A 1121-76-2, B 7677-24-9

STAGE(1)

SOL 75-09-2 CH₂Cl₂

STAGE(2)

RGT D 79-44-7 Me₂NCOC1

PRO C 19235-89-3

RX(4) RCT C 19235-89-3, K 917-64-6

PRO L 60159-37-7

SOL 60-29-7 Et₂O

RX(5) RCT L 60159-37-7, N 107-21-1

RGT P 104-15-4 TsOH

PRO O 126866-46-4

SOL 71-43-2 Benzene

RX(9) RCT O 126866-46-4

STAGE(1)

RGT U 4111-54-0 LiN(Pr-i)₂

SOL 109-99-9 THF, 110-54-3 Hexane

STAGE(2)

RCT S 93-02-7

SOL 109-99-9 THF

PRO AB 126866-49-7

RX(15) RCT AB 126866-49-7

STAGE(1)

RGT AG 67-68-5 DMSO, AF 79-37-8 (COCl)₂
 SOL 75-09-2 CH₂Cl₂

STAGE(2)

RGT AH 121-44-8 Et₃N
 PRO AK 126866-55-5

RX(21) RCT AK 126866-55-5
 RGT AP 302-01-2 N₂H₄
 PRO AS 126866-61-3

L29 ANSWER 10 OF 14 CASREACT COPYRIGHT 2005 ACS on STN

AN 110:173720 CASREACT

TI Synthesis of thyroid hormone analogs. Part 1. Preparation of 3'-heteroarylmethyl-3,5-diiodo-L-thyronines via phenol-dinitrophenol condensation and relationships between structure and selective thyromimetic activity

AU Leeson, Paul D.; Emmett, John C.

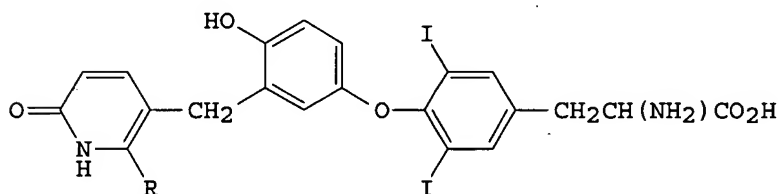
CS Smith Kline and French Res. Ltd., Welwyn/Hertfordshire, AL6 9AR, UK

SO Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1988), (12), 3085-96
 CODEN: JCPRB4; ISSN: 0300-922X

DT Journal

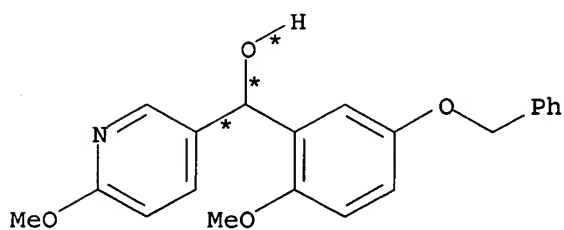
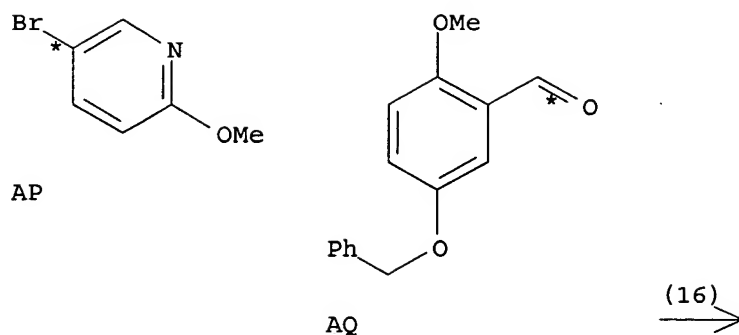
LA English

GI



AB 3'-Heteroarylmethyl analogs, e.g. I (R = H, F), of the natural thyroid hormone 3,3',5-triiodo-L-thyronine (T₃) were synthesized as potential selective (cardiac-sparing) thyromimetics. The di-Ph ether moiety was constructed by condensation of 3-substituted 4-methoxyphenols with a 3,5-dinitro-L-tyrosine derivative. Synthesis of the key phenols required the in situ preparation, at low temps., of novel metalated species, e.g. 2-lithio-5-methoxypyridine, and 2,6-difluoro-3-lithiopyridine, followed by reaction with 2,4-MeO(PhCH₂O)C₆H₃CHO. Structure-activity relationships indicate that selective thyromimetic activity is associated with 2-oxyheteroaren-5-ylmethyl 3'-substitution, as found in the pyridone I (R = H). The location of the oxy substituent in the heterocycle is critical for both hormonal activity and for binding to the T₃ receptor.

RX(16) OF 216 AP + AQ ==> AB...



YIELD 72%

RX(16) RCT AP 13472-85-0

STAGE(1)

RGT AR 109-72-8 BuLi

SOL 110-54-3 Hexane, 109-99-9 THF

STAGE(2)

RCT AQ 52329-06-3

SOL 109-99-9 THF

PRO AB 105211-18-5

L29 ANSWER 11 OF 14 CASREACT COPYRIGHT 2005 ACS on STN

AN 110:115292 CASREACT

TI Selective thyromimetics. Cardiac-sparing thyroid hormone analogs containing 3'-arylmethyl substituents

AU Leeson, Paul D.; Emmett, John C.; Shah, Virendra P.; Showell, Graham A.; Novelli, Ricardo; Prain, H. Douglas; Benson, Martin G.; Ellis, David; Pearce, Nigel J.; Underwood, Anthony H.

CS Smith Kline French Res. Ltd., Frythe/Welwyn, AL6 9AR, UK

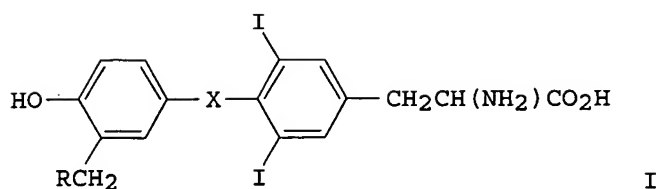
SO Journal of Medicinal Chemistry (1989), 32(2), 320-36

CODEN: JMCMAR; ISSN: 0022-2623

DT Journal

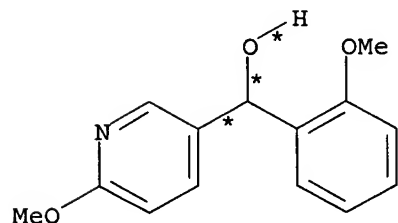
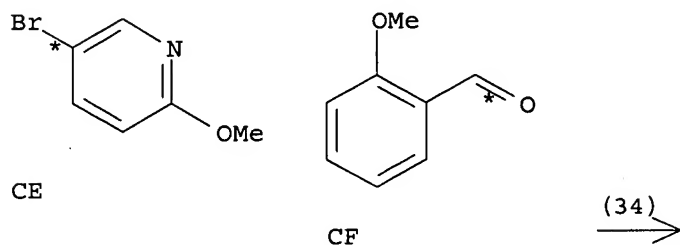
LA English

GI



AB Introduction of specific arylmethyl groups at the 3'-position of the thyroid hormone 3,3',5'-triiodo-L-thyronine (T3), and its known hormonally active derivs., gives liver-selective, cardiac-sparing thyromimetics (e.g., I, X = O, S; R = aryl group), with potential utility as plasma cholesterol lowering agents. Correlations between in vivo and in vitro receptor binding affinities show that liver/heart selectivity does not depend on receptor recognition but on penetration or access to receptors in vivo. QSAR studies of the binding data of a series of 20 3'-arylmethyl T3 analogs show that electroneg. groups at the para position increase both receptor binding and selectivity in vivo. However, increasing 3'-arylmethyl hydrophobicity increases receptor binding but reduces selectivity. Substitution at ortho and meta positions reduces both binding and selectivity. Replacement of the 3,5-iodo groups by halogen or Me maintains selectivity, with 3,5-dibromo analogs in particular having increased potency combined with oral bioavailability. Di-Ph thioether derivs. also have improved potency but are less orally active. At the 1-position, the D enantiomer retains selectivity, but removal of the α -amino to give a propionic acid results in loss of selective thyromimetic activity.

RX(34) OF 318 CE + CF ==> CG



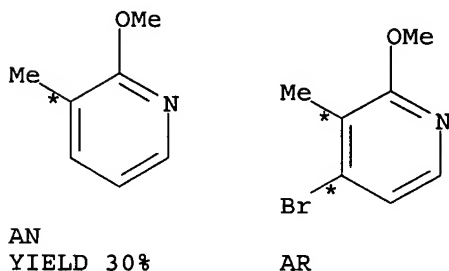
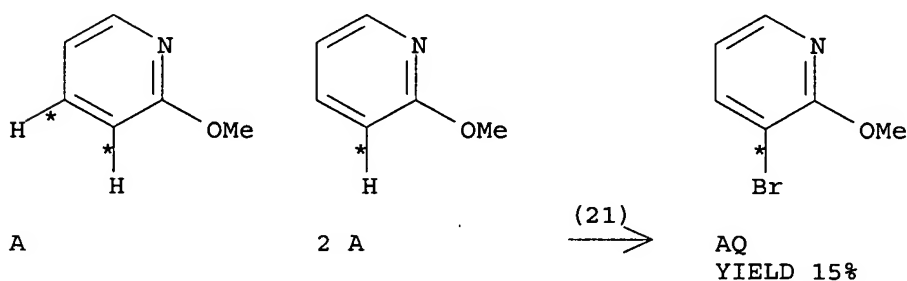
CG
YIELD 63%

RX(34) RCT CE 13472-85-0, CF 135-02-4
 RGT CH 109-72-8 BuLi
 PRO CG 105189-38-6

SOL 109-99-9 THF

L29 ANSWER 12 OF 14 CASREACT COPYRIGHT 2005 ACS on STN
 AN 108:150267 CASREACT
 TI Catalyzed metalation applied to 2-methoxypyridine
 AU Treccourt, F.; Mallet, M.; Marsais, F.; Queguiner, G.
 CS Lab. Chim. Org. Fine Heterocycl., INSA ROUEN, Mont Saint Aignan, 76130, Fr.
 SO Journal of Organic Chemistry (1988), 53(7), 1367-71
 CODEN: JOCEAH; ISSN: 0022-3263
 DT Journal
 LA English
 AB Treatment of 2-methoxypyridine (I) with MeLi and a catalytic amount of (Me₂CH)₂NH gives the 3-lithio derivative of I (II) regioselectively. II reacts with a variety of electrophiles (e.g., ketones or alkyl halides) to give 20-70% addition or substitution products. The catalytic role of (Me₂CH)₂NH is discussed.

RX(21) OF 29 3 A ==> AQ + AN + AR



RX(21) RCT A 1628-89-3

STAGE(1)

RGT J 917-54-4 MeLi

CAT 108-18-9 i-Pr₂NHSOL 109-99-9 THF, 60-29-7 Et₂O

STAGE(2)

RGT AS 7726-95-6 Br₂

PRO AQ 13472-59-8, AN 19230-59-2, AR 112197-12-3

L29 ANSWER 13 OF 14 CASREACT COPYRIGHT 2005 ACS on STN
 AN 108:37604 CASREACT

TI A new synthesis of aryl hetaryl ketones via SRN1 reactions of halogenated heterocycles with potassiohenylacetonitrile followed by phase-transfer catalyzed **decyanation**

AU Hermann, Christine K. F.; Sachdeva, Yesh P.; Wolfe, James F.

CS Dep. Chem., Virginia Polytech. Inst. and State Univ., Blacksburg, VA, 24061, USA

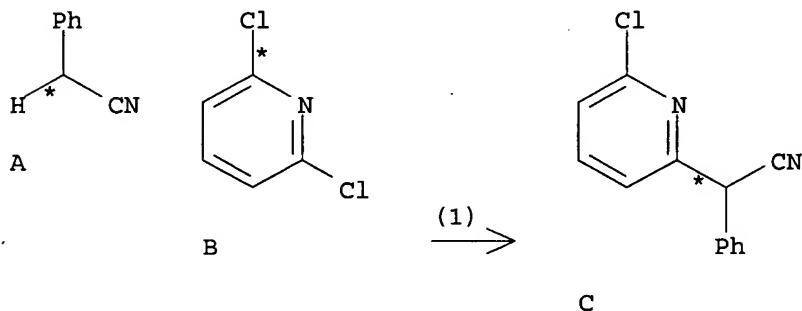
SO Journal of Heterocyclic Chemistry (1987), 24(4), 1061-5
CODEN: JHTCAD; ISSN: 0022-152X

DT Journal

LA English

AB Thirteen ketones e.g. RCOPh (R = Ph, 2-pyridinyl, 4-pyridinyl, 2-quinolyl, 2-pyrazinyl, 2-pyrimidinyl) were prepared in 2 steps from PhCH₂CN and RCl or RBr (same R). Thus, PhCH₂CN was treated with K in liquid NH₃ to give Ph(NC)CH-K⁺ which underwent photostimulated radical substitution with 2-bromopyridine to give 76% RCH(CN)Ph (R = 2-pyridinyl) (I). Oxidative **decyanation** of I with air in the presence of NaOH and PhCH₂Et₃N⁺ Cl⁻ gave 99% RCOPh (R = 2-pyridinyl).

RX(1) OF 33 A + B ==> C...



RX(1) RCT A 140-29-4

STAGE(1)

RGT D 7440-09-7 K
CAT 10421-48-4 Fe(NO₃)₃
SOL 7664-41-7 NH₃

STAGE(2)

RCT B 2402-78-0
SOL 7664-41-7 NH₃, 60-29-7 Et₂O
PRO C 24783-42-4

L29 ANSWER 14 OF 14 CASREACT COPYRIGHT 2005 ACS on STN

AN 102:78775 CASREACT

TI Imidazo[1,5-a]pyridines: a new class of thromboxane A₂ synthetase inhibitors

AU Ford, Neville F.; Browne, Leslie J.; Campbell, Thomas; Gemenden, Charles; Goldstein, Robert; Gude, Candido; Wasley, Jan W. F.

CS Res. Dep., Ciba-Geigy Corp., Summit, NJ, 07901, USA

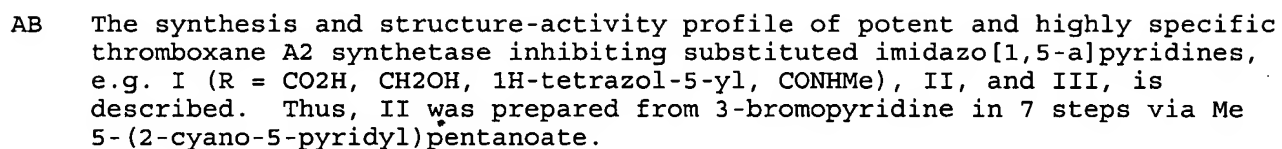
SO Journal of Medicinal Chemistry (1985), 28(2), 164-70

CODEN: JMCMAR; ISSN: 0022-2623

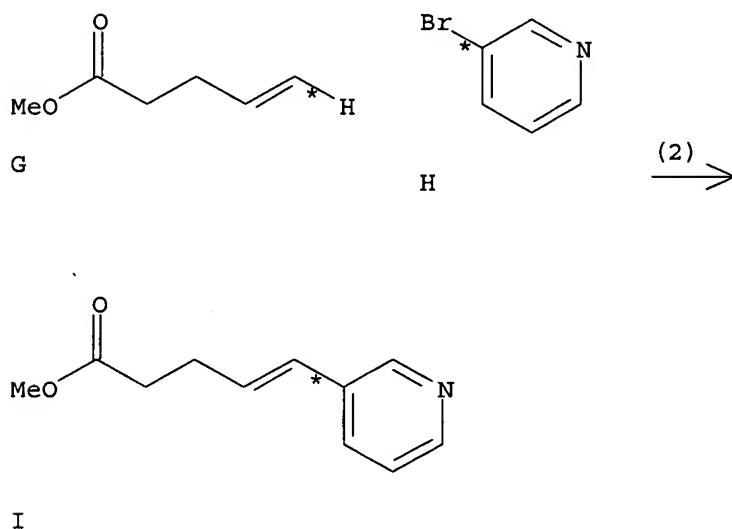
DT Journal

LA English

GI



RX (2) OF 125 G + H ==> I...



RX(2) . RCT G 818-57-5, H **626-55-1**
RGT J 6163-58-2 Tri-o-tolylphosphine
PRO I **85691-49-2**
CAT 3375-31-3 Pd(OAc)₂
SOL 121-44-8 Et₃N, 7440-37-1 Ar

 \Rightarrow

=> fil reg

FILE 'REGISTRY' ENTERED AT 14:36:00 ON 21 APR 2005
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DICTIONARY FILE UPDATES: 20 APR 2005 HIGHEST RN 848887-73-0

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*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=> d sta que 188
L74 STR

G1—CN
1 2

VAR G1=AK/CY
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 2

STEREO ATTRIBUTES: NONE
L76 SCR 1243
L80 SCR 2039 OR 2127 OR 2050 OR 2049 OR 2048 OR 2053 OR 2052 O
R 2051 OR 2043 OR 2054 OR 1918
L84 STR

G1—CN Cb @3
1 2

VAR G1=AK/3
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
GGCAT IS UNS AT 3

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 3

STEREO ATTRIBUTES: NONE

L85 SCR 1993 OR 2004 OR 2021 OR 2026

L88 2691 SEA FILE=REGISTRY CSS FUL L84 AND L74 AND L76 NOT (L85 OR L80)

100.0% PROCESSED 18962 ITERATIONS

2691 ANSWERS

SEARCH TIME: 00.00.01

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L94 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2005 ACS on STN

RN 75-21-8 REGISTRY

ED Entered STN: 16 Nov 1984

CN Oxirane (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN Ethylene oxide (8CI)

CN Ethyleneoxy (6CI)

OTHER NAMES:

CN 1,2-Epoxyethane

CN 12/88

CN Ciba-Geigy 9138

CN Dihydrooxirene

CN Dimethylene oxide

CN Epoxyethane

CN Ethene oxide

CN Ethylene oxide-ionene copolymer

CN ETO

CN Mirror Ox

CN Oxacyclopropane

CN Oxane

CN Oxidoethane

CN Oxirene, dihydro-

CN Oxyfume

CN Oxyfume 12

CN Oxyfume 2002

CN T-Gas

FS 3D CONCORD

DR 19034-08-3, 37341-05-2, 142175-32-4, 99932-75-9, 184288-32-2, 436859-78-8

MF C2 H4 O

CI COM, RPS

LC STN Files: ADISNEWS, AGRICOLA, ANABSTR, AQUIRE, BEILSTEIN*, BIOBUSINESS, BIOSIS, BIOTECHNO, CA, CABA, CANCERLIT, CAOLD, CAPLUS, CASREACT, CBNB, CEN, CHEMCATS, CHEMINFORMRX, CHEMLIST, CHEMSAFE, CIN, CSCHEM, CSNB, DDFU, DETHERM*, DIPPR*, DRUGU, EMBASE, ENCOMPLIT, ENCOMPLIT2, ENCOMPPAT, ENCOMPPAT2, GMELIN*, HODOC*, HSDB*, IFICDB, IFIPAT, IFIUDB, IPA, MEDLINE, MRCK*, MSDS-OHS, NAPRALERT, NIOSHTIC, PDLCOM*, PIRA, PROMT, PS, RTECS*, SPECINFO, SYNTHLINE, TOXCENTER, TULSA, ULIDAT, USPAT2, USPATFULL, VETU, VTB

(*File contains numerically searchable property data)

Other Sources: DSL**, EINECS**, TSCA**

(**Enter CHEMLIST File for up-to-date regulatory information)



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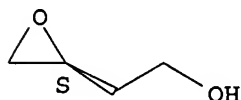
19539 REFERENCES IN FILE CA (1907 TO DATE)
3820 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
19558 REFERENCES IN FILE CAPLUS (1907 TO DATE)
12 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

REFERENCE 1: 142:325046
REFERENCE 2: 142:319481
REFERENCE 3: 142:318483
REFERENCE 4: 142:317758
REFERENCE 5: 142:316868
REFERENCE 6: 142:303706
REFERENCE 7: 142:303480
REFERENCE 8: 142:303194
REFERENCE 9: 142:298755
REFERENCE 10: 142:298617

=> d l98 ide can tot

L98 ANSWER 1 OF 3 REGISTRY COPYRIGHT 2005 ACS on STN
RN 95404-59-4 REGISTRY
ED Entered STN: -23 Mar 1985
CN Oxiraneethanol, (2S)- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Oxiraneethanol, (S)-
OTHER NAMES:
CN (S)-3,4-Epoxy-1-butanol
FS STEREOSEARCH
MF C4 H8 O2
LC STN Files: BEILSTEIN*, CA, CAPLUS, CASREACT, TOXCENTER
(*File contains numerically searchable property data)

Absolute stereochemistry. Rotation (-).

****PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT****

6 REFERENCES IN FILE CA (1907 TO DATE)
6 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 138:153382
REFERENCE 2: 134:17351

REFERENCE 3: 130:267258

REFERENCE 4: 116:37954

REFERENCE 5: 111:97002

REFERENCE 6: 102:203774

L98 ANSWER 2 OF 3 REGISTRY COPYRIGHT 2005 ACS on STN

RN 76282-48-9 REGISTRY

ED Entered STN: 16 Nov 1984

CN Oxiraneethanol, (2R)- (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN Oxiraneethanol, (R)-

OTHER NAMES:

CN (R)-3,4-Epoxy-1-butanol

CN (R)-Oxiraneethanol

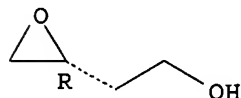
FS STEREOSEARCH

MF C4 H8 O2

LC STN Files: BEILSTEIN*, CA, CAPLUS, CASREACT, USPATFULL

(*File contains numerically searchable property data)

Absolute stereochemistry. Rotation (+).



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

21 REFERENCES IN FILE CA (1907 TO DATE)

21 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 140:4950

REFERENCE 2: 138:153382

REFERENCE 3: 131:44578

REFERENCE 4: 130:139213

REFERENCE 5: 117:150813

REFERENCE 6: 117:111328

REFERENCE 7: 113:190742

REFERENCE 8: 111:97002

REFERENCE 9: 110:231330

REFERENCE 10: 109:38075

L98 ANSWER 3 OF 3 REGISTRY COPYRIGHT 2005 ACS on STN

RN 19098-31-8 REGISTRY

ED Entered STN: 16 Nov 1984

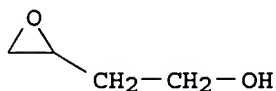
CN Oxiraneethanol (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN 1-Butanol, 3,4-epoxy- (6CI, 7CI, 8CI)

OTHER NAMES:

CN (2-Hydroxyethyl)oxirane
 CN 3,4-Epoxy-1-butanol
 CN 3,4-Epoxybutanol
 CN 4-Hydroxy-1,2-epoxybutane
 FS 3D CONCORD
 DR 84985-50-2
 MF C4 H8 O2
 CI COM
 LC STN Files: BEILSTEIN*, CA, CAOLD, CAPLUS, CASREACT, CHEMINFORMRX,
 TOXCENTER, USPAT2, USPATFULL
 (*File contains numerically searchable property data)

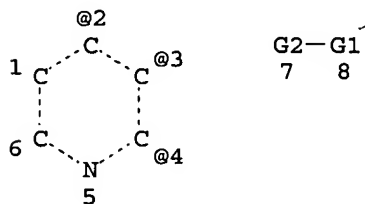


****PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT****

45 REFERENCES IN FILE CA (1907 TO DATE)
 4 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
 45 REFERENCES IN FILE CAPLUS (1907 TO DATE)
 2 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

REFERENCE 1: 139:395806
 REFERENCE 2: 139:69105
 REFERENCE 3: 138:221050
 REFERENCE 4: 137:279595
 REFERENCE 5: 134:366805
 REFERENCE 6: 133:297149
 REFERENCE 7: 133:165237
 REFERENCE 8: 131:243169
 REFERENCE 9: 131:44578
 REFERENCE 10: 129:148993

=> => d 172
 L72 HAS NO ANSWERS
 L72 STR



VAR G1=X/C/CY
 VAR G2=2/3/4
 NODE ATTRIBUTES:
 DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 8

STEREO ATTRIBUTES: NONE

=> d his

(FILE 'HOME' ENTERED AT 13:18:42 ON 21 APR 2005)

SET COST OFF

FILE 'CASREACT' ENTERED AT 13:18:53 ON 21 APR 2005

ACT ZINNA677/A

L1 STR

L2 5208 SEA FILE=CASREACT SSS FUL L1 (62854 REACTIONS)

ACT ZINNA677A/A

L3 STR

L4 STR

L5 (5208)SEA FILE=CASREACT SSS FUL L3 (62854 REACTIONS)

L6 2389 SEA FILE=CASREACT SUB=L5 SSS FUL L4 (24843 REACTIONS)

FILE 'REGISTRY' ENTERED AT 13:19:44 ON 21 APR 2005

FILE 'CASREACT' ENTERED AT 13:19:51 ON 21 APR 2005

SET SMARTSELECT ON

SET SMARTSELECT OFF

FILE 'REGISTRY' ENTERED AT 13:19:52 ON 21 APR 2005

FILE 'CASREACT' ENTERED AT 13:19:58 ON 21 APR 2005

SET SMARTSELECT ON

SET SMARTSELECT OFF

FILE 'REGISTRY' ENTERED AT 13:20:00 ON 21 APR 2005

FILE 'CASREACT' ENTERED AT 13:20:11 ON 21 APR 2005

SET SMARTSELECT ON

SET SMARTSELECT OFF

FILE 'REGISTRY' ENTERED AT 13:20:13 ON 21 APR 2005

FILE 'CASREACT' ENTERED AT 13:21:06 ON 21 APR 2005

SET SMARTSELECT ON

SET SMARTSELECT OFF

FILE 'REGISTRY' ENTERED AT 13:39:37 ON 21 APR 2005

E LI/ELS

L7 103105 S E3

L8 62839 S L7 NOT (TIS OR AYS OR MXS OR MNS OR PMS)/CI

L9 62781 S L8 NOT SQL/FA

L10 22539 S L9 AND 1/NC

L11 10103 S L10 NOT CCS/CI

L12 40242 S L9 NOT L10

L13 29499 S L12 NOT CCS/CI

FILE 'CASREACT' ENTERED AT 13:42:20 ON 21 APR 2005

L14 1134 S L11 AND L2
L15 356 S L13 AND L2

FILE 'REGISTRY' ENTERED AT 13:42:40 ON 21 APR 2005

L16 63503 S L7 NOT L11,L13
L17 212 S L16 NOT (TIS OR AYS OR MNS OR PMS OR CCS)/CI
L18 63291 S L16 NOT L17
L19 2346 S L18 AND PMS/CI
L20 60945 S L18 NOT L19

FILE 'HCAPLUS' ENTERED AT 13:43:35 ON 21 APR 2005

FILE 'CASREACT' ENTERED AT 13:43:53 ON 21 APR 2005

L21 0 S L17 AND L2
L22 0 S L19 AND L2

FILE 'REGISTRY' ENTERED AT 13:44:12 ON 21 APR 2005

L23 23198 S L20 AND CCS/CI
L24 37754 S L20 AND (TIS OR AYS OR MNS)/CI

FILE 'CASREACT' ENTERED AT 13:44:34 ON 21 APR 2005

L25 246 S L23 AND L2

FILE 'REGISTRY' ENTERED AT 13:44:56 ON 21 APR 2005

L26 30493 S L24 AND TIS/CI
L27 7261 S L24 NOT L26

FILE 'CASREACT' ENTERED AT 13:45:08 ON 21 APR 2005

L28 0 S L27 AND L2

FILE 'REGISTRY' ENTERED AT 13:45:20 ON 21 APR 2005

L29 30493 S L26 OR L26
L30 15000 S L29 RAN=(208717-06-0,)
L31 15493 S L29 RAN=(,208717-05-9)

FILE 'CASREACT' ENTERED AT 13:45:57 ON 21 APR 2005

L32 0 S L30 AND L2
L33 0 S L31 AND L2
L34 1397 S L14 OR L15 OR L25
L35 987 S L34 AND L6
E CYANATE/CT
L36 0 S E4 AND L35
L37 3 S E5 AND L35
L38 1 S E6 AND L35
L39 0 S E7 AND L35
E CYAN/CW
L40 3 S E3-E24 AND L35
L41 3 S L37,L38,L40
E CYAN/FG.RCT
L42 2 S E5 AND L35
E CYAN/FG.RGT
L43 0 S E5 AND L35
E CYAN/FG.RXN
L44 2 S E5 AND L35
L45 5 S L41,L42,L44
L46 65 S L35 AND ELECTROPHIL?
L47 2 S L46 AND OXIRAN?
L48 5 S L46 AND ?CYAN?
L49 6 S L47,L48
L50 6 S L49 AND L2
L51 2 S L34 AND (MEUDT A? OR ERBES M? OR FORSTINGER K?)/AU
L52 3 S L2 AND (MEUDT A? OR ERBES M? OR FORSTINGER K?)/AU
L53 2 S L52 AND L34

FILE 'REGISTRY' ENTERED AT 13:54:52 ON 21 APR 2005

E OC2/ES

L54 188185 S E3
L55 82477 S L54 AND 1/NC
L56 20855 S L55 AND 1/NR

FILE 'CASREACT' ENTERED AT 13:55:53 ON 21 APR 2005

L57 20 S L56 AND L35
L58 20 S L6 AND L57
L59 STR L4
L60 STR L59
L61 13 S L59 SAM SUB=L2
L62 242 S L59 FUL SUB=L2
L63 27 S L60 FUL SUB=L2
SAV L62 ZINNA677B/A
SAV L63 ZINNA677C/A
L64 113 S L62,L63 AND L34
L65 79 S L64 AND (PY<=2001 OR PRY<=2001 OR AY<=2001)
L66 79 S L65 AND 1/NS
L67 1 S L51,L52,L53 AND L66
L68 STR L59
L69 243 S L68 FUL SUB=L2
L70 174 S L6 AND L69
L71 89 S L70 AND L35

FILE 'HCAPLUS' ENTERED AT 14:02:41 ON 21 APR 2005

FILE 'REGISTRY' ENTERED AT 14:02:58 ON 21 APR 2005

L72 STR
L73 50 S L72
L74 STR
L75 34 S L74 CSS SAM
L76 SCR 1243
L77 37 S L74 AND L76 CSS SAM
L78 SCR 2127
L79 12 S L74 AND L76 NOT L78 CSS SAM
L80 SCR 2039 OR 2127 OR 2050 OR 2049 OR 2048 OR 2053 OR 2052 OR 205
L81 3 S L74 AND L76 NOT L80 CSS SAM
L82 STR L74
L83 3 S L82 AND L74 AND L76 NOT L80 CSS SAM
L84 STR L82
L85 SCR 1993 OR 2004 OR 2021 OR 2026
L86 50 S L84 AND L74 AND L76 NOT (L80 OR L85) CSS SAM
L87 50 S L84 AND L74 AND L76 NOT L85 CSS SAM
L88 2691 S L84 AND L74 AND L76 NOT (L85 OR L80) CSS FUL
SAV L88 ZINNA677D/A TEMP
L89 STR
L90 4 S L89
L91 STR L89
E OC2/ES
L92 188185 S E3
L93 50 S L91 SAM SUB=L92
L94 1 S OXIRANE/CN
L95 334 S L92 AND C4H8O2
L96 51 S L95 AND 1/NC
L97 5 S L96 AND OXIRANEETHANOL
L98 3 S L97 NOT (PMS/CI OR 180)

FILE 'HCAPLUS' ENTERED AT 14:12:13 ON 21 APR 2005

L99 78142 S L88
L100 19623 S L94,L98
L101 97106 S L99,L100

L102 2643 S L11 AND L101
L103 2165 S L13 AND L101
L104 2 S L17 AND L101
L105 61 S L19 AND L101
L106 908 S L23 AND L101
L107 52 S L27 AND L101
L108 67 S L30 AND L101
L109 161 S L31 AND L101
L110 3719 S LITHIUM AND L101
L111 1563 S LI AND L101
L112 5353 S L102-L111
L113 721 S L112 AND ?PYRID?
L114 665 S L112 AND HET?/SC,SX
L115 1191 S L113,L114
L116 4162 S L112 NOT L115

FILE 'REGISTRY' ENTERED AT 14:16:04 ON 21 APR 2005

FILE 'HCAPLUS' ENTERED AT 14:16:04 ON 21 APR 2005
SET SMARTSELECT ON

L117 SEL L115 1- RN : 50611 TERMS
SET SMARTSELECT OFF

FILE 'REGISTRY' ENTERED AT 14:16:30 ON 21 APR 2005

FILE 'HCAPLUS' ENTERED AT 14:16:56 ON 21 APR 2005

L118 4679 S L112 AND (PY<=2001 OR PRY<=2001 OR AY<=2001)
L119 562 S L118 AND L113
L120 547 S L118 AND L114
L121 3710 S L118 AND L116

FILE 'REGISTRY' ENTERED AT 14:17:59 ON 21 APR 2005

FILE 'HCAPLUS' ENTERED AT 14:17:59 ON 21 APR 2005
SET SMARTSELECT ON

L122 SEL L119 1- RN : 31041 TERMS
SET SMARTSELECT OFF

FILE 'REGISTRY' ENTERED AT 14:18:25 ON 21 APR 2005

L123 31040 S L122

FILE 'HCAPLUS' ENTERED AT 14:20:32 ON 21 APR 2005
SET SMARTSELECT ON

L124 SEL L120 1- RN : 32007 TERMS
SET SMARTSELECT OFF

FILE 'REGISTRY' ENTERED AT 14:20:51 ON 21 APR 2005

L125 32007 S L124

FILE 'HCAPLUS' ENTERED AT 14:23:12 ON 21 APR 2005
SET SMARTSELECT ON

L126 SEL L121 1- RN : 43471 TERMS
SET SMARTSELECT OFF

FILE 'REGISTRY' ENTERED AT 14:24:48 ON 21 APR 2005

L127 43471 S L126
L128 81702 S L123,L125,L127
L129 50 S L72 SAM SUB=L128
L130 3556 S L72 FUL SUB=L128
SAV L130 TEMP ZINNA677E/A
L131 STR L72
L132 618 S L131 FUL SUB=L130
SAV L132 ZINNA677F/A

L133 2938 S L130 NOT L132
SAV L133 ZINNA677G/A

FILE 'HCAPLUS' ENTERED AT 14:28:53 ON 21 APR 2005

L134 78 S L132 AND L133 AND L118
L135 64 S L132 (L) RACT+NT/RL AND L134
L136 61 S L133 (L) PREP+NT/RL AND L135
L137 52 S L136 AND L88 (L) RACT+NT/RL
L138 0 S L136 AND L88 (L) CAT+NT/RL
L139 7 S L136 AND (L94 OR L98) (L) (RACT+NT OR CAT)/RL
L140 57 S L137,L139
L141 40 S L140 AND (L11 OR L13 OR L17 OR L19 OR L23 OR L27 OR L30 OR L3
L142 0 S L140 AND (L11 OR L13 OR L17 OR L19 OR L23 OR L27 OR L30 OR L3
L143 28 S L141 AND HET?/SC,SX

FILE 'REGISTRY' ENTERED AT 14:36:00 ON 21 APR 2005

=> fil hcaplus

FILE 'HCAPLUS' ENTERED AT 14:37:10 ON 21 APR 2005

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FILE COVERS 1907 - 21 Apr 2005 VOL 142 ISS 17

FILE LAST UPDATED: 20 Apr 2005 (20050420/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d l143 bib abs hitrn retable tot

L143 ANSWER 1 OF 28 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:609929 HCAPLUS

DN 141:157023

TI Preparation of 3,4-diaminocyclobutene-1,2-diones as CXC-chemokine receptor ligands

IN Taveras, Arthur G.; Aki, Cynthia J.; Bond, Richard W.; Chao, Jianping; Dwyer, Michael; Ferreira, Johan A.; Chao, Jianhua; Yu, Younong; Baldwin, John J.; Kaiser, Bernd; Li, Ge; Merritt, J. Robert; Biju, Purakkattle J.; Nelson, Kingsley H.; Rokosz, Laura L.; Jakway, James P.; Lai, Gaifa; Wu, Minglang; Hecker, Evan A.; Lundell, Daniel; Fine, Jay S.

PA Schering Corporation and Pharmacopeia, Inc., USA

SO U.S. Pat. Appl. Publ., 352 pp., Cont.-in-part of U.S. Ser. No. 241,326.

CODEN: USXXCO

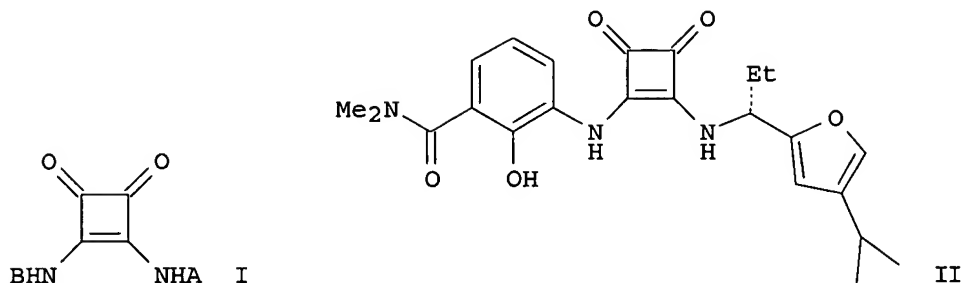
DT Patent

LA English

FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004147559	A1	20040729	US 2003-630258	20030730 <--

	US 2004097547	A1	20040520	US 2002-208412	20020730	<--
	US 2004106794	A1	20040603	US 2002-241326	20020911	<--
PRAI	US 2001-284026P	P	20010416	<--		
	US 2002-122841	B2	20020415			
	US 2002-208412	A2	20020730			
	US 2002-241326	A2	20020911			
OS	MARPAT 141:157023					
GI						



AB Title compds. [I; A = (substituted) pyridylmethyl, thiazolylmethyl, benzofurylmethyl, isoxazolylmethyl, pyrazinylmethyl, triazolylmethyl, phenylalkyl, etc.; B = (substituted) Ph, benzotriazolyl, benzimidazolyl, imidazolyl, pyrazolyl, hydroxypyridinyl, thienyl, pyrrolyl, isothiazolyl, etc.], were prepared. Thus, title compound (II) (preparation outlined) showed

Ki = 0.8 nM in a CXCR2 SPA receptor binding assay.

IT 473730-04-0P 473730-05-1P 473730-06-2P
473730-60-8P 473730-63-1P 473730-69-7P
473730-73-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
THU (Therapeutic use); BIOL (Biological study); PREP (Preparation)
; USES (Uses)

(claimed compound; preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)

IT 473724-65-1P 473724-67-3P 473724-70-8P
473724-78-6P 473725-17-6P 473725-18-7P
473725-19-8P 473728-57-3P 473729-15-6P
473729-53-2P 473729-75-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
THU (Therapeutic use); BIOL (Biological study); PREP (Preparation)
; USES (Uses)

```
(preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)
```

IT 78-82-0, Isobutyronitrile 98-98-6, Picolinic acid
594-19-4, tert-Butyllithium 811-49-4, Ethyllithium
917-54-4, Methyllithium 1888-75-1, Isopropyllithium
2402-95-1, 2-Chloropyridine N-oxide 2786-07-4,
2-Thienyllithium 3002-94-6, Cyclopropyllithium 3731-53-1
, 4-Pyridinylmethanamine 19524-06-2, 4-Bromopyridine
hydrochloride 20173-04-0 34803-66-2,
1-(Pyridin-2-yl)piperazine 50392-78-4, 1-(4-Pyridinyl)ethanamine
60289-68-1, 1-(4-Pyridinyl)propanamine 80866-91-7,
2-Bromopyridine N-oxide hydrochloride 147701-78-8

RL: RCT (Reactant); RACT (Reactant or reagent)

```
(preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)
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IT 1008-91-9P 39639-98-0P 63980-43-8P
337956-36-2P 389628-28-8P 473731-17-8P
473731-58-7P 473731-59-8P 473731-60-1P

473731-75-8P 473733-59-4P 473733-91-4P
 473733-92-5P 473733-97-0P 473733-98-1P
 473733-99-2P 473734-00-8P 473734-01-9P
 473734-25-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP
 (Preparation); RACT (Reactant or reagent)

(preparation of diaminocyclobutenediones as CXC chemokine receptor ligands)

L143 ANSWER 2 OF 28 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:473357 HCAPLUS

DN 141:38633

TI Composition and antiviral activity of substituted azaindoleoxoacetic
 piperazine derivatives

IN Wang, Tao; Zhang, Zhongxing; Meanwell, Nicholas A.; Kadow, John F.; Yin,
 Zhiwei; Xue, Qiufen May; Regueiro-Ren, Alicia; Matiskella, John D.; Ueda,
 Yasutsugu

PA USA

SO U.S. Pat. Appl. Publ., 350 pp., Cont.-in-part of U.S. Pat. Appl. 2003
 207,910.

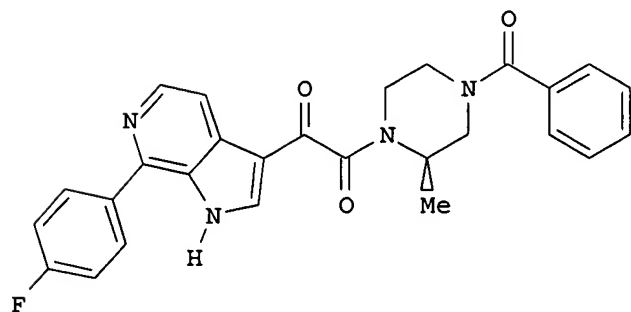
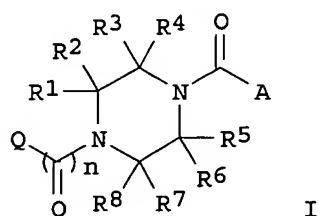
CODEN: USXXCO

DT Patent

LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004110785	A1	20040610	US 2003-630278	20030730 <--
	US 2003069266	A1	20030410	US 2002-38306	20020102 <--
	US 2003207910	A1	20031106	US 2002-214982	20020807 <--
PRAI	US 2001-266183P	P	20010202	<--	
	US 2001-314406P	P	20010823	<--	
	US 2002-38306	B2	20020102		
	US 2002-214982	B2	20020807		
OS	MARPAT 141:38633				
GI					



AB Title compds. I [n = 1 or 2; Q = (un)substituted azaindole heterocycle; A
 = alkoxy, (un)substituted aryl or heteroaryl; R1-8 are independently

selected from H, alkyl or haloalkyl consisting of up to three halogen substituents with same or different halogens] having drug and bio-affecting properties, their pharmaceutical compns., method of use, and synthetic preparation are disclosed. Thus, e.g., II was prepared via palladium catalyzed coupling of 1-benzoyl-3-(R)-methyl-4-[(7-(4-fluorophenyl)-6-azaindol-3-yl)oxoacetyl]-piperazine (preparation given) with 4-fluorophenylboronic acid. The compds. I were tested for inhibition of luciferase expression (data given). These compds. possess unique antiviral activity, whether used alone or in combination with other antivirals, antiinfectives, immunomodulators or HIV entry inhibitors. More particularly, the present invention relates to the treatment of HIV and AIDS.

IT 446285-39-8P 619331-43-0P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(intermediate; preparation and antiviral activity of substituted azaindoleoxoacetic piperazine derivs.)

IT 136888-20-5P 136888-21-6P 165669-35-2P

227473-79-2P 259807-95-9P 357262-82-9P
357262-83-0P 357262-86-3P 357262-87-4P
357262-89-6P 357263-37-7P 357263-38-8P
357263-41-3P 357263-43-5P 357263-48-0P
357263-53-7P 357263-55-9P 357263-57-1P
357263-63-9P 357263-65-1P 357263-67-3P
357263-69-5P 425380-38-7P 446284-16-8P
446284-20-4P 446284-32-8P 446284-38-4P
446284-40-8P 446284-42-0P 446284-44-2P
446284-46-4P 446284-48-6P 446284-50-0P
446284-52-2P 446284-54-4P 446284-56-6P
446284-58-8P 446284-62-4P 446284-66-8P
446284-68-0P 446284-70-4P 446284-78-2P
446284-82-8P 446284-86-2P 446284-90-8P
446284-92-0P 446284-94-2P 446284-96-4P
446284-98-6P 446285-02-5P 446285-04-7P
446285-08-1P 446285-10-5P 446285-18-3P
446285-21-8P 446285-24-1P 446285-30-9P
446285-32-1P 446285-34-3P 446285-37-6P
446285-42-3P 446285-44-5P 446285-46-7P
446285-48-9P 446285-97-8P 446286-14-2P
446286-52-8P 446287-53-2P 446287-55-4P
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619331-38-3P 619331-39-4P 619331-40-7P
619331-41-8P 619331-42-9P 619331-50-9P
619331-52-1P 619331-72-5P 619331-73-6P
619331-74-7P 619331-75-8P

RL: RCT (Reactant); SPN (Synthetic preparation);
PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation and antiviral activity of substituted azaindoleoxoacetic piperazine derivs.)

IT 701212-61-5P 701212-91-1P 701212-92-2P

701213-12-9P 701213-14-1P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation and antiviral activity of substituted azaindoleoxoacetic piperazine derivs.)

IT 619330-84-6P 701212-54-6P 701212-55-7P

701212-56-8P 701212-57-9P 701212-58-0P
701212-59-1P 701212-60-4P 701212-62-6P
701212-63-7P 701212-64-8P 701212-65-9P
701212-66-0P 701212-67-1P 701212-68-2P
701212-69-3P 701212-70-6P 701212-71-7P

701212-72-8P 701212-73-9P 701212-74-0P
701212-75-1P 701212-76-2P 701212-77-3P
701212-78-4P 701212-79-5P 701212-80-8P
701212-81-9P 701212-82-0P 701212-83-1P
701212-84-2P 701212-85-3P 701212-86-4P
701212-87-5P 701212-88-6P 701212-89-7P
701212-90-0P 701212-93-3P 701212-94-4P
701212-95-5P 701212-96-6P 701212-97-7P
701212-98-8P 701212-99-9P 701213-00-5P
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701213-10-7P 701213-11-8P 701213-13-0P
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701213-18-5P 701213-19-6P 701213-20-9P
701213-21-0P 701213-22-1P 701213-23-2P
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701213-27-6P 701213-28-7P 701213-29-8P
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701213-36-7P 701213-37-8P 701213-38-9P
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701213-42-5P 701213-43-6P 701213-44-7P
701213-45-8P 701213-46-9P 701213-47-0P
701213-48-1P 701213-49-2P 701213-52-7P
701213-53-8P 701213-54-9P 701213-55-0P
701213-56-1P 701213-57-2P 701213-58-3P
701213-59-4P 701213-60-7P 701213-61-8P
701213-62-9P 701213-64-1P 701213-66-3P
701213-67-4P 701214-27-9P 701214-28-0P
701214-29-1P 701214-30-4P 701214-31-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
THU (Therapeutic use); BIOL (Biological study); PREP (Preparation)
; USES (Uses)

(preparation and antiviral activity of substituted azaindoleoxoacetic
piperazine derivs.)

IT 75-05-8, Acetonitrile, reactions 27509-28-0

200431-98-7 701213-75-4 701213-76-5
701214-24-6 701214-25-7 701214-26-8

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation and antiviral activity of substituted azaindoleoxoacetic
piperazine derivs.)

IT 51173-04-7P 639519-63-4P 652160-72-0P

676491-46-6P 676491-47-7P 701213-69-6P
701213-71-0P 701213-73-2P 701213-78-7P
701213-80-1P 701213-82-3P 701213-83-4P
701213-85-6P 701213-87-8P 701213-88-9P
701213-90-3P 701213-92-5P 701213-94-7P
701213-95-8P 701213-97-0P 701213-98-1P
701213-99-2P 701214-00-8P 701214-01-9P
701214-02-0P 701214-03-1P 701214-04-2P
701214-05-3P 701214-06-4P 701214-07-5P
701214-08-6P 701214-09-7P 701214-10-0P
701214-11-1P 701214-12-2P 701214-13-3P
701214-14-4P 701214-15-5P 701214-16-6P
701214-17-7P 701214-18-8P 701214-19-9P
701214-20-2P

RL: RCT (Reactant); SPN (Synthetic preparation);

PREP (Preparation); RACT (Reactant or reagent)

(preparation and antiviral activity of substituted azaindoleoxoacetic
piperazine derivs.)

IT 934-60-1 1072-97-5 2786-07-4, 2-Thienyl
lithium 4021-07-2, 3-Methyl-2-picolinic acid

4529-04-8, Propynyllithium 5470-18-8,
2-Chloro-3-nitropyridine 13091-23-1, 4-Chloro-3-nitropyridine
13472-85-0, 2-Methoxy-5-bromopyridine 13534-97-9
14397-13-8 17228-64-7 18368-63-3
19755-53-4, 2-Bromo-3-nitropyridine 23056-33-9,
2-Chloro-4-methyl-5-nitropyridine 45644-21-1 54079-68-4
, 4-Chloro-3-nitropyridine hydrochloride 67443-38-3,
2-Chloro-3-nitro-5-bromopyridine 75806-86-9,
2-Bromo-5-chloro-3-nitropyridine 84400-99-7 223463-13-6
, 5-Bromo-2-iodopyridine 306936-79-8 357263-01-5
446284-18-0 619331-55-4 619331-71-4

RL: RCT (Reactant); RACT (Reactant or reagent)

(starting material; preparation and antiviral activity of substituted
azaindoleoxoacetic piperazine derivs.)

IT 51173-05-8P, 5-Fluoro-2-pyridinone

RL: RCT (Reactant); SPN (Synthetic preparation); PREP

(Preparation); RACT (Reactant or reagent)

(starting material; preparation and antiviral activity of substituted
azaindoleoxoacetic piperazine derivs.)

IT 446287-89-4P 446289-68-5P 446289-70-9P

446290-45-5P 446290-64-8P 619330-51-7P

619331-05-4P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN

(Synthetic preparation); THU (Therapeutic use); BIOL (Biological

study); PREP (Preparation); RACT (Reactant or reagent)

; USES (Uses)

(target compound; preparation and antiviral activity of substituted
azaindoleoxoacetic piperazine derivs.)

IT 446287-57-6P 446287-59-8P 446287-61-2P

446287-64-5P 446287-67-8P 446287-70-3P

446287-72-5P 446287-74-7P 446287-76-9P

446287-78-1P 446287-80-5P 446287-82-7P

446287-85-0P 446287-87-2P 446287-91-8P

446287-93-0P 446287-97-4P 446287-99-6P

446288-01-3P 446288-06-8P 446288-08-0P

446288-11-5P 446288-14-8P 446288-17-1P

446288-20-6P 446288-26-2P 446288-29-5P

446288-32-0P 446288-35-3P 446288-37-5P

446288-39-7P 446288-43-3P 446288-45-5P

446288-48-8P 446288-50-2P 446288-52-4P

446288-54-6P 446288-59-1P 446288-61-5P

446288-63-7P 446288-65-9P 446288-67-1P

446288-70-6P 446288-71-7P 446288-73-9P

446288-75-1P 446288-78-4P 446288-82-0P

446288-84-2P 446288-86-4P 446288-90-0P

446288-94-4P 446288-96-6P 446288-98-8P

446289-00-5P 446289-02-7P 446289-04-9P

446289-06-1P 446289-07-2P 446289-09-4P

446289-11-8P 446289-13-0P 446289-15-2P

446289-17-4P 446289-19-6P 446289-21-0P

446289-23-2P 446289-25-4P 446289-26-5P

446289-27-6P 446289-28-7P 446289-30-1P

446289-34-5P 446289-48-1P 446289-50-5P

446289-52-7P 446289-54-9P 446289-56-1P

446289-58-3P 446289-60-7P 446289-62-9P

446289-64-1P 446289-66-3P 446289-72-1P

446289-74-3P 446289-78-7P 446289-80-1P

446289-82-3P 446289-84-5P 446289-86-7P

446289-88-9P 446289-90-3P 446289-92-5P

446289-94-7P 446289-96-9P 446289-98-1P

446290-00-2P 446290-02-4P 446290-04-6P

446290-07-9P 446290-10-4P 446290-12-6P

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 446290-65-9P 446290-66-0P 446290-68-2P
 446290-69-3P 446290-70-6P 446290-71-7P
 446290-72-8P 446290-73-9P 446290-74-0P
 446290-75-1P 446290-76-2P 446290-77-3P
 446290-78-4P 446290-80-8P 446290-82-0P
 446293-62-5P 619330-43-7P 619330-44-8P
 619330-45-9P 619330-46-0P 619330-47-1P
 619330-52-8P 619330-53-9P 619330-54-0P
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 619330-74-4P 619330-75-5P 619330-76-6P
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 619330-94-8P 619330-95-9P 619330-96-0P
 619330-97-1P 619330-98-2P 619330-99-3P
 619331-00-9P 619331-01-0P 619331-02-1P
 619331-03-2P 619331-04-3P 619331-06-5P
 619331-07-6P 619331-08-7P 619331-09-8P
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 619331-13-4P 619331-14-5P 619331-15-6P
 619331-16-7P 619331-17-8P 619331-18-9P
 619331-19-0P 619331-20-3P 619331-21-4P
 619331-22-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
 THU (Therapeutic use); BIOL (Biological study); PREP (Preparation)
 ; USES (Uses)

(target compound; preparation and antiviral activity of substituted
 azaindoleoxoacetic piperazine derivs.)

L143 ANSWER 3 OF 28 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2003:168842 HCAPLUS

DN 138:204952

TI Preparation of piperidines with activity on muscarinic receptors

IN Brann, Mark; Messier, Terri; Currier, Erika; Duggento, Kate; Spalding,
Tracy; Friberg, Mikael; Skjaerbaek, Niels

PA Acadia Pharmaceuticals Inc., USA

SO U.S., 23 pp., Cont.-in-part of U.S. Ser. No. 282,778, abandoned.

CODEN: USXXAM

DT Patent

LA English

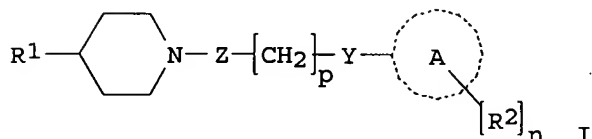
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6528529	B1	20030304	US 1999-356202	19990716 <--
	WO 2001005763	A2	20010125	WO 2000-US19366	20000714 <--
	WO 2001005763	A3	20020117		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
 CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
 HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
 LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
 SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,

YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
 CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 2003144285 A1 20030731 US 2003-338937 20030107 <--
 PRAI US 1998-80133P P 19980331 <--
 US 1999-282778 B2 19990331 <--
 US 1999-356202 A 19990716 <--
 OS MARPAT 138:204952
 GI



AB The title compds. [I; R1 = alkyl, alkenyl, alkynyl, etc.; A = cycloalkyl, Ph, naphthyl, heteroaryl; R2 = H, NH2, OH, etc.; n = 1-4; p = 0-5; Y = NHCO, CO; Z = a bond, CR8R9 (R8, R9 = H, alkyl); with provisos], useful for the alleviation or treatment of diseases or conditions in which modification of muscarinic m1 receptor activity has a beneficial effect, were prepared E.g., a multi-step synthesis of I [R1 = Bu; A = 2-MeC6H4; Y = CO; Z = CH2; p = 2] which only activated the m1 receptor subtype, at which it was a potent partial agonist, was given.

IT 244291-76-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
 THU (Therapeutic use); BIOL (Biological study); PREP (Preparation)
 ; USES (Uses)

(preparation of piperidines with activity on muscarinic receptors)

IT 75-05-8, Acetonitrile, reactions 109-04-6,
 2-Bromopyridine 109-72-8, n-Butyllithium, reactions

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of piperidines with activity on muscarinic receptors)

RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
=====	=====	=====	=====	=====	=====
Aktiebolog, F	1967				HCAPLUS
Albrecht	1989				HCAPLUS
Aldous, F	1974	17	1100	J Med Chem	HCAPLUS
Ando	1996			US 5534522 A	HCAPLUS
Anon	1961			GB 874206	HCAPLUS
Anon	1962			BE 610830	HCAPLUS
Anon	1965			FR 1382425	HCAPLUS
Anon	1966			NL 6603799	HCAPLUS
Anon	1968			FR 1543944	HCAPLUS
Anon	1969			GB 1142143	
Anon	1969			FR 1570446	HCAPLUS
Anon	1973			DE 2259004	HCAPLUS
Anon	1975			FR 2261008	HCAPLUS
Anon	1986			JP 61280497	HCAPLUS
Anon	1988			DE 3706585 A1	HCAPLUS
Anon	1989			EP 0332570 A2	HCAPLUS
Anon	1991			EP 384285	HCAPLUS
Anon	1992			EP 0514277	HCAPLUS
Anon	1993			EP 370415	HCAPLUS
Anon	1993			WO 9300313	HCAPLUS
Anon	1993			WO 9314089	HCAPLUS
Anon	1993			WO 9318772	HCAPLUS

Anon	1994			DE 4234198	HCAPLUS
Anon	1994			JP 6298732	
Anon	1994			JP 6305967	
Anon	1994			WO 9422861	HCAPLUS
Anon	1995			WO 0900131	
Anon	1995			EP 311313	HCAPLUS
Anon	1995			EP 384288	HCAPLUS
Anon	1995			EP 647642	HCAPLUS
Anon	1995			WO 9531457	HCAPLUS
Anon	1996			EP 429344	HCAPLUS
Anon	1996			EP 709381	HCAPLUS
Anon	1996			EP 723781	HCAPLUS
Anon	1996			EP 727208	HCAPLUS
Anon	1996			EP 727209	HCAPLUS
Anon	1996			WO 9603377	HCAPLUS
Anon	1996			WO 9619479	HCAPLUS
Anon	1996			WO 9626196	HCAPLUS
Anon	1996			WO 9638422	HCAPLUS
Anon	1996			WO 9640687	HCAPLUS
Anon	1997			EP 0805153	HCAPLUS
Anon	1997			EP 805153	HCAPLUS
Anon	1997			WO 9700894	HCAPLUS
Anon	1997			WO 9740044	HCAPLUS
Anon	1997			WO 9740045	HCAPLUS
Anon	1998			WO 9800412	HCAPLUS
Anon	1998			WO 9805292	HCAPLUS
Anon	1998			WO 9806697	HCAPLUS
Anon	1998			WO 9847900	HCAPLUS
Anon	1999			WO 9917771	HCAPLUS
Anon	1999			WO 9950247	HCAPLUS
Anon	2001			International Search	
Archibald	1977			US 4045566 A	HCAPLUS
Avery	1997	11	450	Drugs & Aging	HCAPLUS
Bailey	1930		1633	Piperidine Derivativ	HCAPLUS
Bolden	1992	260	576	The J of Pharmacolog	HCAPLUS
Bonner	1988	1	403	Neuron	HCAPLUS
Bonner	1987	237	527	Science	HCAPLUS
Bossier	1967				HCAPLUS
Bossier	1967				HCAPLUS
Brauner-Osborne	1995	38	2188	J Med Chem	MEDLINE
Britton	1954	43	641	J Am Pharm Ass'n	HCAPLUS
Brown	1996		141	Goodman & Gilman's T	HCAPLUS
Burckhalter	1961	26	4070	J Org Chem	HCAPLUS
Burger	1946			US 2400913 A	HCAPLUS
Burger	1943	65	2382	J Am Chem Soc	
Burger	1946	68	520	J Am Chem Soc	
Carr	1981				HCAPLUS
Christensen	1975			US 3912743 A	HCAPLUS
Conde	1978	21	978	J Med Chem	HCAPLUS
Dunbar	1992			US 5175166 A	HCAPLUS
Dunbar	1995			US 5403845 A	HCAPLUS
Fleming	1977				HCAPLUS
Foged	1995			US 5470850 A	HCAPLUS
Foye	1979	68	591	J Pharm Sci	HCAPLUS
Fuller	1971	14	322	J Med Chem	HCAPLUS
Fuller	1973	25	828	J Pharm Pharmacol	HCAPLUS
Fuller	1975	14	739	Neuropharmacology	HCAPLUS
Gail, R	1995		147	Abstract 169807-59-4	HCAPLUS
Glozman	1969				HCAPLUS
Hernestam	1974			US 3816433 A	HCAPLUS
Hernestam	1982				HCAPLUS
Hoffer	1938			US 2126329 A	HCAPLUS
Hohlweg	1993			US 5177077 A	HCAPLUS

Hohlweg	1994			US 5276035 A	HCAPLUS
Hohlweg	1996			US 5512562 A	HCAPLUS
Honkanen	1983	26	1433	J Med Chem	HCAPLUS
Iversen	1997	60	1145	Life Sciences	HCAPLUS
Jaen	1995	56	845	Life Sciences	HCAPLUS
Jeanjean	1997	41	1010	Neuroleptic Binding	HCAPLUS
Jones	1992		170	Molecular Biology of	HCAPLUS
Kaiser	1993	36	610	J Med Chem	HCAPLUS
Kasa	1997	52	511	Progress in Neurobio	HCAPLUS
Lafon	1984				HCAPLUS
Law, B	1987	407	1	J Chromatog	HCAPLUS
Levey, A	1996	93	13541	Proc Natl Acad Sci U	HCAPLUS
Lukovits	1981	20	429	Int J Quantum Chem	HCAPLUS
McElvain	1946	68	2592	Piperidine Derivativ	HCAPLUS
Messer	1998			US 5726179 A	HCAPLUS
Mitch	1998			US 5834458 A	HCAPLUS
Ono	1978				HCAPLUS
Paris	1973	2	672	Bulletin de la Socie	
Penni, E	1988	280	1191	Science	
Profft, E	1958	8	268	Drug Research	HCAPLUS
Rieu	1995				HCAPLUS
Saab	1992			US 5093333 A	HCAPLUS
Sabb	1995			US 5468875 A	HCAPLUS
Sabb	1996			US 5510478 A	HCAPLUS
Sabb	1996			US 5571819 A	HCAPLUS
Sabb	1998			US 5756501 A	HCAPLUS
Sasajima	1976				HCAPLUS
Schulman	1991			US 4992457 A	HCAPLUS
Standaert	1996		503	Goodman & Gilman's T	
Sunderland	1988	13	371	Brain Research Revie	
Swain	1954			US 2695295 A	HCAPLUS
Taylor, P	1996		161	Goodman & Gilman's T	
Truitt	1952	74	5448	J Am Chem Soc	
van Daele	1983				HCAPLUS
Walker	1961	26	2740	J Org Chem	

L143 ANSWER 4 OF 28 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2003:154427 HCAPLUS

DN 138:221468

TI Preparation of indolyethylaminopropanediol aryl ethers as β 3 adrenergic agonists

IN Bastian, Jolie Anne; Evers, Britta; Finley, Don Richard; He, John
 Xiaolang; Jesudason, Cynthia Darshini; Karanjawala, Rushad E.; Ratz,
 Andrew Michael; Rocco, Vincent Patrick; Ruehler, Gerd; Sall, Daniel Jon;
 Schotten, Theo; Spinazze, Patrick Gianpietro; Stevens, Freddie Craig;
 Trankle, William George; Werner, John Arnold

PA Eli Lilly and Company, USA

SO PCT Int. Appl., 110 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003016307	A1	20030227	WO 2002-US21317	20020806 <--
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,			

CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
 PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
 NE, SN, TD, TG

EP 1421078 A1 20040526 EP 2002-752178 20020806 <--
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK

JP 2005501856 T2 20050120 JP 2003-521230 20020806 <--
 US 2005080110 A1 20050414 US 2003-486867 20020806 <--

PRAI US 2001-312275P P 20010814 <--
 WO 2002-US21317 W 20020806

OS MARPAT 138:221468
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. [I; dotted line = optional double bond; m = 0-2; A1, A2, A3 = C, N; ≤1 of A1, A2, A3 = N; D = NR8, O, S; Het = (substituted) (benzo-fused) 5-6 membered heterocyclyl; R1, R2 = H, halo, OH, alkyl, alkoxy, haloalkyl, alkylsulfonyl; R3 = H, alkyl; R4 = H, cyano, alkyl, CO2N(R9)2, CO2R9; R5 = H, alkyl; R4, R5, R8 may form bonds with X2; R6 = halo, OH, cyano, alkyl, haloalkyl, alkoxy; R7 = H, CO2R10, CON(R10)2, CH:CHR11, N(R10)2, (substituted) Ph, heterocyclyl; R8 = H, alkyl; R9, R10 = H, alkyl, Ph; N(R9)2, N(R10)2 = pyrrolidinyl, piperidinyl, hexamethyleneimino; R11 = cyano, heterocyclyl, (substituted) Ph, etc.; X = null, OCH2, SCH2; X1 = null, (CR19R20)q; X2 = null, CO, CONR21, NR21CO; q = 1-5; R19, R20 = H, alkyl; CR19R20 = carbocyclyl; R21 = H alkyl], were prepared Thus, epoxide (II), amine (III), and ytterbium trifluoromethanesulfonate hydrate were heated in MeCN at 80° for 20-60 h to give title compound (IV). IV showed β3 intrinsic activity Emax (SEM) = 25.6 (1.8) relative to isoproterenol. I are capable of increasing lipolysis and energy expenditure in cells and, therefore, is useful, e.g., for treating Type 2 diabetes and/or obesity.

IT 500704-69-8P 500704-71-2P 500704-73-4P
 500704-75-6P 500704-77-8P 500704-79-0P
 500704-87-0P 500704-95-0P 500706-19-4P
 500706-37-6P 500706-69-4P 500706-70-7P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
 THU (Therapeutic use); BIOL (Biological study); PREP (Preparation)
 ; USES (Uses)

(preparation of indolyethylaminopropanediol aryl ethers as β3
 adrenergic agonists)

IT 107-13-1, Acrylonitrile, reactions 73781-91-6, Methyl
 6-chloronicotinate 500139-42-4 500139-43-5
 500139-71-9 500139-72-0

RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of indolyethylaminopropanediol aryl ethers as β3
 adrenergic agonists)

IT 391926-91-3P 500138-71-6P 500138-72-7P
 500138-73-8P 500138-74-9P 500706-98-9P
 500706-99-0P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP
 (Preparation); RACT (Reactant or reagent)
 (preparation of indolyethylaminopropanediol aryl ethers as β3
 adrenergic agonists)

RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Beiersdorf Ag	1986			EP 0166331 A	HCAPLUS
Bristol Myers Co	1979			DE 2830884 A	HCAPLUS

Hoechst Roussel Pharma	1987			EP 0221414 A	HCAPLUS
Lilly Co Eli	1997			EP 0764640 A	HCAPLUS
Schotten, T	2002			WO 0206276 A	HCAPLUS
Weber, A	1998	8	2111	BIOORGANIC & MEDICIN	HCAPLUS

L143 ANSWER 5 OF 28 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2003:154400 HCAPLUS

DN 138:204942

TI Preparation and use of 3-substituted oxoindole as β 3 agonists

IN Bastian, Jolie Anne; Finley, Don Richard; He, John Xiaoqiang; Jesudason, Cynthia Darshini; Ratz, Andrew Michael; Rocco, Vincent Patrick; Ruehter, Gerd; Sall, Daniel Jon; Schotten, Theo; Spinazze, Patrick Gianpietro; Stevens, Freddie Craig; Trankle, William George; Werner, John Arnold

PA Eli Lilly and Company, USA

SO PCT Int. Appl., 84 pp.

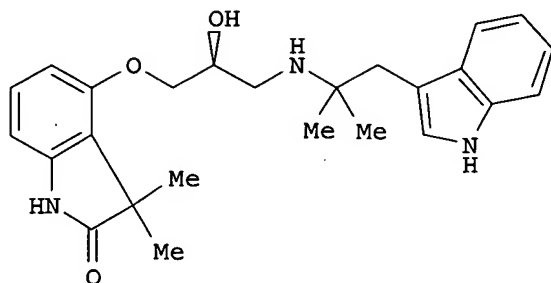
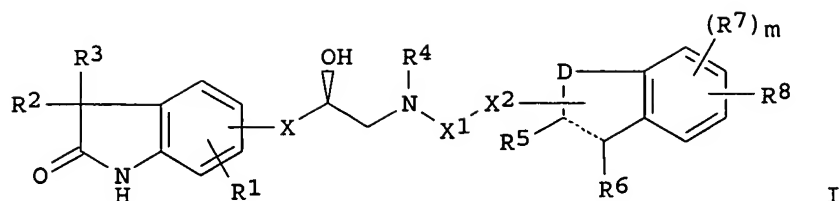
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003016276	A2	20030227	WO 2002-US21316	20020806 <--
	WO 2003016276	A3	20040527		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	EP 1444224	A2	20040811	EP 2002-748081	20020806 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
	JP 2005507872	T2	20050324	JP 2003-521203	20020806 <--
	US 2004242668	A1	20041202	US 2004-486508	20040211 <--
PRAI	US 2001-312135P	P	20010814	<--	
	WO 2002-US21316	W	20020806		
OS	MARPAT 138:204942				
GI					



AB Title compds. I [dashed line = single or double bond; m = 0-2; D = amino, O, S; R1 = H, CN, halo, alkyl, haloalkyl, etc.; R2 = H, alkyl, benzyl; R3 = alkyl, benzyl or R2-3 combine with the C to which each are attached to form a carbocyclic ring; R4 = H, alkyl; R5 = H, CN, alkyl, etc.; R6 = H, alkyl, etc.; R7 = halo, OH, CN, alkyl, etc.; R8 = H, carboxy, carboxamido, etc.; X = OCH₂, SCH₂, bond; X1 = alkyl, bond; X2 = bond, CO, carboxamido, etc.] are prepared For instance, 4-hydroxy-3,3-dimethyl-1,3-dihydroindol-2-one (preparation given) was reacted with (2S)-(+)-glycidyl 3-nitrobenzenesulfonate to give the corresponding epoxide which when treated with the corresponding indolyl-amine gives II. I are β_3 adrenergic receptor agonists. I are capable of increasing lipolysis and energy expenditure in cells and is useful for treating Type 2 diabetes and/or obesity.

IT 500139-79-7P 500139-81-1P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation and use of 3-substituted oxoindole as β_3 agonists for the treatment of diabetes/obesity)

IT 500140-67-0P 500140-68-1P 500140-69-2P
500140-70-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation and use of 3-substituted oxoindole as β_3 agonists for the treatment of diabetes/obesity)

IT 107-13-1, Acrylonitrile, reactions 6602-54-6
73781-91-6 500139-42-4 500139-43-5
500139-71-9 500139-72-0

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation and use of 3-substituted oxoindole as β_3 agonists for the treatment of diabetes/obesity)

IT 500138-71-6P 500138-72-7P 500138-73-8P
500138-74-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and use of 3-substituted oxoindole as β_3 agonists for the treatment of diabetes/obesity)

L143 ANSWER 6 OF 28 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2002:965132 HCAPLUS

DN 138:39444

TI Preparation of azabicyclic ethers as NK1 receptor antagonists for use as therapeutic agents in the treatment or prevention of depression, anxiety, pain, inflammation, migraine, emesis or postherpetic neuralgia

IN Curtis, Neil Roy; Kulagowski, Janusz Jozef; Huscroft, Ian Thomas; Raubo, Piotr Antoni

PA Merck Sharp & Dohme Ltd., UK

SO U.S. Pat. Appl. Publ., 76 pp.

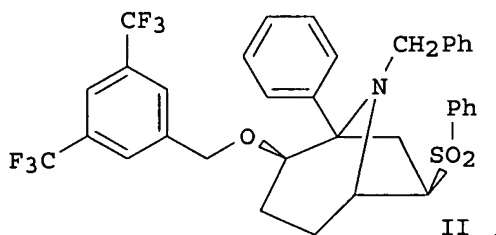
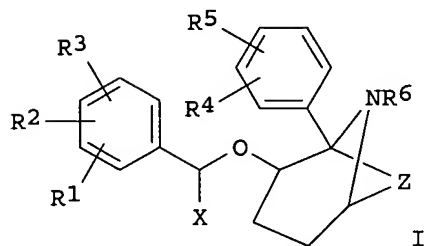
CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002193402	A1	20021219	US 2002-113117	20020401 <--
	US 6727249	B2	20040427		
	WO 2004031185	A1	20040415	WO 2002-GB4491	20021004 <--
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRAI	GB 2001-8982	A	20010410	<--	
OS	MARPAT 138:39444				
GI					



AB Azabicyclic ethers, such as I [X = H, alkyl, substituted alkyl; Z = -CH2CR9R10-, -CR9R10CH2-; R1 = H, NO2, CN, carboxy, carboxamide, haloalkyl, alkyl, alkoxy, alkenyl, alkynyl, etc.; R2 = H, halogen, alkyl, alkoxy; R3 = H, halogen, fluoroalkyl; R4 = H, CF3, NO2, CN, alkenyl, alkynyl, halogen, alkyl, alkoxy, etc.; R5 = H, CF3, halogen alkyl, alkoxy, etc.; R6 = H, OH, acyl, carboxy, alkyl, etc.; R9 = H, OH, :O, alkyl, alkenyl, alkynyl, alkoxy, sulfonyl, carboxy, carboxamido, heterocyclyl, etc.; R10 = H, OH, halogen], were prepared for use in the treatment of depression, anxiety, pain, inflammation, migraine, emesis or postherpetic neuralgia. Thus, tropane ether II was prepd in 83% yield by etherification of the corresponding 8-azabicyclo[3.2.1]octan-2-ol with 3,5-(F3C)2C6H3CH2Br using 18-crown-6 in THF. The prepared azabicyclic ethers were found to be active at the human NK1 receptor with IC50 values <100 nM.

- IT 478486-03-2P 478486-04-3P 478486-05-4P
478486-06-5P 478486-44-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
THU (Therapeutic use); BIOL (Biological study); PREP (Preparation)
; USES (Uses)
(preparation of azabicyclic ethers as NK1 receptor antagonists for use as
therapeutic agents in treatment or prevention of depression, anxiety,
pain, inflammation, migraine, emesis or postherpetic neuralgia)
- IT 107-13-1, Acrylonitrile, reactions 591-51-5,
Phenyllithium 3308-02-9, 3-Hydroxy-2-phenylpyridine
6602-32-0, 2-Bromo-3-hydroxypyridine
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of azabicyclic ethers as NK1 receptor antagonists for use as
therapeutic agents in treatment or prevention of depression, anxiety,
pain, inflammation, migraine, emesis or postherpetic neuralgia)
- IT 368835-00-1P 478483-55-5P 478483-56-6P
478483-67-9P 478484-19-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP
(Preparation); RACT (Reactant or reagent)
(preparation of azabicyclic ethers as NK1 receptor antagonists for use as
therapeutic agents in treatment or prevention of depression, anxiety,
pain, inflammation, migraine, emesis or postherpetic neuralgia)
- L143 ANSWER 7 OF 28 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 2002:861063 HCAPLUS
DN 139:117274
TI Product class 14: 1H- and 2H-isoindoles
AU Donohoe, T. J.
CS Department of Chemistry, University of Manchester, Manchester, M13 9PL, UK
SO Science of Synthesis (2001), 10, 653-692
CODEN: SSCYJ9
PB Georg Thieme Verlag
DT Journal; General Review
LA English
AB A review describes the nomenclature and history, and structure and
stability, properties, and applications of 1H- and 2H-isoindoles. It also
describes various methods for the synthesis of 1H- and 2H-isoindoles.
- IT 108-99-6, 3-Methylpyridine 536-78-7, 3-Ethylpyridine
626-55-1, 3-Bromopyridine
RL: RCT (Reactant); RACT (Reactant or reagent)
(review of preparation of isoindole analogs by substituent modification)
- IT 24113-70-0P 24113-71-1P 24113-75-5P
24113-76-6P 24113-78-8P 24113-79-9P
24170-44-3P 24170-46-5P 564467-94-3P
564467-95-4P 564467-96-5P 564467-97-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(review of preparation of isoindole analogs by substituent modification)
- IT 100-47-0, Cyanobenzene, reactions 594-19-4, tert-Butyl
lithium 1770-96-3 3189-57-9 72090-72-3
77425-51-5 83799-29-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(review of preparation of isoindoles)
- IT 150674-41-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(review of preparation of isoindoles)

RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
=====	=====	=====	=====	=====	=====
Aeberli, P	1969	34	1720	J Org Chem	HCAPLUS
Ahmed, I	1977	33	2255	Tetrahedron	HCAPLUS
Ahmed, M	1976		462	J Chem Soc, Chem Com	HCAPLUS
Anderson, P	1977	14	213	J Heterocycl Chem	HCAPLUS

Anderson, P	1979	44	1519	J Org Chem	HCAPLUS
Armarego, W	1972		2485	J Chem Soc, Perkin T	HCAPLUS
Armesto, D	1989		1343	J Chem Soc, Perkin T	HCAPLUS
Armesto, D	1992		2321	J Chem Soc, Perkin T	HCAPLUS
Bender, C	1968		3036	J Chem Soc C	HCAPLUS
Bender, C	1968		3036	J Chem Soc C	HCAPLUS
Bird, C	1992	58	335	Tetrahedron	
Bonnett, R	1981	29	341	Adv Heterocycl Chem	HCAPLUS
Bonnett, R	1972		393	J Chem Soc, Chem Com	HCAPLUS
Bonnett, R	1994		1129	J Chem Soc, Chem Com	HCAPLUS
Bonnett, R	1973		1432	J Chem Soc, Perkin T	HCAPLUS
Bonnett, R	1985		293	J Chem Soc, Perkin T	HCAPLUS
Bonnett, R	1984		833	J Chem Soc, Perkin T	HCAPLUS
Bonnett, R	1983	39	1401	Tetrahedron	HCAPLUS
Bornstein, J	1972		1149	J Chem Soc, Chem Com	HCAPLUS
Bornstein, J	1974		4247	Tetrahedron Lett	HCAPLUS
Bozhkova, N	1989	72	825	Helv Chim Acta	HCAPLUS
Brown, R	1972	25	607	Aust J Chem	HCAPLUS
Carlson, R	1986	51	3978	J Org Chem	HCAPLUS
Carmody, M	1976	32	1767	Tetrahedron	HCAPLUS
Carpino, L	1988	53	2565	J Org Chem	HCAPLUS
Chacko, E	1979	35	1055	Tetrahedron	HCAPLUS
Chacko, E	1977		1095	Tetrahedron Lett	HCAPLUS
Chadwick, D	1984	4	155	Comprehensive Hetero	
Chaudry, I	1982	35	1185	Aust J Chem	
Ciganek, E	1980	45	1512	J Org Chem	HCAPLUS
Cignarella, G	1969	99	1115	Gazz Chim Ital	
Cignarella, G	1976	106	65	Gazz Chim Ital	HCAPLUS
Cignarella, G	1974	11	1049	J Heterocycl Chem	HCAPLUS
Cignarella, G	1975		252	Synthesis	HCAPLUS
Clarkson, G	1995		1817	J Chem Soc, Perkin T	HCAPLUS
Clemens, A	1993	48	1257	Z Naturforsch, Teil	HCAPLUS
Clezy, P	1982	35	197	Aust J Chem	HCAPLUS
Clezy, P	1993	46	1705	Aust J Chem	HCAPLUS
Couture, A	1997	53	10313	Tetrahedron	HCAPLUS
Dupas, G	1980	17	93	J Heterocycl Chem	HCAPLUS
D'Amico, J	1983	20	1283	J Heterocycl Chem	HCAPLUS
Emmett, J	1966	22	1011	Tetrahedron	HCAPLUS
Engewald, W	1971	27	4171	Tetrahedron	HCAPLUS
Feldhoff, U	1986	119	1919	Chem Ber	HCAPLUS
Fletcher, H	1966	22	2481	Tetrahedron	HCAPLUS
Fryer, R	1966	88	3173	J Am Chem Soc	HCAPLUS
Fryer, R	1967		366	J Chem Soc C	HCAPLUS
Garmaise, D	1970		413	J Heterocycl Chem	HCAPLUS
Gilchrist, T	1975		12	J Chem Soc, Perkin T	HCAPLUS
Gribble, G	1996	2	207	Comprehensive Hetero	HCAPLUS
Gribble, G	1985	50	1611	J Org Chem	HCAPLUS
Haddadin, M	1992	33	541	Heterocycles	HCAPLUS
Haddadin, M	1973		5185	Tetrahedron Lett	HCAPLUS
Heaney, H	1972		3067	Tetrahedron Lett	HCAPLUS
Hoffmann, R	1985	118	634	Chem Ber	HCAPLUS
Jaques, B	1977	33	581	Tetrahedron	HCAPLUS
Jones, G	1996	2	1	Comprehensive Hetero	HCAPLUS
Jones, R	1984	4	201	Comprehensive Hetero	
Kotake, H	1972		445	Chem Lett	HCAPLUS
Kreher, R	1964	76	682	Angew Chem	HCAPLUS
Kreher, R	1966	78	984	Angew Chem	
Kreher, R	1964	3	639	Angew Chem Int Ed En	
Kreher, R	1966	5	614	Angew Chem Int Ed En	
Kreher, R	1970	9	955	Angew Chem Int Ed En	HCAPLUS
Kreher, R	1974	13	739	Angew Chem Int Ed En	
Kreher, R	1978	17	68	Angew Chem Int Ed En	
Kreher, R	1982	21	621	Angew Chem Int Ed En	

Kreher, R	1984	23	517	Angew Chem Int Ed En	
Kreher, R	1984	23	914	Angew Chem Int Ed En	
Kreher, R	1987	26	1262	Angew Chem Int Ed En	
Kreher, R	1988	121	1827	Chem Ber	HCAPLUS
Kreher, R	1988	121	81	Chem Ber	HCAPLUS
Kreher, R	1989	122	337	Chem Ber	HCAPLUS
Kreher, R	1986	110	299	Chem-Ztg	HCAPLUS
Kreher, R	1986	110	363	Chem-Ztg	HCAPLUS
Kreher, R	1987	111	155	Chem-Ztg	HCAPLUS
Kreher, R	1987	111	349	Chem-Ztg	HCAPLUS
Kreher, R	1988	112	335	Chem-Ztg	HCAPLUS
Kreher, R	1988	112	85	Chem-Ztg	HCAPLUS
Kreher, R	1978	11	409	Heterocycles	HCAPLUS
Kreher, R	1982	19	637	Heterocycles	HCAPLUS
Kreher, R	1969		4695	Tetrahedron Lett	HCAPLUS
Kreher, R	1973		1911	Tetrahedron Lett	HCAPLUS
Kreher, R	1976		1661	Tetrahedron Lett	HCAPLUS
Kreher, R	1980	21	3471	Tetrahedron Lett	HCAPLUS
Kreher, R	1973	28	801	Z Naturforsch, Teil	HCAPLUS
Kreher, R	1974	29	683	Z Naturforsch, Teil	HCAPLUS
Kreher, R	1988	43	125	Z Naturforsch, Teil	HCAPLUS
Kreher, R	1988	43	1332	Z Naturforsch, Teil	HCAPLUS
Kreher, R	1989	44	1132	Z Naturforsch, Teil	HCAPLUS
Kreher, R	1991	46	809	Z Naturforsch, Teil	HCAPLUS
Laatsch, H	1989		863	Liebigs Ann Chem	HCAPLUS
Lin, Y	1995	36	9441	Tetrahedron Lett	HCAPLUS
Mataka, S	1982		157	Synthesis	HCAPLUS
Matsumoto, K	1982		869	Chem Lett	HCAPLUS
Matsumoto, K	1983	20	1525	Heterocycles	HCAPLUS
Matsumoto, K	1996		2599	J Chem Soc, Perkin T	HCAPLUS
Matsumoto, K	1988	25	1793	J Heterocycl Chem	HCAPLUS
Matuszewski, B	1987	59	1102	Anal Chem	HCAPLUS
Nanya, S	1985	22	449	J Heterocycl Chem	HCAPLUS
Nanya, S	1990	27	1407	J Heterocycl Chem	HCAPLUS
Nanya, S	1992	29	1301	J Heterocycl Chem	HCAPLUS
Nanya, S	1992	29	255	J Heterocycl Chem	HCAPLUS
Orita, A	1994	59	477	J Org Chem	HCAPLUS
Padwa, A	1984	49	3174	J Org Chem	HCAPLUS
Paolini, J	1987	24	549	J Heterocycl Chem	HCAPLUS
Peterson, S	1959	623	166	Justus Liebigs Ann C	
Priestly, G	1972		4295	Tetrahedron Lett	
Sanna, P	1981	18	475	J Heterocycl Chem	HCAPLUS
Sastre, A	1996	61	8591	J Org Chem	HCAPLUS
Sato, R	1990	63	1160	Bull Chem Soc Jpn	HCAPLUS
Smith, K	1984	4	377	Comprehensive Hetero	
St Black, D	1996	2	39	Comprehensive Hetero	
Stoney Simmons, S	1981	46	4739	J Org Chem	
Sundberg, R	1984	4	313	Comprehensive Hetero	
Sundberg, R	1996	2	119	Comprehensive Hetero	HCAPLUS
Swanishi, H	1984	22	2725	Heterocycles	
Takahashi, I	1994	37	933	Heterocycles	HCAPLUS
Takahashi, I	1996	43	71	Heterocycles	
Takahashi, K	1985		1487	Chem Lett	
Theilacker, W	1953	584	87	Ann Chim	HCAPLUS
Theilacker, W	1955	597	95	Justus Liebigs Ann C	HCAPLUS
Tominaga, Y	1987	26	2073	Heterocycles	HCAPLUS
Veber, D	1964	86	4152	J Am Chem Soc	HCAPLUS
von Dobeneck, H	1969	102	1357	Chem Ber	HCAPLUS
von Dobeneck, H	1969	102	3500	Chem Ber	HCAPLUS
Wantanabe, Y	1979	35	1433	Tetrahedron	
White, J	1969	10	113	Adv Heterocycl Chem	HCAPLUS
White, J	1971	36	1048	J Org Chem	HCAPLUS
Winn, M	1969	34	249	J Org Chem	HCAPLUS

Wittig, G	1955	594	89	Ann Chim	HCAPLUS
Wittig, G	1951	572	1	Justus Liebigs Ann C	HCAPLUS
Wittig, G	1953	584	1	Justus Liebigs Ann C	HCAPLUS
Wojciechowski, K	1991		831	Liebigs Ann Chem	HCAPLUS
Young, J	1990	55	2155	J Org Chem	HCAPLUS
Zeeh, B	1972		45	Synthesis	HCAPLUS

L143 ANSWER 8 OF 28 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2002:861062 HCAPLUS

DN 139:197300

TI Product class 13: indole and its derivatives

AU Joule, J. A.

CS Department of Chemistry, University of Manchester, Manchester, M13 9PL, UK

SO Science of Synthesis (2001), 10, 361-652

CODEN: SSCYJ9

PB Georg Thieme Verlag

DT Journal; General Review

LA English

AB A review of preparation of indoles and its derivs. Covered reactions include cyclization, ring transformation, aromatization and substituent modifications. Subclasses covered include 1H-indol-1-ols, 1,3-dihydro-2H-indol-2-ones, and 1,2-dihydro-3H-indol-3-ones.

IT 75-21-8, Oxirane, reactions 100-43-6 107-13-1, 2-Propenenitrile, reactions 109-04-6 109-72-8, reactions 350-03-8 500-22-1, 3-Pyridinecarboxaldehyde 591-51-5 1001-56-5, 2,3-Butadienenitrile 1120-87-2 1121-60-4, 2-Pyridinecarboxaldehyde 3052-45-7 13228-40-5 16183-87-2

16658-70-1 31785-72-5 124522-52-7

133166-04-8 169823-84-1 182180-15-0

439860-83-0 582320-35-2 582321-18-4

RL: RCT (Reactant); RACT (Reactant or reagent)

(review of preparation of indoles and analogs thereof via cyclization, ring transformation, aromatization and substituent modifications)

IT 3367-88-2P 16658-71-2P 582320-07-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP

(Preparation); RACT (Reactant or reagent)

(review of preparation of indoles and analogs thereof via cyclization, ring transformation, aromatization and substituent modifications)

IT 3367-84-8P 5552-65-8P 16571-66-7P

16658-72-3P 18344-49-5P 37715-65-4P

40900-03-6P 54852-26-5P 73282-12-9P

80360-13-0P 133166-00-4P 138917-59-6P

141306-15-2P 141306-16-3P 141306-17-4P

141306-19-6P 144497-01-8P 144823-17-6P

145364-14-3P 145364-15-4P 147646-70-6P

155440-44-1P 163064-83-3P 181780-79-0P

195705-80-7P 252187-02-3P 582319-82-2P

582319-91-3P 582319-93-5P 582319-95-7P

582320-08-9P

RL: SPN (Synthetic preparation); PREP (Preparation)

(review of preparation of indoles and analogs thereof via cyclization, ring transformation, aromatization and substituent modifications)

RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
=====	=====	=====	=====	=====	=====
Aboutayab, K	1996	52	11329	Tetrahedron	HCAPLUS
Abramovitch, R	1960	38	131	Can J Chem	HCAPLUS
Abramovitch, R	1956		4589	J Chem Soc	HCAPLUS
Abramovitch, R	1992		795	Synlett	HCAPLUS
Acheson, R			1301	J Chem Res M	
Acheson, R	1984		101	J Chem Res S	HCAPLUS

Acheson, R	1968		504	J Chem Soc C	HCAPLUS
Acheson, R	1978		1117	J Chem Soc, Perkin T	HCAPLUS
Adam, W	1992	125	2719	Chem Ber	HCAPLUS
Adams, R	1955	77	5375	J Am Chem Soc	HCAPLUS
Adams, R	1958	80	3291	J Am Chem Soc	HCAPLUS
Agarwal, A	1993	36	4006	J Med Chem	HCAPLUS
Agkuen, E	1989	26	1869	J Heterocycl Chem	
Akazome, M	1994	59	3375	J Org Chem	HCAPLUS
Akguen, E	1990	27	1473	J Heterocycl Chem	
Akguen, E	1986		1628	Liebigs Ann Chem	HCAPLUS
Akita, H	1990	38	323	Chem Pharm Bull	HCAPLUS
Albertson, N	1945	67	36	J Am Chem Soc	HCAPLUS
Allbright, J	1959	81	2239	J Am Chem Soc	
Allen, C	1955	3	597	Org Synth, Coll	
Allen, G	1973	20	337	Org React	HCAPLUS
Allen, M	1992	22	2077	Synth Commun	HCAPLUS
Almeida, P	1991	32	2671	Tetrahedron Lett	HCAPLUS
Alper, H	1983	20	2025	Heterocycles	HCAPLUS
Alvarez, M	1989	29	237	Heterocycles	HCAPLUS
Amat, M	1996	43	1713	Heterocycles	HCAPLUS
Amat, M	1994	59	10	J Org Chem	HCAPLUS
Amat, M	1997	62	3158	J Org Chem	HCAPLUS
Amat, M	1997	74	248	Org Synth	HCAPLUS
Amat, M	1994	35	793	Tetrahedron Lett	HCAPLUS
Amat, M	1996	37	3071	Tetrahedron Lett	HCAPLUS
An-naka, M	1994	39	251	Heterocycles	HCAPLUS
Andersen, K	1992	35	4823	J Med Chem	HCAPLUS
Andrews, J	1993	49	7353	Tetrahedron	HCAPLUS
Anon	1972-	259		The Chemistry of Het	
Anon	1983-	254		The Chemistry of Het	
Anthony, W	1960	25	2049	J Org Chem	HCAPLUS
Anzai, K	1979	16	567	J Heterocycl Chem	HCAPLUS
Aoki, K	1998	120	3068	J Am Chem Soc	HCAPLUS
Apparao, S	1984	23	15	Indian J Chem	
Appleton, J	1993	34	1529	Tetrahedron Lett	HCAPLUS
Arai, E	1998	39	71	Tetrahedron Lett	HCAPLUS
Arcadi, A	1990		47	Synlett	HCAPLUS
Arcadi, A	1994	50	437	Tetrahedron	HCAPLUS
Arcadi, A	1989	30	2581	Tetrahedron Lett	HCAPLUS
Arcadi, A	1992	33	3915	Tetrahedron Lett	HCAPLUS
Arcari, M	1991	121	499	Gazz Chim	HCAPLUS
Archibald, J	1970	13	138	J Med Chem	HCAPLUS
Arnold, R	1959	24	117	J Org Chem	HCAPLUS
Ashcroft, W	1983		2409	J Chem Soc, Perkin T	HCAPLUS
Asselin, A	1986	29	1009	J Med Chem	HCAPLUS
Atkinson, C	1947		1649	J Chem Soc	HCAPLUS
Atkinson, C	1954		165	J Chem Soc	HCAPLUS
Atkinson, J	1988		480	Synthesis	HCAPLUS
Augustine, R	1973	38	3004	J Org Chem	HCAPLUS
Augustine, R	1972	2	63	Synth Commun	
Ayer, W	1992	48	2919	Tetrahedron	HCAPLUS
Baake, J	1974	28	134	Acta Chem Scand Ser	
Baccolini, G	1983		2695	J Chem Soc, Perkin T	HCAPLUS
Baccolini, G	1988		971	J Chem Soc, Perkin T	HCAPLUS
Baccolini, G	1985	41	4615	Tetrahedron	HCAPLUS
Baccolini, G	1987	43	2755	Tetrahedron	HCAPLUS
Baciocchi, E	1968		401	J Chem Soc B	HCAPLUS
Baciocchi, E	1992	57	6817	J Org Chem	HCAPLUS
Bader, A	1961	83	3319	J Am Chem Soc	HCAPLUS
Bailey, A	1966		1345	J Chem Soc C	HCAPLUS
Bailey, A	1972		1626	J Chem Soc, Perkin T	HCAPLUS
Bailey, A	1972		2411	J Chem Soc, Perkin T	HCAPLUS
Bailey, A	1973		1602	J Chem Soc, Perkin T	HCAPLUS

Bailey, A	1981		382	J Chem Soc, Perkin T	HCAPLUS
Bailey, D	1985	28	160	J Med Chem	HCAPLUS
Bailey, P	1996		1479	Chem Commun	HCAPLUS
Bakke, J	1967	21	1967	Acta Chem Scand	HCAPLUS
Balasubramanian, T	1994		1237	J Chem Soc, Chem Com	HCAPLUS
Balogh-Hergovich, E	1986		2305	J Chem Soc, Perkin T	HCAPLUS
Balon, M	1989	45	7501	Tetrahedron	HCAPLUS
Balsamini, C	1995		370	Synthesis	HCAPLUS
Bansal, R	1978	16	533	Indian J Chem	
Bansal, R	1978	149	309	J Organomet Chem	HCAPLUS
Barbey, S	1995		27	Synlett	HCAPLUS
Barco, A	1976		124	Synthesis	HCAPLUS
Bard, R	1980	45	1546	J Org Chem	HCAPLUS
Barltrop, J	1954		3399	J Chem Soc	HCAPLUS
Barluenga, J	1993	58	2058	J Org Chem	HCAPLUS
Barnwell, N	1994	37	175	Heterocycles	HCAPLUS
Baron, M	1981		249	Bull Soc Chim Fr	
Barrett, A	1984	49	4409	J Org Chem	
Bartoli, G	1988		807	J Chem Soc, Chem Com	HCAPLUS
Bartoli, G	1991		2757	J Chem Soc, Perkin T	HCAPLUS
Bartoli, G	1980	45	522	J Org Chem	HCAPLUS
Bartoli, G	1986	51	3694	J Org Chem	HCAPLUS
Bartoli, G	1987	43	4221	Tetrahedron	HCAPLUS
Bartoli, G	1990	46	1379	Tetrahedron	HCAPLUS
Bartoli, G	1989	30	2129	Tetrahedron Lett	HCAPLUS
Barton, D	1985	41	4727	Tetrahedron	HCAPLUS
Basanagoudar, L	1967		2599	J Chem Soc C	HCAPLUS
Bascop, S	1994	38	725	Heterocycles	HCAPLUS
Basunagoudar, L	1975	30	58	Indian J Chem	
Batcho, A	1990	7	34	Org Synth, Coll	
Baudin, J	1985		956	Synthesis	HCAPLUS
Baudin, J	1986	27	837	Tetrahedron Lett	HCAPLUS
Baumgarten, H	1961	26	1536	J Org Chem	HCAPLUS
Baumgartner, M	1999		2053	Synthesis	HCAPLUS
Bazile, Y	1977	12	525	Eur J Med Chem	HCAPLUS
Beal, M	1982		435	J Chem Soc, Perkin T	HCAPLUS
Beckett, A	1968	24	6093	Tetrahedron	HCAPLUS
Beer, R	1948		1605	J Chem Soc	HCAPLUS
Beer, R	1948		2223	J Chem Soc	HCAPLUS
Beer, R	1949		2061	J Chem Soc	HCAPLUS
Beer, R	1951		2029	J Chem Soc	HCAPLUS
Bellesia, F	1989		182	J Chem Res S	HCAPLUS
Benigni, J	1965	2	387	J Heterocycl Chem	HCAPLUS
Benington, F	1958	23	19	J Org Chem	HCAPLUS
Bennasar, M	1986	42	637	Tetrahedron	HCAPLUS
Bennett, G	1989	72	1718	Helv Chim Acta	HCAPLUS
Benson, S	1992	57	5285	J Org Chem	HCAPLUS
Benzies, D	1986	16	1799	Synth Commun	HCAPLUS
Berger, J	1974		508	Synthesis	HCAPLUS
Bergman, J	1968	22	1063	Acta Chem Scand	HCAPLUS
Bergman, J	1971	25	1277	Acta Chem Scand	HCAPLUS
Bergman, J	1972	26	970	Acta Chem Scand	HCAPLUS
Bergman, J	1976	30	853	Acta Chem Scand Ser	
Bergman, J	1982	19	297	Heterocycles	HCAPLUS
Bergman, J	1982	19	301	Heterocycles	HCAPLUS
Bergman, J	1970	7	1071	J Heterocycl Chem	HCAPLUS
Bergman, J	1977	14	1123	J Heterocycl Chem	HCAPLUS
Bergman, J	1992	57	2495	J Org Chem	HCAPLUS
Bergman, J	1987	65	146	Org Synth	HCAPLUS
Bergman, J	1980	36	1439	Tetrahedron	HCAPLUS
Bergman, J	1980	36	2505	Tetrahedron	HCAPLUS
Bergman, J	1990	46	6061	Tetrahedron	HCAPLUS
Bergman, J	1990	46	6085	Tetrahedron	HCAPLUS

Bergman, J	1978		4051	Tetrahedron Lett	HCAPLUS
Bergman, J	1978		4055	Tetrahedron Lett	HCAPLUS
Bergman, J	1983	24	3665	Tetrahedron Lett	HCAPLUS
Bergman, J	1986	27	1939	Tetrahedron Lett	HCAPLUS
Bergman, J	1996	37	9263	Tetrahedron Lett	HCAPLUS
Berlin, A	1987	69	100	Chem Ind	
Berlin, A	1987		1176	J Chem Soc, Chem Com	HCAPLUS
Berrier, C	1987	11	611	New J Chem	HCAPLUS
Berti, C	1981		1610	J Chem Soc, Perkin T	HCAPLUS
Berti, C	1982	47	4895	J Org Chem	HCAPLUS
Berti, G	1968		2145	J Chem Soc C	HCAPLUS
Besford, L	1964		4037	J Chem Soc	HCAPLUS
Beswick, P	1988	44	7325	Tetrahedron	HCAPLUS
Betkerur, S	1968		1795	J Chem Soc	HCAPLUS
Beugelmans, R	1979		950	J Chem Soc, Chem Com	HCAPLUS
Beugelmans, R	1981	37	393	Tetrahedron	
Bhagwat, S	1994	35	1847	Tetrahedron Lett	HCAPLUS
Bird, C	1965		3490	J Chem Soc	HCAPLUS
Biswas, K	1968	34	1145	Tetrahedron	
Black, D	1983	36	2407	Aust J Chem	HCAPLUS
Black, D	1980		200	J Chem Soc, Chem Com	HCAPLUS
Black, D	1984		441	J Chem Soc, Chem Com	HCAPLUS
Black, D	1989		425	J Chem Soc, Chem Com	HCAPLUS
Black, P	1965	18	353	Aust J Chem	HCAPLUS
Blechert, S	1985	68	1835	Helv Chim Acta	HCAPLUS
Blechert, S	1995		592	Synthesis	HCAPLUS
Blechert, S	1992	33	6621	Tetrahedron Lett	HCAPLUS
Blume, R	1945	10	255	J Org Chem	HCAPLUS
Bobbitt, J	1990	30	1131	Heterocycles	HCAPLUS
Bocchi, V	1976		414	Synthesis	HCAPLUS
Bocchi, V	1982		1096	Synthesis	HCAPLUS
Bocchi, V	1978	34	929	Tetrahedron	HCAPLUS
Bocchi, V	1984	40	3251	Tetrahedron	HCAPLUS
Bocchi, V	1986	42	5019	Tetrahedron	HCAPLUS
Boettcher, H	1988		749	Liebigs Ann Chem	HCAPLUS
Boger, D	1987	52	1521	J Org Chem	HCAPLUS
Boger, D	1987	52	3934	J Org Chem	HCAPLUS
Boger, D	1988	53	1415	J Org Chem	HCAPLUS
Boger, D	1990	55	1379	J Org Chem	HCAPLUS
Boivin, J	1994	35	9553	Tetrahedron Lett	HCAPLUS
Bolton, R	1988		2491	J Chem Soc, Perkin T	HCAPLUS
Bonnett, R	1974		962	J Chem Soc, Perkin T	HCAPLUS
Borchardt, R	1982	25	263	J Med Chem	HCAPLUS
Bordwell, F	1991	56	3216	J Org Chem	HCAPLUS
Bosco, M	1991		657	J Chem Soc, Perkin T	HCAPLUS
Botta, M	1979	16	501	J Heterocycl Chem	HCAPLUS
Bourak, M	1990	31	447	Heterocycles	HCAPLUS
Bourdais, J	1974	9	269	Eur J Med Chem	HCAPLUS
Bourlot, A	1994		411	Synthesis	HCAPLUS
Bowman, R	1971		33	Chem Ind	HCAPLUS
Bowman, R	1972		1121	J Chem Soc, Perkin T	HCAPLUS
Bowman, W	1988	29	6657	Tetrahedron Lett	HCAPLUS
Brady, W	1989	54	2834	J Org Chem	HCAPLUS
Bramley, R	1973		1913	J Chem Soc, Perkin T	
Bravo, P	1970	100	652	Gazz Chim	HCAPLUS
Brehm, W	1949	71	3541	J Am Chem Soc	HCAPLUS
Brennan, M	1986	24	2879	Heterocycles	HCAPLUS
Brenner, M	1997	3	70	Chem Eur J	HCAPLUS
Brethereck, L	1961		2919	J Chem Soc	
Brewster, J	1953	7	99	Org React	
Brombridge, S	1998	41	1598	J Med Chem	
Brooke, G	1976		162	J Chem Soc, Perkin T	HCAPLUS
Browder, C	1993	34	6245	Tetrahedron Lett	HCAPLUS

Brown, D	1994	59	2447	J Org Chem	HCAPLUS
Brown, F	1948		847	J Chem Soc	HCAPLUS
Brown, F	1948		858	J Chem Soc	HCAPLUS
Brown, J	1952		3172	J Chem Soc	HCAPLUS
Brown, R	1955	77	3839	J Am Chem Soc	HCAPLUS
Brown, V	1969	6	539	J Heterocycl Chem	HCAPLUS
Bruce, J	1959		2366	J Chem Soc	HCAPLUS
Bruce, J	1962		1514	J Chem Soc	HCAPLUS
Bruche, L	1983	48	2772	J Org Chem	HCAPLUS
Buechi, G	1977	42	1784	J Org Chem	HCAPLUS
Bunnett, J	1973	5	12	Org Synth, Coll	
Burchardt, O	1969	23	2149	Acta Chem Scand	
Burr, G	1924	46	1224	J Am Chem Soc	HCAPLUS
Burton, H	1949		78	J Chem Soc	HCAPLUS
Buttery, C	1993		1425	J Chem Soc, Perkin T	HCAPLUS
Buttery, C	1991		315	Synlett	HCAPLUS
Buu-Hoi, N	1971		2606	J Chem Soc C	HCAPLUS
Buu-Hoi, N	1950	15	131	J Org Chem	HCAPLUS
Buzas, A	1977		129	Synthesis	HCAPLUS
Buzas, A	1989		458	Synthesis	HCAPLUS
Bu'Lock, J	1951		712	J Chem Soc	HCAPLUS
Cacchi, S	1994	475	289	J Organomet Chem	HCAPLUS
Cacchi, S	1997		1363	Synlett	HCAPLUS
Caddick, S	1996		675	J Chem Soc, Perkin T	HCAPLUS
Caddick, S	1992		805	Synlett	HCAPLUS
Caddick, S	1997	38	6249	Tetrahedron Lett	HCAPLUS
Cadogan, J	1965		4831	J Chem Soc	HCAPLUS
Cairncross, A	1970	92	3187	J Am Chem Soc	
Calo, V	1972		2567	J Chem Soc, Perkin T	HCAPLUS
Campaigne, E	1959	24	478	J Org Chem	HCAPLUS
Canas-Rodriguez, A	1972	15	762	J Med Chem	HCAPLUS
Cannon, J	1990	27	2093	J Heterocycl Chem	HCAPLUS
Cannon, J	1984	27	386	J Med Chem	HCAPLUS
Canoira, L	1985	22	1511	J Heterocycl Chem	HCAPLUS
Capon, B	1989	111	5346	J Am Chem Soc	HCAPLUS
Capuano, L	1986	119	2069	Chem Ber	HCAPLUS
Capuano, L	1988	121	2259	Chem Ber	HCAPLUS
Cardwell, K	1988	110	2242	J Am Chem Soc	HCAPLUS
Carmona, C	1995		331	J Chem Soc, Perkin T	HCAPLUS
Carpenter, J	1993	58	1607	J Org Chem	HCAPLUS
Carrera, G	1994		93	Synlett	HCAPLUS
Casini, G	1964	42	1235	Can J Chem	
Casnati, G	1974		754	J Chem Soc, Perkin T	HCAPLUS
Casnati, G	1969		2485	Tetrahedron Lett	HCAPLUS
Casnati, G	1972		5277	Tetrahedron Lett	HCAPLUS
Castro, C	1966	31	4071	J Org Chem	HCAPLUS
Castro, J	1994	37	3023	J Med Chem	HCAPLUS
Catalan, J	1984	106	421	J Am Chem Soc	HCAPLUS
Caubere, C	1994	50	13433	Tetrahedron	HCAPLUS
Caubere, C	1993	34	6889	Tetrahedron Lett	HCAPLUS
Chakrabarti, R	1990	29	737	Indian J Chem	
Challis, B	1972		1111	J Chem Soc, Perkin T	HCAPLUS
Challis, B	1975		1209	J Chem Soc, Perkin T	HCAPLUS
Challis, B	1975		1822	J Chem Soc, Perkin T	HCAPLUS
Challis, B	1977		281	J Chem Soc, Perkin T	HCAPLUS
Chan, A	1989	30	6483	Tetrahedron Lett	HCAPLUS
Chen, C	1997	62	2676	J Org Chem	HCAPLUS
Chen, D	1996	37	4467	Tetrahedron Lett	HCAPLUS
Chen, H	1989	30	4795	Tetrahedron Lett	HCAPLUS
Cheng, A	1982	47	5258	J Org Chem	HCAPLUS
Chien, C	1986	34	1493	Chem Pharm Bull	HCAPLUS
Chioccare, F	1987	17	1815	Synth Commun	HCAPLUS
Cho, C	1998		995	Chem Commun	HCAPLUS

Chu, L	1997	38	3871	Tetrahedron Lett	HCAPLUS
Chuang, C	1994	24	1493	Synth Commun	HCAPLUS
Chuang, C	1994	35	1283	Tetrahedron Lett	HCAPLUS
Chupina, L	1984		372	Chem Heterocycl Comp	
Chupina, L	1984		466	Khim Geterotsikl Soe	HCAPLUS
Church, N	1995	36	151	Tetrahedron Lett	HCAPLUS
Ciattini, P	1994	35	2405	Tetrahedron Lett	HCAPLUS
Cipiciani, A	1982		523	J Chem Soc, Perkin T	HCAPLUS
Cipiciani, A	1976	32	2595	Tetrahedron	HCAPLUS
Clark, A	1994		41	J Chem Soc, Chem Com	HCAPLUS
Clark, B	1997	27	4223	Synth Commun	HCAPLUS
Clark, R	1984	22	195	Heterocycles	HCAPLUS
Clark, R	1985	22	121	J Heterocycl Chem	HCAPLUS
Clark, R	1991		871	Synthesis	HCAPLUS
Coates, R	1977	99	2355	J Am Chem Soc	HCAPLUS
Coe, J	1996	37	6045	Tetrahedron Lett	HCAPLUS
Cohen, L	1960	82	2184	J Am Chem Soc	HCAPLUS
Collini, M	1997	38	7963	Tetrahedron Lett	HCAPLUS
Colonna, M	1981		628	J Chem Soc, Perkin T	HCAPLUS
Colonna, M	1982		455	J Chem Soc, Perkin T	HCAPLUS
Comber, M	1992		731	Synthesis	HCAPLUS
Comins, D	1987	52	104	J Org Chem	HCAPLUS
Comins, D	1989	30	4337	Tetrahedron Lett	HCAPLUS
Conn, R	1990	55	2908	J Org Chem	HCAPLUS
Conway, S	1990	30	627	Heterocycles	HCAPLUS
Conway, S	1992	22	2987	Synth Commun	HCAPLUS
Cook, A	1944		486	J Chem Soc	HCAPLUS
Cooper, M	1981		3008	J Chem Soc, Perkin T	HCAPLUS
Cooper, M	1996	37	4283	Tetrahedron Lett	HCAPLUS
Corey, E	1981	103	5599	J Am Chem Soc	HCAPLUS
Coutts, R	1970	48	3747	Can J Chem	HCAPLUS
Couture, A	1993		2463	J Chem Soc, Perkin T	HCAPLUS
Crenshaw, M	1984	21	623	J Heterocycl Chem	HCAPLUS
Crestini, C	1994	24	2835	Synth Commun	HCAPLUS
Cromartie, R	1953		3525	J Chem Soc	HCAPLUS
Cross, P	1986	29	342	J Med Chem	HCAPLUS
Crotti, C	1991	87	2811	J Chem Soc, Faraday	HCAPLUS
Da Settimo, A	1967	97	1304	Gazz Chim	HCAPLUS
Da Settimo, A	1970	35	2546	J Org Chem	HCAPLUS
Da Settimo, A	1965	21	1923	Tetrahedron	HCAPLUS
Daisley, R	1983	15	278	Org Prep Proc Int	HCAPLUS
Dallacker, F	1986	110	405	Chem-Ztg	HCAPLUS
Dalton, L	1968	21	2053	Aust J Chem	HCAPLUS
Danishefsky, S	1984	25	3159	Tetrahedron Lett	HCAPLUS
David, S	1964		101	Bull Soc Chim Fr	HCAPLUS
Davidson, R	1984		88	J Chem Res S	HCAPLUS
De Angelis, F	1977		335	Synthesis	HCAPLUS
De Rosa, M	1978	43	2639	J Org Chem	HCAPLUS
De Rosa, M	1981	46	2054	J Org Chem	HCAPLUS
Deberly, A	1971		3049	Tetrahedron Lett	HCAPLUS
Decodts, G	1970	26	3313	Tetrahedron	HCAPLUS
Delimoge, I	1991	28	1525	J Heterocycl Chem	HCAPLUS
Dellar, G	1981		1679	J Chem Soc, Perkin T	HCAPLUS
Desarbre, E	1996	52	2983	Tetrahedron	HCAPLUS
Dhanak, D	1986		2181	J Chem Soc, Perkin T	HCAPLUS
Dhanak, D	1985	26	2017	Tetrahedron Lett	HCAPLUS
Dickens, M	1992		323	J Chem Soc, Perkin T	HCAPLUS
Diels, O	1934	511	168	Justus Liebig's Ann C	HCAPLUS
Dillard, R	1996	39	5119	J Med Chem	HCAPLUS
Dillard, R	1996	26	5137	J Med Chem	
Dittman, K	1985	318	340	Arch Pharm	
Dmitrienko, G	1990	31	3681	Tetrahedron Lett	HCAPLUS
Dobbs, A	1995	36	4857	Tetrahedron Lett	HCAPLUS

Dobbs, A	1997	38	5379	Tetrahedron Lett	HCAPLUS
Dobson, D	1992		79	Synlett	HCAPLUS
Dobson, D	1991	21	611	Synth Commun	HCAPLUS
Doepf, H	1994	E6b2	546	Houben-Weyl	
Doi, K	1996	42	113	Heterocycles	HCAPLUS
Dolby, L	1966	3	124	J Heterocycl Chem	HCAPLUS
Dolby, L	1965	30	1550	J Org Chem	HCAPLUS
Dome, M	1975		576	Bull Soc Chim Fr	HCAPLUS
Domschke, G	1959	92	3244	Chem Ber	HCAPLUS
Domschke, G	1966	99	939	Chem Ber	HCAPLUS
Domschke, G	1969	311	807	J Prakt Chem	HCAPLUS
Donald, B	1975		1446	J Chem Soc, Perkin T	
Dong, Y	1997	62	6464	J Org Chem	HCAPLUS
Douglas, A	1978	100	6463	J Am Chem Soc	HCAPLUS
Douglas, A	1979	101	5676	J Am Chem Soc	HCAPLUS
Doyle, M	1988	53	1017	J Org Chem	HCAPLUS
Draheim, S	1996	39	5159	J Med Chem	HCAPLUS
Dua, R	1992	33	29	Tetrahedron Lett	HCAPLUS
Dubovitskii, S	1996	37	5207	Tetrahedron Lett	HCAPLUS
Eberle, M	1973	29	4045	Tetrahedron	HCAPLUS
Echavarren, A	1988	110	1557	J Am Chem Soc	HCAPLUS
Edwards, M	1986	42	3723	Tetrahedron	HCAPLUS
Eitel, M	1989		364	Synthesis	HCAPLUS
El-Rayyes, N	1973	315	295	J Prakt Chem	HCAPLUS
Elliot, I	1964	29	2438	J Org Chem	HCAPLUS
Endler, A	1963	4	657	Org Synth, Coll	
Engler, T	1997	38	6135	Tetrahedron Lett	HCAPLUS
Entzeroth, M	1983		226	Liebigs Ann Chem	HCAPLUS
Epling, G	1991		347	Synlett	HCAPLUS
Erickson, K	1981	11	253	Synth Commun	HCAPLUS
Eryshev, B	1980		158	Chem Heterocycl Comp	
Eryshev, B	1980		216	Khim Geterotsikl Soe	HCAPLUS
Etienne, A	1948		651	Bull Soc Chim Fr	HCAPLUS
Etienne, A	1954		743	Bull Soc Chim Fr	HCAPLUS
Etienne, A	1954		748	Bull Soc Chim Fr	HCAPLUS
Etkin, N	1990	55	1093	J Org Chem	HCAPLUS
Evans, D	1973	26	2555	Aust J Chem	HCAPLUS
Evans, D	1979	44	497	J Org Chem	HCAPLUS
Eyley, S	1985	26	4649	Tetrahedron Lett	HCAPLUS
Eyley, S	1988	29	2997	Tetrahedron Lett	HCAPLUS
Ezquerro, J	1996	61	5804	J Org Chem	HCAPLUS
Ezquerro, J	1997	53	8237	Tetrahedron	HCAPLUS
Fagnola, M	1997	38	2307	Tetrahedron Lett	HCAPLUS
Fatum, T	1994	38	1619	Heterocycles	HCAPLUS
Feldman, K	1996	61	5440	J Org Chem	HCAPLUS
Feldman, P	1986		735	Synthesis	HCAPLUS
Fessenden, R	1961	26	4638	J Org Chem	HCAPLUS
Fisher, L	1995	60	6224	J Org Chem	HCAPLUS
Fishwick, C	1991	32	685	Heterocycles	HCAPLUS
Flaugh, M	1979	22	63	J Med Chem	HCAPLUS
Flaugh, M	1988	31	1746	J Med Chem	HCAPLUS
Fleming, I	1979		827	J Chem Soc, Perkin T	HCAPLUS
Fleming, I	1979		829	J Chem Soc, Perkin T	HCAPLUS
Flitsch, W	1985		1398	Liebigs Ann Chem	HCAPLUS
Flitsch, W	1985		1413	Liebigs Ann Chem	HCAPLUS
Flitsch, W	1989	30	1633	Tetrahedron Lett	HCAPLUS
Fonatnan, A	1966	96	1301	Gazz Chim	
Fonatnan, A	1966	96	1301	Gazz Chim	
Forbes, I	1996	39	4966	J Med Chem	HCAPLUS
Foresti, E	1995	121	151	Gazz Chim	
Frank, W	1978	43	2947	J Org Chem	HCAPLUS
Franklin, C	1963		1335	J Chem Soc	HCAPLUS
Freter, K	1967	45	2628	Can J Chem	HCAPLUS

Freter, K	1972	37	2010	J Org Chem	HCAPLUS
Freter, K	1975	40	2525	J Org Chem	HCAPLUS
Freter, K	1976		241	Justus Liebigs Ann C	HCAPLUS
Freter, K	1978		1357	Justus Liebigs Ann C	HCAPLUS
Frick, U	1984		929	Synthesis	HCAPLUS
Friedman, H	1980	12	297	Org Prep Proc Int	HCAPLUS
Fritz, H	1963	28	1384	J Org Chem	HCAPLUS
Fryer, R	1967	32	3798	J Org Chem	HCAPLUS
Fuerstner, A	1996	35	2442	Angew Chem Int Ed	
Fuerstner, A	1994	59	5215	J Org Chem	HCAPLUS
Fuerstner, A	1992	48	5991	Tetrahedron	HCAPLUS
Fuerstner, A	1995	51	773	Tetrahedron	HCAPLUS
Fuhrer, W	1979	44	1133	J Org Chem	HCAPLUS
Fuji, M	1992	40	2338	Chem Pharm Bull	HCAPLUS
Fuji, M	1992	40	2344	Chem Pharm Bull	HCAPLUS
Fuji, M	1992	40	2353	Chem Pharm Bull	HCAPLUS
Fujita, M	1983	24	4573	Tetrahedron Lett	HCAPLUS
Fujiwara, J	1983	105	7177	J Am Chem Soc	HCAPLUS
Fukuda, Y	1997	45	2303	Heterocycles	HCAPLUS
Fukuyama, T	1994	116	3127	J Am Chem Soc	HCAPLUS
Gabriel, S	1923	56	104	Ber Dtsch Chem Ges	
Gale, D	1974	27	1295	Aust J Chem	HCAPLUS
Gall, W	1955	20	1538	J Org Chem	HCAPLUS
Gallagher, G	1985	28	1533	J Med Chem	HCAPLUS
Galons, H	1981	18	561	J Heterocycl Chem	HCAPLUS
Galun, A	1979	16	641	J Heterocycl Chem	HCAPLUS
Gan, T	1997	38	1301	Tetrahedron Lett	HCAPLUS
Ganesan, A	1993	34	439	Tetrahedron Lett	HCAPLUS
Garcia, E	1974	11	219	J Heterocycl Chem	HCAPLUS
Garden, S	1998	28	1679	Synth Commun	HCAPLUS
Gartz, J	1985	40	356	Pharmazie	HCAPLUS
Gassman, P	1974	96	5495	J Am Chem Soc	HCAPLUS
Gassman, P	1974	96	5508	J Am Chem Soc	HCAPLUS
Gassman, P	1974	96	5512	J Am Chem Soc	HCAPLUS
Gassman, P	1974		201	J Chem Soc, Chem Com	HCAPLUS
Gassman, P	1977	42	1340	J Org Chem	HCAPLUS
Gassman, P	1977	42	3240	J Org Chem	HCAPLUS
Gassman, P	1984	49	717	J Org Chem	HCAPLUS
Gassman, P	1988	6	601	Org Synth, Coll	
Gaudion, W	1947		1631	J Chem Soc	HCAPLUS
Geiger, S	1968		390	Bull Soc Chim Fr	HCAPLUS
Gelmi, M	1993		969	J Chem Soc, Perkin T	HCAPLUS
Germain, C	1976	13	1209	J Heterocycl Chem	HCAPLUS
Gharagozloo, P	1998	63	1974	J Org Chem	HCAPLUS
Gharpure, M	1991		1079	Synthesis	HCAPLUS
Giethlen, B	1997	38	8483	Tetrahedron Lett	HCAPLUS
Gilchrist, T	1983		1283	J Chem Soc, Perkin T	HCAPLUS
Gill, U	1991	417	313	J Organomet Chem	HCAPLUS
Gillespie, R	1979		50	J Chem Soc, Chem Com	HCAPLUS
Gilow, H	1991	28	1025	J Heterocycl Chem	HCAPLUS
Gilow, H	1986	27	4689	Tetrahedron Lett	HCAPLUS
Giovannini, E	1948	31	1375	Helv Chim Acta	HCAPLUS
Girke, W	1979	112	1	Chem Ber	HCAPLUS
Glenn, R	1995	38	3566	J Med Chem	
Gmeiner, P	1996		1196	Synthesis	HCAPLUS
Gonzales-Rosende, E	1988	18	1669	Synth Commun	
Gonzalez, A	1983		212	Synthesis	HCAPLUS
Goti, A	1994	35	6567	Tetrahedron Lett	HCAPLUS
Gourdoupis, C	1994	24	1137	Synth Commun	HCAPLUS
Gowan, M	1997		1312	Synlett	HCAPLUS
Graham, J	1992	48	167	Tetrahedron	HCAPLUS
Graham, S	1990	33	749	J Med Chem	HCAPLUS
Grandberg, I	1984				HCAPLUS

Grandberg, I	1973	9	31	Chem Heterocycl Comp	
Grandberg, I	1974	10	501	Chem Heterocycl Comp	
Grandberg, I	1983	19	2439	Zh Org Khim	HCAPLUS
Grant, M	1960	82	2742	J Am Chem Soc	HCAPLUS
Gray, A	1957	79	3555	J Am Chem Soc	
Gray, A	1960	25	1939	J Org Chem	HCAPLUS
Gray, M	1993		281	Synlett	HCAPLUS
Grehn, L	1984	23	296	Angew Chem Int Ed	
Greuter, H	1974	57	281	Helv Chim Acta	HCAPLUS
Gribble, G	1994		145	Contemp Org Synth	HCAPLUS
Gribble, G	1974	96	7813	J Am Chem Soc	
Gribble, G	1985	50	5900	J Org Chem	HCAPLUS
Gribble, G	1989	54	3264	J Org Chem	HCAPLUS
Gribble, G	1992	22	2129	Synth Commun	HCAPLUS
Gribble, G	1977		859	Synthesis	HCAPLUS
Gribble, G	1988	44	3195	Tetrahedron	HCAPLUS
Gribble, G	1987	28	5259	Tetrahedron Lett	HCAPLUS
Gridnev, I	1993	58	5351	J Org Chem	HCAPLUS
Griffen, E	1995	60	1484	J Org Chem	HCAPLUS
Grob, C	1961	44	1748	Helv Chim Acta	HCAPLUS
Gron, C	1984	38	709	Acta Chem Scand	
Gruda, I	1972	50	18	Can J Chem	HCAPLUS
Guan, X	1994	35	3013	Tetrahedron Lett	HCAPLUS
Gubin, J	1993	36	1425	J Med Chem	HCAPLUS
Gudjons, J	1976	109	3282	Chem Ber	HCAPLUS
Guida, W	1980	45	3172	J Org Chem	HCAPLUS
Guillaume, J	1987	22	33	Eur J Med Chem	HCAPLUS
Gut, I	1994	33	1153	Angew Chem Int Ed	
Haber, M	1991	47	1925	Tetrahedron	HCAPLUS
Haddleseyd, D	1964		5269	J Chem Soc	
Haefliger, W	1984	25	285	Tetrahedron Lett	HCAPLUS
Haefliger, W	1984	25	289	Tetrahedron Lett	HCAPLUS
Hahn, G	1934	67	2031	Chem Ber	
Hall, J	1980		360	J Chem Res S	
Hamabuchi, S	1991	32	443	Heterocycles	HCAPLUS
Hamana, M	1967	15	363	Chem Pharm Bull	HCAPLUS
Hamel, P	1994	59	6372	J Org Chem	HCAPLUS
Harley-Mason, J	1954		1165	J Chem Soc	HCAPLUS
Harman, R	1972	9	1191	J Heterocycl Chem	
Harmon, R	1973	38	11	J Org Chem	HCAPLUS
Harrington, P	1987	109	4335	J Am Chem Soc	HCAPLUS
Harrington, P	1984	49	2657	J Org Chem	HCAPLUS
Harrington, P	1996		1047	Synlett	HCAPLUS
Harrington, P	1997	38	5949	Tetrahedron Lett	HCAPLUS
Harris, R	1970	23	1199	Aust J Chem	HCAPLUS
Harris, R	1969		4465	Tetrahedron Lett	HCAPLUS
Harrison, C	1995		1131	J Chem Soc, Perkin T	HCAPLUS
Harrison, C	1993	34	8527	Tetrahedron Lett	HCAPLUS
Hart, G	1961		4267	J Chem Soc	HCAPLUS
Hartke, K	1988	44	3261	Tetrahedron	HCAPLUS
Hasan, I	1981	46	157	J Org Chem	HCAPLUS
Hatanaka, N	1986	24	1963	Heterocycles	HCAPLUS
Hatanaka, N	1986	27	3169	Tetrahedron Lett	HCAPLUS
Hayakawa, K	1986	27	1837	Tetrahedron Lett	HCAPLUS
Heacock, R	1969	10	43	Adv Heterocycl Chem	HCAPLUS
Heacock, R	1963	89	1825	J Am Chem Soc	
Heaney, H	1988		1161	J Chem Soc, Chem Com	HCAPLUS
Heaney, H	1973		499	J Chem Soc, Perkin T	HCAPLUS
Heaney, H	1988	6	104	Org Synth, Coll	
Hegedus, L	1988	27	1113	Angew Chem Int Ed	
Hegedus, L	1978	100	5800	J Am Chem Soc	HCAPLUS
Hegedus, L	1981	46	2215	J Org Chem	HCAPLUS
Hegedus, L	1989	54	4141	J Org Chem	HCAPLUS

Heinzelman, R	1960	25	1548	J Org Chem	HCAPLUS
Hellman, H	1965	98	638	Chem Ber	
Hemetsberger, H	1969	100	1599	Monatsh Chem	HCAPLUS
Hemetsberger, H	1970	101	161	Monatsh Chem	HCAPLUS
Henegar, K	1996	43	1471	Heterocycles	HCAPLUS
Hengartner, U	1979	44	3741	J Org Chem	HCAPLUS
Hengartner, U	1979	44	3748	J Org Chem	HCAPLUS
Henmi, T	1997	44	157	Heterocycles	HCAPLUS
Henn, L	1986		1113	J Chem Soc, Perkin T	
Henry, K	1993		510	J Chem Soc, Chem Com	HCAPLUS
Hermkens, P	1990	46	833	Tetrahedron	HCAPLUS
Hersloef, M	1987	28	3423	Tetrahedron Lett	
Hewawasam, P	1994	35	7303	Tetrahedron Lett	HCAPLUS
Hewlins, M	1981		2906	J Chem Soc, Perkin T	HCAPLUS
Hibino, S	1990	30	271	Heterocycles	HCAPLUS
Hickey, D	1987		921	J Chem Soc, Perkin T	HCAPLUS
Hillard, J	1974	11	369	J Heterocycl Chem	HCAPLUS
Himbert, G	1990	29	86	Angew Chem Int Ed	
Hinman, R	1962	84	2534	J Am Chem Soc	HCAPLUS
Hinman, R	1964	86	3796	J Am Chem Soc	HCAPLUS
Hinman, R	1964	29	1206	J Org Chem	HCAPLUS
Hinman, R	1964	29	2431	J Org Chem	HCAPLUS
Hino, T	1975	23	2990	Chem Pharm Bull	HCAPLUS
Hino, T	1977	25	354	Chem Pharm Bull	HCAPLUS
Hino, T	1982	30	2349	Chem Pharm Bull	HCAPLUS
Hino, T	1990	38	2632	Chem Pharm Bull	HCAPLUS
Hino, T	1974	2	565	Heterocycles	HCAPLUS
Hino, T	1976		745	J Chem Soc, Perkin T	HCAPLUS
Hirao, K	1995	36	6243	Tetrahedron Lett	HCAPLUS
Hiremath, S	1981	19	767	Indian J Chem	
Hlasla, D	1989	29	849	Heterocycles	
Hocker, J	1975		334	Synthesis	HCAPLUS
Hodson, H	1957		3546	J Chem Soc	HCAPLUS
Hodson, H	1994	50	1899	Tetrahedron	HCAPLUS
Hofmann, K	1982		282	Liebigs Ann Chem	HCAPLUS
Holins, R	1979	16	993	J Heterocycl Chem	
Holzappel, C	1987	17	1349	Synth Commun	HCAPLUS
Hooper, M	1972		1607	J Chem Soc, Perkin T	HCAPLUS
Horwell, D	1994	59	4418	J Org Chem	HCAPLUS
Hosmane, R	1973		2450	J Chem Soc, Perkin T	HCAPLUS
Houghton, E	1969		595	J Chem Soc C	HCAPLUS
Houlihan, W	1981	46	4511	J Org Chem	HCAPLUS
Howe, E	1945	67	38	J Am Chem Soc	HCAPLUS
Hudkins, R	1995	60	6218	J Org Chem	HCAPLUS
Hudson, C	1967	20	1699	Aust J Chem	HCAPLUS
Huegel, H	1983		935	Synthesis	HCAPLUS
Huffmann, W	1983	26	933	J Med Chem	
Hughes, D	1993	58	228	J Org Chem	HCAPLUS
Hughes, D	1993	25	609	Org Prep Proced Int	
Huntress, E	1956	78	419	J Am Chem Soc	HCAPLUS
Hutchins, S	1996	37	4869	Tetrahedron Lett	HCAPLUS
Huynh-Dinh, T	1985	26	4443	Tetrahedron Lett	
Hwu, J	1994	59	1577	J Org Chem	HCAPLUS
Ichikawa, J	1997		1537	Chem Commun	HCAPLUS
Iida, H	1980	45	2938	J Org Chem	HCAPLUS
Ijaz, A	1990		116	J Chem Res S	HCAPLUS
Ijaz, A	1989	1	364	Sci Int	HCAPLUS
Ikeda, M	1976		2587	J Chem Soc, Perkin T	HCAPLUS
Illi, V	1979		136	Synthesis	HCAPLUS
Illi, V	1979		387	Synthesis	HCAPLUS
Illy, H	1968	33	4283	J Org Chem	HCAPLUS
Inada, A	1980		1287	Chem Lett	HCAPLUS
Inada, S	1976	49	833	Bull Chem Soc	HCAPLUS

Inagaki, S	1990		179	J Chem Soc, Perkin T	HCAPLUS
Inanaga, J	1991	32	1737	Tetrahedron Lett	HCAPLUS
Ipach, I	1979	112	2565	Chem Ber	HCAPLUS
Iqbal, Z	1988	29	2577	Tetrahedron Lett	HCAPLUS
Iritani, K	1988	29	1799	Tetrahedron Lett	HCAPLUS
Ishibashi, H	1986	23	1163	J Heterocycl Chem	HCAPLUS
Ishibashi, H	1993	23	2381	Synth Commun	HCAPLUS
Ishii, H	1981	14	275	Acc Chem Res	HCAPLUS
Ishii, H	1990	38	597	Chem Pharm Bull	HCAPLUS
Ishii, H	1973	29	1991	Tetrahedron	HCAPLUS
Ishikura, M	1996		2409	Chem Commun	HCAPLUS
Ishikura, M	1990	31	2091	Heterocycles	HCAPLUS
Ishikura, M	1995	41	1385	Heterocycles	HCAPLUS
Ishikura, M	1995	41	2437	Heterocycles	HCAPLUS
Ishikura, M	1996	43	1591	Heterocycles	HCAPLUS
Ishikura, M	1997	45	2309	Heterocycles	HCAPLUS
Ishikura, M	1989		135	J Chem Soc, Chem Com	HCAPLUS
Ishikura, M	1989		727	J Chem Soc, Chem Com	HCAPLUS
Ishikura, M	1991		1219	J Chem Soc, Chem Com	HCAPLUS
Ishikura, M	1987	24	377	J Heterocycl Chem	
Ishikura, M	1984		936	Synthesis	HCAPLUS
Ishikura, M	1992	33	6849	Tetrahedron Lett	HCAPLUS
Ishizumi, K	1967	15	863	Chem Pharm Bull	HCAPLUS
Itahara, I	1983		1361	J Chem Soc, Perkin T	
Itahara, T	1982	55	3861	Bull Chem Soc	HCAPLUS
Itahara, T	1982		1151	Chem Lett	HCAPLUS
Itahara, T	1979		151	Synthesis	HCAPLUS
Itahara, T	1984		236	Synthesis	HCAPLUS
Ito, Y	1978	51	1186	Bull Chem Soc	HCAPLUS
Ito, Y	1984	57	73	Bull Chem Soc	HCAPLUS
Ito, Y	1979	44	2030	J Org Chem	HCAPLUS
Iwao, M	1992	34	1031	Heterocycles	HCAPLUS
Iwao, M	1993	36	29	Heterocycles	HCAPLUS
Iwao, M	1997	53	51	Tetrahedron	HCAPLUS
Iwao, M	1995	36	5929	Tetrahedron Lett	HCAPLUS
Iwasaki, M	1991	56	1922	J Org Chem	HCAPLUS
Iyer, R	1973		872	J Chem Soc, Perkin T	HCAPLUS
Izumi, T	1992	29	1085	J Heterocycl Chem	HCAPLUS
Izumi, T	1992	29	1625	J Heterocycl Chem	HCAPLUS
Izumi, T	1992	29	899	J Heterocycl Chem	HCAPLUS
Jackson, A	1965		1652	Chem Ind	HCAPLUS
Jackson, A	1969		2738	J Chem Soc C	HCAPLUS
Jackson, A	1987		1215	J Chem Soc, Perkin T	HCAPLUS
Jackson, A	1987		1483	J Chem Soc, Perkin T	HCAPLUS
Jackson, A	1968	24	6119	Tetrahedron	HCAPLUS
Jackson, P	1990		2156	J Chem Soc, Perkin T	HCAPLUS
Jackson, P	1992	48	7447	Tetrahedron	HCAPLUS
James, P	1963	4	539	Org Synth, Coll	
Jansen, A	1964		5573	J Chem Soc	HCAPLUS
Jardine, R	1965	43	1293	Can J Chem	HCAPLUS
Jawdosiuik, M	1978	56	218	Can J Chem	HCAPLUS
Jeannin, L	1995	36	2057	Tetrahedron Lett	HCAPLUS
Jeschke, T	1993	34	6471	Tetrahedron Lett	HCAPLUS
Johnson, A	1966		819	Tetrahedron Lett	HCAPLUS
Johnson, D	1986	24	2127	Heterocycles	HCAPLUS
Johnson, H	1963	28	2794	J Org Chem	HCAPLUS
Johnson, J	1945	67	423	J Am Chem Soc	HCAPLUS
Johnson, W	1960	8	5143	J Am Chem Soc	
Jones, C	1972	37	3622	J Org Chem	HCAPLUS
Jones, C	1972	37	3624	J Org Chem	HCAPLUS
Jones, G	1993	58	5558	J Org Chem	HCAPLUS
Jones, K	1992		1766	J Chem Soc, Chem Com	HCAPLUS
Jones, K	1989	30	2657	Tetrahedron Lett	HCAPLUS

Jones, R	1984		2541	J Chem Soc, Perkin T	HCAPLUS
Jones, R	1980	45	4515	J Org Chem	HCAPLUS
Jones, R	1981	37	1597	Tetrahedron	HCAPLUS
Joseph, B	1996	26	3289	Synth Commun	HCAPLUS
Joshi, K	1980	111	1343	Monatsh Chem	HCAPLUS
Julia, M	1960		741	Bull Soc Chim Fr	HCAPLUS
Julia, M	1966		2291	Bull Soc Chim Fr	HCAPLUS
Julia, M	1973		1424	Bull Soc Chim Fr	HCAPLUS
Julia, M	1973		2046	Bull Soc Chim Fr	HCAPLUS
Julian, P	1933	55	2105	J Am Chem Soc	HCAPLUS
Julie, M	1966		1335	Bull Soc Chim Fr	HCAPLUS
Junjappa, H	1975		798	Synthesis	HCAPLUS
Kalir, A	1966	4	155	Isr J Chem	HCAPLUS
Kametani, T	1980	14	277	Heterocycles	HCAPLUS
Kanaoka, T	1971	36	458	J Org Chem	HCAPLUS
Kaneko, C	1980	28	1157	Chem Pharm Bull	HCAPLUS
Kano, S	1981	46	2979	J Org Chem	HCAPLUS
Kasahara, A	1986	59	927	Bull Chem Soc	HCAPLUS
Kasahara, A	1988		50	Chem Ind	HCAPLUS
Kasahara, A	1987	24	1555	J Heterocycl Chem	HCAPLUS
Kasahara, A	1989	26	1405	J Heterocycl Chem	HCAPLUS
Kashimura, M	1983	31	2892	Chem Pharm Bull	HCAPLUS
Kasperek, S	1966	44	2805	Can J Chem	HCAPLUS
Katritzky, A	1987	26	1333	Heterocycles	HCAPLUS
Katritzky, A	1990	30	407	Heterocycles	HCAPLUS
Katritzky, A	1986	108	6808	J Am Chem Soc	HCAPLUS
Katritzky, A	1987	24	641	J Heterocycl Chem	HCAPLUS
Katritzky, A	1989	26	829	J Heterocycl Chem	HCAPLUS
Katritzky, A	1990	55	3688	J Org Chem	HCAPLUS
Katritzky, A	1995	60	3401	J Org Chem	HCAPLUS
Katritzky, A	1997	62	4148	J Org Chem	HCAPLUS
Katritzky, A	1991	23	357	Org Prep Proced Int	HCAPLUS
Katritzky, A	1988	18	1151	Synth Commun	HCAPLUS
Katritzky, A	1995	25	539	Synth Commun	HCAPLUS
Katritzky, A	1993	49	2829	Tetrahedron	HCAPLUS
Katritzky, A	1985	26	5935	Tetrahedron Lett	HCAPLUS
Katz, A	1988	31	1244	J Med Chem	HCAPLUS
Kawasaki, I	1996	44	1831	Chem Pharm Bull	HCAPLUS
Kawasaki, T	1991	32	221	Heterocycles	HCAPLUS
Kawasaki, T	1991		701	Synthesis	HCAPLUS
Kawase, M	1990	38	2939	Chem Pharm Bull	HCAPLUS
Kawase, M	1984		1401	J Chem Soc, Perkin T	HCAPLUS
Kawase, M	1987	24	1499	J Heterocycl Chem	HCAPLUS
Kawate, T	1997		761	Synlett	HCAPLUS
Keil, J	1990	31	4581	Tetrahedron Lett	HCAPLUS
Kelly, A	1966	44	2455	Can J Chem	HCAPLUS
Kempton, G	1965	300	169	J Prakt Chem	HCAPLUS
Kende, A	1990	20	2133	Synth Commun	HCAPLUS
Keris, D	1987		1660	J Chem Soc, Chem Com	HCAPLUS
Ketcha, D	1985	50	5451	J Org Chem	HCAPLUS
Ketcha, D	1989	54	4350	J Org Chem	HCAPLUS
Khan, M	1977	25	3110	Chem Pharm Bull	HCAPLUS
Khan, M	1970		85	J Chem Soc C	HCAPLUS
Khan, M	1978	15	913	J Heterocycl Chem	HCAPLUS
Khan, M	1979	16	1483	J Heterocycl Chem	HCAPLUS
Kiguchi, T	1989		778	Synthesis	HCAPLUS
Kikugawa, Y	1994	37	293	Heterocycles	HCAPLUS
Kikugawa, Y	1981		460	Synthesis	HCAPLUS
Kikugawa, Y	1981		461	Synthesis	HCAPLUS
Kim, G	1997	45	1979	Heterocycles	HCAPLUS
Kim, P	1981	18	1373	J Heterocycl Chem	HCAPLUS
Kirby, G	1965		381	Chem Commun	HCAPLUS
Kisaki, S	1974	22	2246	Chem Pharm Bull	HCAPLUS

Kline, T	1985	22	505	J Heterocycl Chem	HCAPLUS
Knittel, D	1985		186	Synthesis	HCAPLUS
Kobayashi, T	1964	20	2055	Tetrahedron	HCAPLUS
Kobayashi, Y	1974	39	1836	J Org Chem	HCAPLUS
Koerber-Ple, K	1994		759	Synlett	HCAPLUS
Kondo, Y	1996	42	105	Heterocycles	
Kondo, Y	1996	43	2741	Heterocycles	HCAPLUS
Kondo, Y	1995		1207	J Chem Soc, Perkin T	HCAPLUS
Kondo, Y	1996		2331	J Chem Soc, Perkin T	HCAPLUS
Kondo, Y	1997	62	6507	J Org Chem	HCAPLUS
Kondo, Y	1994	50	11803	Tetrahedron	HCAPLUS
Konopelski, J	1996		609	Synlett	HCAPLUS
Kornet, M	1980	45	30	J Org Chem	HCAPLUS
Kornfield, E	1951	16	806	J Org Chem	
Korte, F	1963	19	1423	Tetrahedron	HCAPLUS
Kosuge, T	1985	33	1414	Chem Pharm Bull	HCAPLUS
Kotsuki, H	1990	55	2969	J Org Chem	HCAPLUS
Kotsuki, H	1996	61	984	J Org Chem	HCAPLUS
Kotsuki, H	1996	37	3727	Tetrahedron Lett	HCAPLUS
Kozikowski, A	1980	14	55	Heterocycles	HCAPLUS
Kozikowski, A	1986	27	61	Isr J Chem	HCAPLUS
Kozikowski, A	1978		1076	J Chem Soc, Chem Com	HCAPLUS
Kozikowski, A	1980	45	3350	J Org Chem	HCAPLUS
Kozikowski, A	1985	26	4047	Tetrahedron Lett	HCAPLUS
Kozikowski, A	1991	32	3317	Tetrahedron Lett	HCAPLUS
Kraus, G	1983		1198	J Chem Soc, Chem Com	HCAPLUS
Kraus, G	1978		3195	Tetrahedron Lett	HCAPLUS
Kreher, R	1980	113	3675	Chem Ber	HCAPLUS
Krolski, M	1988	53	1170	J Org Chem	HCAPLUS
Kruse, L	1981	16	1119	Heterocycles	HCAPLUS
Kruse, L	1984	49	4761	J Org Chem	HCAPLUS
Kubo, A	1981	16	1441	Heterocycles	HCAPLUS
Kubo, A	1980		365	Synthesis	HCAPLUS
Kucklaender, U	1972	28	5251	Tetrahedron	HCAPLUS
Kuehm-Caubere, C	1997		2857	J Chem Soc, Perkin T	
Kuehm-Caubere, C	1997	40	1201	J Med Chem	HCAPLUS
Kuehn, H	1937	70	567	Chem Ber	
Kuehne, M	1976	41	2742	J Org Chem	HCAPLUS
Kumar, Y	1983	13	489	Synth Commun	HCAPLUS
Kurihara, T	1985	23	2221	Heterocycles	HCAPLUS
Kurihara, T	1987		396	Synthesis	HCAPLUS
Labadie, S	1994	59	4250	J Org Chem	HCAPLUS
Lalonde, J	1988	53	2323	J Org Chem	HCAPLUS
Lanzilotti, A	1979	44	4809	J Org Chem	HCAPLUS
Larock, R	1991	113	6689	J Am Chem Soc	HCAPLUS
Larock, R	1996	61	3584	J Org Chem	HCAPLUS
Larock, R	1984	25	4459	Tetrahedron Lett	HCAPLUS
Larock, R	1987	28	5291	Tetrahedron Lett	HCAPLUS
Lavilla, R	1997	25	169	Bioorg Chem	HCAPLUS
Lavilla, R	1991		842	Synthesis	HCAPLUS
Lavilla, R	1997	53	13959	Tetrahedron	HCAPLUS
Laws, A	1987		591	J Chem Soc, Perkin T	HCAPLUS
Le Corre, M	1985	41	5313	Tetrahedron	HCAPLUS
Lee, A	1995	32	1	J Heterocycl Chem	HCAPLUS
Leete, E	1953	31	775	Can J Chem	HCAPLUS
Leete, E	1959	81	6023	J Am Chem Soc	HCAPLUS
Leggetter, B	1960	38	1467	Can J Chem	HCAPLUS
Legters, J	1992	111	16	Recl Trav Chim Pays-	HCAPLUS
Levy, A	1978	43	4684	J Org Chem	HCAPLUS
Li, J	1988		73	Synthesis	HCAPLUS
Li, M	1994	35	6255	Tetrahedron Lett	HCAPLUS
Lim, M	1987	28	3775	Tetrahedron Lett	HCAPLUS
Littell, R	1970	92	3740	J Am Chem Soc	HCAPLUS

Littell, R	1973	38	1504	J Org Chem	HCAPLUS
Lloyd, D	1986	51	4294	J Org Chem	HCAPLUS
Lloyd, D	1983	24	4561	Tetrahedron Lett	HCAPLUS
Lo, Y	1980	17	1663	J Heterocycl Chem	HCAPLUS
Lora-Tamaya, M	1976	8	45	Org Prep Proc Int	
Lorenz, R	1965	30	2531	J Org Chem	HCAPLUS
Loudon, J	1960		3466	J Chem Soc	HCAPLUS
Love, B	1993	35	1259	Heterocycles	HCAPLUS
Love, B	1994	59	3219	J Org Chem	HCAPLUS
Macor, D	1993	23	65	Synth Commun	
Macor, J	1997		443	Chem Commun	HCAPLUS
Macor, J	1990	31	1497	Heterocycles	HCAPLUS
Macor, J	1989	54	4785	J Org Chem	HCAPLUS
Macor, J	1991	32	7195	Tetrahedron Lett	HCAPLUS
Madelung, W	1924	67	234	Ber Dtsch Chem Ges	
Maehr, H	1981	46	1752	J Org Chem	HCAPLUS
Maerky, M	1979	62	2129	Helv Chim Acta	HCAPLUS
Magnus, P	1984	17	35	Acc Chem Res	HCAPLUS
Magnus, P	1983	39	3725	Tetrahedron	HCAPLUS
Magnus, P	1998	39	4595	Tetrahedron Lett	HCAPLUS
Mahboobi, S	1988	71	2034	Helv Chim Acta	HCAPLUS
Majchrzak, M	1986		956	Synthesis	HCAPLUS
Majo, V	1996	61	6523	J Org Chem	HCAPLUS
Makisumi, Y	1976	24	770	Chem Pharm Bull	HCAPLUS
Makosza, M	1988		203	Liebigs Ann Chem	HCAPLUS
Makosza, M	1997		1805	Liebigs Annalen/Recu	HCAPLUS
Mali, R	1990	20	2041	Synth Commun	HCAPLUS
Mali, R	1984		862	Synthesis	HCAPLUS
Marchant, R	1951		1808	J Chem Soc	HCAPLUS
Marfat, A	1987	28	4027	Tetrahedron Lett	HCAPLUS
Marinelli, E	1982	23	2745	Tetrahedron Lett	HCAPLUS
Marinone, A	1983		0147	J Chem Res M	
Markgraf, J	1996	52	461	Tetrahedron	HCAPLUS
Martin, P	1984	67	1647	Helv Chim Acta	HCAPLUS
Martin, P	1988	71	344	Helv Chim Acta	HCAPLUS
Maruoka, K	1993	58	7638	J Org Chem	HCAPLUS
Marvel, C	1932	1	321	Org Synth, Coll	
Masters, R	1989	45	5955	Tetrahedron	
Matsumoto, M	1985	23	165	Heterocycles	HCAPLUS
Matsumoto, M	1986	24	1667	Heterocycles	HCAPLUS
Matsumoto, M	1986	24	2611	Heterocycles	HCAPLUS
Matsumoto, M	1986	24	3149	Heterocycles	HCAPLUS
Matsumoto, M	1986	24	3157	Heterocycles	HCAPLUS
Matsumoto, T	1981	45	2031	Agric Biol Chem	HCAPLUS
Mattock, G	1964	42	484	Can J Chem	
Mayer, J	1996	37	5633	Tetrahedron Lett	HCAPLUS
McKittrick, B	1990	27	2151	J Heterocycl Chem	HCAPLUS
Mehta, G	1978		374	Synthesis	HCAPLUS
Melhado, L	1983	48	5130	J Org Chem	HCAPLUS
Merlic, C	1990	391	C-23	J Organomet Chem	
Merlic, C	1997	38	7661	Tetrahedron Lett	HCAPLUS
Merour, J	1982		1053	Synthesis	HCAPLUS
Metlesics, W	1964	29	1621	J Org Chem	HCAPLUS
Miki, T	1996	37	7753	Tetrahedron Lett	
Miki, Y	1997	45	1143	Heterocycles	HCAPLUS
Miller, B	1980	102	4772	J Am Chem Soc	HCAPLUS
Miller, F	1978	43	3384	J Org Chem	HCAPLUS
Miller, F	1978	43	3388	J Org Chem	HCAPLUS
Mills, K	1981		636	J Chem Soc, Perkin T	HCAPLUS
Minato, A	1981	22	5319	Tetrahedron Lett	HCAPLUS
Misra, P	1989	30	3569	Tetrahedron Lett	HCAPLUS
Mistry, A	1986	27	1051	Tetrahedron Lett	HCAPLUS
Mitchell, G	1987		413	J Chem Soc, Perkin T	

Miyashita, K	1996		1261	J Chem Soc, Perkin T	HCAPLUS
Modi, S	1995	72	125	Org Synth	HCAPLUS
Modi, S	1990	46	5555	Tetrahedron	HCAPLUS
Moeckel, P	1966	6	342	Z Chem	HCAPLUS
Mohan, B	1985		188	Synthesis	HCAPLUS
Mohan, R	1996	37	3963	Tetrahedron Lett	HCAPLUS
Mohanakrishnan, A	1995	25	2407	Synth Commun	HCAPLUS
Monge, A	1991	26	179	Eur J Med Chem	HCAPLUS
Monge, A	1985	22	1445	J Heterocycl Chem	HCAPLUS
Monge, A	1984		160	Synthesis	HCAPLUS
Monti, S	1971	27	3331	Tetrahedron	HCAPLUS
Moody, C	1984		1333	J Chem Soc, Perkin T	HCAPLUS
Moody, C	1990		673	J Chem Soc, Perkin T	HCAPLUS
Moody, C	1993		2561	J Chem Soc, Perkin T	HCAPLUS
Moody, C	1989	30	4017	Tetrahedron Lett	HCAPLUS
Morales-Rios	1988	26	552	Magn Reson Chem	HCAPLUS
Mori, M	1978	9	391	Heterocycles	HCAPLUS
Mori, M	1977		1037	Tetrahedron Lett	HCAPLUS
Mori, M	1979		1133	Tetrahedron Lett	HCAPLUS
Moriarty, R	1988		1621	J Chem Soc, Chem Com	HCAPLUS
Moriarty, R	1988	7	660	Organometallics	HCAPLUS
Moriarty, R	1987	28	3071	Tetrahedron Lett	HCAPLUS
Moskal, J	1986	51	4131	J Org Chem	HCAPLUS
Mousseron-Canet, M	1967		1296	Bull Soc Chim Fr	HCAPLUS
Moyer, M	1986	51	5106	J Org Chem	HCAPLUS
Muchowski, J	1969	47	857	Can J Chem	HCAPLUS
Muchowski, J	1984	49	203	J Org Chem	HCAPLUS
Muchowski, J	1987	28	3453	Tetrahedron Lett	HCAPLUS
Mudry, C	1973	29	603	Tetrahedron	HCAPLUS
Murai, Y	1992	34	1017	Heterocycles	HCAPLUS
Murakami, Y	1985	33	4707	Chem Pharm Bull	HCAPLUS
Murakami, Y	1988	36	2023	Chem Pharm Bull	HCAPLUS
Murakami, Y	1993	41	1910	Chem Pharm Bull	HCAPLUS
Murakami, Y	1995	43	1281	Chem Pharm Bull	HCAPLUS
Murakami, Y	1997	45	1739	Chem Pharm Bull	HCAPLUS
Murakami, Y	1984	22	1211	Heterocycles	HCAPLUS
Murakami, Y	1985	23	201	Heterocycles	HCAPLUS
Murakami, Y	1988	27	1855	Heterocycles	HCAPLUS
Murakami, Y	1989	30	2099	Tetrahedron Lett	HCAPLUS
Murase, M	1991	39	489	Chem Pharm Bull	HCAPLUS
Murase, M	1990	30	905	Heterocycles	HCAPLUS
Muratake, H	1998	46	400	Chem Pharm Bull	HCAPLUS
Muratake, H	1998	46	559	Chem Pharm Bull	HCAPLUS
Muratake, H	1989	29	771	Heterocycles	HCAPLUS
Muratake, H	1989	29	783	Heterocycles	HCAPLUS
Muratake, H	1990	31	683	Heterocycles	HCAPLUS
Muratake, H	1990	31	691	Heterocycles	HCAPLUS
Muratake, H	1987	28	2265	Tetrahedron Lett	HCAPLUS
Murphy, J	1997	38	7295	Tetrahedron Lett	HCAPLUS
Nagarajan, K	1981	20	672	Indian J Chem	
Nagarathnam, D	1992	29	953	J Heterocycl Chem	HCAPLUS
Nagarathnam, D	1992		743	Synthesis	HCAPLUS
Nagayoshi, T	1981	29	1920	Chem Pharm Bull	HCAPLUS
Nagayoshi, T	1977	6	1666	Heterocycles	HCAPLUS
Nagayoshi, Y	1984	32	3678	Chem Pharm Bull	
Nakagawa, K	1994	39	31	Heterocycles	HCAPLUS
Nakagawa, M	1990	30	451	Heterocycles	HCAPLUS
Nakatsuka, S	1987	26	65	Heterocycles	HCAPLUS
Nakatsuka, S	1986	27	4327	Tetrahedron Lett	HCAPLUS
Nakatsuka, S	1986	27	5735	Tetrahedron Lett	HCAPLUS
Nakatsuka, S	1987	28	3671	Tetrahedron Lett	HCAPLUS
Nakatsuka, S	1994	35	2699	Tetrahedron Lett	HCAPLUS
Narayanan, K	1990	31	3397	Tetrahedron Lett	HCAPLUS

Naruse, Y	1991	56	2256	J Org Chem	HCAPLUS
Naruse, Y	1995	60	8334	J Org Chem	HCAPLUS
Nechvatal, G	1982		467	J Chem Soc, Chem Com	HCAPLUS
Neidlein, R	1982	315	901	Arch Pharm	HCAPLUS
Neidlein, R	1980		971	Liebigs Ann Chem	HCAPLUS
Neidlein, R	1978		685	Synthesis	HCAPLUS
Neil, A	1953	75	1508	J Am Chem Soc	
Nettkoven, M	1995	36	1425	Tetrahedron Lett	
Nickisch, K	1980	113	2036	Chem Ber	HCAPLUS
Nimtz, M	1987		765	Liebigs Ann Chem	HCAPLUS
Nishio, T	1990	73	1719	Helv Chim Acta	HCAPLUS
Nishio, T			1567	J Chem Res M	
Nishio, T	1989		204	J Chem Res S	HCAPLUS
Nogrady, T	1969	47	1999	Can J Chem	HCAPLUS
Noland, W	1959	81	6010	J Am Chem Soc	HCAPLUS
Noland, W	1962	27	2250	J Org Chem	HCAPLUS
Noland, W	1963	28	2262	J Org Chem	HCAPLUS
Noland, W	1963	28	884	J Org Chem	HCAPLUS
Noland, W	1964	29	947	J Org Chem	HCAPLUS
Noland, W	1965	30	3457	J Org Chem	HCAPLUS
Noland, W	1966	31	345	J Org Chem	
Noland, W	1980	45	4582	J Org Chem	HCAPLUS
Noland, W	1973	5	567	Org Synth, Coll	
Nordlander, J	1981	46	778	J Org Chem	HCAPLUS
Nozoye, T	1977	25	196	Chem Pharm Bull	HCAPLUS
Nunomoto, S	1990		111	J Chem Soc, Perkin T	HCAPLUS
Ockenden, D	1957		3175	J Chem Soc	HCAPLUS
Oddo, B	1933	63	236	Gazz Chim	HCAPLUS
Odle, R	1980	45	2709	J Org Chem	HCAPLUS
Ohno, M	1991	32	1199	Heterocycles	HCAPLUS
Ohno, M	1997	53	9075	Tetrahedron	HCAPLUS
Ohta, T	1987	26	2817	Heterocycles	HCAPLUS
Ohta, T	1989	29	1663	Heterocycles	HCAPLUS
Oikawa, Y	1977	42	1213	J Org Chem	HCAPLUS
Oikawa, Y	1978		1759	Tetrahedron Lett	HCAPLUS
Ojima, I	1989	54	4511	J Org Chem	HCAPLUS
Okauchi, T	2000	2	1485	Organic Lett	HCAPLUS
Oklobdzija, M	1972	9	161	J Heterocycl Chem	HCAPLUS
Onistschenko, A	1989	122	2397	Chem Ber	HCAPLUS
Orlemans, E	1987	43	3817	Tetrahedron	HCAPLUS
Ozaki, Y	1997		679	Chem Lett	HCAPLUS
O'Sullivan, W	1972		849	Chem Ind	HCAPLUS
Padwa, A	1978	43	2029	J Org Chem	HCAPLUS
Padwa, A	1989	54	644	J Org Chem	HCAPLUS
Padwa, A	1992	57	3540	J Org Chem	HCAPLUS
Padwa, A	1994	59	7072	J Org Chem	HCAPLUS
Palla, G	1982	112	535	Gazz Chim	HCAPLUS
Palmer, M	1969		446	J Chem Soc B	HCAPLUS
Palmer, S	1997	40	1982	J Med Chem	HCAPLUS
Palmisano, G	1993	76	2356	Helv Chim Acta	HCAPLUS
Palmisano, G	1993		771	Synlett	HCAPLUS
Panunzio, M	1972		415	J Chem Soc, Chem Com	HCAPLUS
Papadopoulos, E	1968	33	4551	J Org Chem	HCAPLUS
Parker, K	1979	44	1536	J Org Chem	HCAPLUS
Parmerter, S	1958	80	4621	J Am Chem Soc	HCAPLUS
Passerini, M	1933	63	138	Gazz Chim	HCAPLUS
Patel, H	1963		4593	J Chem Soc	HCAPLUS
Patrick, J	1979		4009	Tetrahedron Lett	HCAPLUS
Patterson, J	1974	39	486	J Org Chem	HCAPLUS
Pausacker, K	1950		621	J Chem Soc	HCAPLUS
Pelchowiz, Z	1961		5418	J Chem Soc	
Pelkey, E	1997	38	5603	Tetrahedron Lett	HCAPLUS
Person, P	1991	26	473	Eur J Med Chem	

Petrov, V	1970		573	Chem Heterocycl Comp	
Petrov, V	1970	6	622	Khim Geterotsikl Soe	
Pfeil, E	1967	6	178	Angew Chem Int Ed	
Pfeuffer, L	1987	111	84	Chem-Ztg	HCAPLUS
Pfeuffer, L	1988	71	467	Helv Chim Acta	HCAPLUS
Philips, R	1983	24	5555	Tetrahedron Lett	
Phillips, R	1959	10	143	Org React	HCAPLUS
Piers, E	1962	40	559	Can J Chem	HCAPLUS
Piers, K	1963	41	2399	Can J Chem	HCAPLUS
Pindur, U	1989	89	1681	Chem Rev	HCAPLUS
Pindur, U	1988	71	1060	Helv Chim Acta	HCAPLUS
Pindur, U	1989	29	11	Heterocycles	HCAPLUS
Pindur, U	1997		1861	J Chem Soc, Perkin T	HCAPLUS
Pindur, U	1987	24	289	J Heterocycl Chem	HCAPLUS
Pindur, U	1988	25	1199	J Heterocycl Chem	HCAPLUS
Pindur, U	1986		1621	Liebigs Ann Chem	HCAPLUS
Pindur, U	1986	117	375	Monatsh Chem	HCAPLUS
Pindur, U	1989	45	6427	Tetrahedron	HCAPLUS
Pindur, U	1987	28	3079	Tetrahedron Lett	HCAPLUS
Pinto, A	1994	35	8923	Tetrahedron Lett	HCAPLUS
Plant, S	1936		40	J Chem Soc	HCAPLUS
Plate, R	1987		2473	J Chem Soc, Perkin T	HCAPLUS
Plate, R	1986	42	4511	Tetrahedron	HCAPLUS
Player, M	1993	30	125	J Heterocycl Chem	HCAPLUS
Pleininger, H	1970	743	95	Justus Liebigs Ann C	
Plescia, S	1979	16	805	J Heterocycl Chem	HCAPLUS
Plieninger, H	1961	73	433	Angew Chem	HCAPLUS
Plieninger, H	1955	88	1961	Chem Ber	HCAPLUS
Plieninger, H	1956	89	270	Chem Ber	HCAPLUS
Plieninger, H	1975	108	1776	Chem Ber	HCAPLUS
Plieninger, H	1964	680	69	Justus Liebigs Ann C	HCAPLUS
Plieninger, H	1967	98	807	Monatsh Chem	HCAPLUS
Poletto, J	1970	35	1190	J Org Chem	HCAPLUS
Ponticello, G	1979	44	4003	J Org Chem	HCAPLUS
Popp, F	1975	18	1	Adv Heterocycl Chem	HCAPLUS
Posvic, H	1974	39	2575	J Org Chem	HCAPLUS
Potts, K	1973	5	769	Org Synth, Coll	
Powers, J	1967	89	5812	J Am Chem Soc	HCAPLUS
Powers, J	1966	31	2627	J Org Chem	HCAPLUS
Prasad, G	1991	32	5035	Tetrahedron Lett	HCAPLUS
Prashad, M	1995	25	95	Synth Commun	HCAPLUS
Prasitpan, N	1992	29	335	J Heterocycl Chem	HCAPLUS
Pretka, J	1954	19	1080	J Org Chem	HCAPLUS
Prochazka, M	1990	44	610	Acta Chem Scand	HCAPLUS
Prochazka, M	1990	44	614	Acta Chem Scand	HCAPLUS
Przheval'skii, N	1988				HCAPLUS
Przheval'skii, N	1988	24	188	Khim Geterotsikl Soe	
Quallich, G	1993		51	Synthesis	HCAPLUS
Raban, M	1980	45	1688	J Org Chem	HCAPLUS
Raban, M	1980	45	1688	J Org Chem	HCAPLUS
RajanBabu, T	1985	107	5473	J Am Chem Soc	HCAPLUS
RajanBabu, T	1986	51	1704	J Org Chem	HCAPLUS
Rajeswaran, W	1997	38	7813	Tetrahedron Lett	HCAPLUS
Rajeswari, S	1989	29	415	Heterocycles	HCAPLUS
Rama Rao, A	1986	42	5065	Tetrahedron	
Ranganathan, D	1980	45	1185	J Org Chem	HCAPLUS
Raucher, S	1983	48	2066	J Org Chem	HCAPLUS
Raucher, S	1986	51	123	J Org Chem	HCAPLUS
Rawal, V	1985	26	6141	Tetrahedron Lett	HCAPLUS
Rees, C	1965		680	J Chem Soc	HCAPLUS
Reissert, A	1897	30	1030	Ber Dtsch Chem Ges	
Remers, W	1971	36	1232	J Org Chem	HCAPLUS
Remers, W	1971	36	1241	J Org Chem	HCAPLUS

Repke, D	1982	19	845	J Heterocycl Chem	HCAPLUS
Repke, D	1985	28	892	J Med Chem	HCAPLUS
Reppe, W	1956	601	81	Justus Liebigs Ann C	HCAPLUS
Rewcastle, G	1994	37	701	Heterocycles	HCAPLUS
Rinehardt, K	1987	109	3378	J Am Chem Soc	
Rinehart, K	1987	109	3378	J Am Chem Soc	HCAPLUS
Robertson, A	1927		1937	J Chem Soc	HCAPLUS
Robertson, D	1987	30	824	J Med Chem	HCAPLUS
Robinson, B	1987		2265	J Chem Soc, Perkin T	HCAPLUS
Robinson, B	1987	24	1321	J Heterocycl Chem	HCAPLUS
Robinson, B	1982			The Fischer Indole S	
Rodriguez, J	1986		1193	J Chem Soc, Perkin T	HCAPLUS
Rodriguez, J	1993	30	373	J Heterocycl Chem	HCAPLUS
Rogers, C	1987	24	941	J Heterocycl Chem	HCAPLUS
Rogers, C	1963	4	884	Org Synth, Coll	
Rogers, C	1963	4	884	Org Synth, Coll	
Rosenmund, P	1975	108	3538	Chem Ber	HCAPLUS
Roth, H	1976	309	81	Arch Pharm	HCAPLUS
Roth, K	1993		529	Synlett	HCAPLUS
Roue, N	1996	43	263	Heterocycles	HCAPLUS
Rubiralta, M	1988	44	443	Tetrahedron	HCAPLUS
Rubottom, G	1988	6	106	Org Synth, Coll	
Rubottom, G	1972		566	Synthesis	
Rudisill, D	1989	54	5856	J Org Chem	HCAPLUS
Ruggli, P	1917	50	883	Ber Dtsch Chem Ges	
Runti, C	1951	81	613	Gazz Chim	HCAPLUS
Russell, G	1991	56	663	J Org Chem	HCAPLUS
Russell, H	1991	56	871	J Org Chem	HCAPLUS
Russell, H	1985	17	391	Org Prep Proc Int	HCAPLUS
Rutenberg, M	1963	4	620	Org Synth, Coll	
Ryang, H	1972		77	J Chem Soc, Chem Com	HCAPLUS
Rydon, H	1955		3499	J Chem Soc	HCAPLUS
Saa, J	1992	57	589	J Org Chem	HCAPLUS
Saccarello, M	1979		727	Synthesis	HCAPLUS
Saito, I	1985	26	5891	Tetrahedron Lett	HCAPLUS
Saito, K	1979	16	1325	J Heterocycl Chem	HCAPLUS
Sakamoto, T	1987	35	1823	Chem Pharm Bull	HCAPLUS
Sakamoto, T	1988	36	1305	Chem Pharm Bull	HCAPLUS
Sakamoto, T	1988	36	2248	Chem Pharm Bull	HCAPLUS
Sakamoto, T	1984	22	1347	Heterocycles	HCAPLUS
Sakamoto, T	1988	27	453	Heterocycles	HCAPLUS
Sakamoto, T	1990	31	219	Heterocycles	HCAPLUS
Sakamoto, T	1993	36	941	Heterocycles	HCAPLUS
Sakamoto, T	1993		1941	J Chem Soc, Perkin T	HCAPLUS
Sakamoto, T	1996		1927	J Chem Soc, Perkin T	HCAPLUS
Sakamoto, T	1990		215	Synthesis	HCAPLUS
Sakamoto, T	1991	47	1877	Tetrahedron	HCAPLUS
Sakamoto, T	1993	34	5955	Tetrahedron Lett	HCAPLUS
Salas, M			1666	J Chem Res M	
Salas, M	1988		218	J Chem Res S	HCAPLUS
Samizu, K	1994		499	Synlett	HCAPLUS
Santangelo, F	1993	23	2717	Synth Commun	HCAPLUS
Santaniello, E	1979		617	Synthesis	HCAPLUS
Sanz-Cervera, J	1993	49	8471	Tetrahedron	HCAPLUS
Saroja, B	1986		748	Synthesis	HCAPLUS
Sasakura, K	1988	18	265	Synth Commun	HCAPLUS
Satake, K	1996	43	2361	Heterocycles	HCAPLUS
Sato, K	1989	30	4073	Tetrahedron Lett	HCAPLUS
Sato, M	1990	31	4697	Tetrahedron Lett	HCAPLUS
Satoh, M	1987		373	Synthesis	HCAPLUS
Satomura, M	1993	58	3757	J Org Chem	HCAPLUS
Satomura, M	1993	58	6936	J Org Chem	HCAPLUS
Saulnier, M	1982	47	757	J Org Chem	HCAPLUS

Saulnier, M	1983	24	5435	Tetrahedron Lett	HCAPLUS
Saxton, J	1952		3592	J Chem Soc	HCAPLUS
Schiemann, G	1933	66	727	Ber Dtsch Chem Ges	
Schiess, P	1974	57	2643	Helv Chim Acta	HCAPLUS
Schiess, P	1978	61	1364	Helv Chim Acta	HCAPLUS
Schiffl, E	1986	23	651	J Heterocycl Chem	HCAPLUS
Schlossberger, H	1963	662	132	Justus Liebigs Ann C	HCAPLUS
Schulenberg, J	1968	90	7008	J Am Chem Soc	HCAPLUS
Schulte, K	1977	305	523	Arch Pharm	
Schultz, A	1978	43	3391	J Org Chem	HCAPLUS
Scott, W	1976	41	1952	J Org Chem	HCAPLUS
Seki, K	1988	36	940	Chem Pharm Bull	HCAPLUS
Semmelhack, M	1982	240	C5	J Organometal Chem	HCAPLUS
Semmelhack, M	1981	23	3957	Tetrahedron	
Semmelhack, M	1993	34	1395	Tetrahedron Lett	HCAPLUS
Semmelhack, M	1993	34	1399	Tetrahedron Lett	HCAPLUS
Semmelhack, M	1993	34	5051	Tetrahedron Lett	HCAPLUS
Seshadri, S	1969	7	662	Indian J Chem	HCAPLUS
Setsune, J	1984		2305	J Chem Soc, Perkin T	HCAPLUS
Shafiee, A	1981		389	Synthesis	HCAPLUS
Shaw, K	1958	23	1171	J Org Chem	HCAPLUS
Shigenaga, S	1993	41	1589	Chem Pharm Bull	HCAPLUS
Shim, S	1996	26	1349	Synth Commun	HCAPLUS
Shima, I	1990	38	564	Chem Pharm Bull	HCAPLUS
Shimokawa, K	1993	34	7383	Tetrahedron Lett	HCAPLUS
Shin, K	1995		859	Synlett	HCAPLUS
Shirley, D	1953	75	375	J Am Chem Soc	HCAPLUS
Shiue, J	1993		1277	J Chem Soc, Chem Com	HCAPLUS
Shono, T	1983	24	1259	Tetrahedron Lett	HCAPLUS
Showalter, H	1997	40	413	J Med Chem	HCAPLUS
Showalter, H	1992	24	484	Org Prep Proc Int	HCAPLUS
Shriner, R	1955	3	725	Org Synth, Coll	
Shriner, R	1955	3	725	Org Synth, Coll	
Shvartsberg, M	1982	31	2226	Russ Chem Bull	
Shvartsberg, M	1982		2524	Ser Khim	HCAPLUS
Sinhababu, A	1983	48	3347	J Org Chem	HCAPLUS
Sirowej, H	1972		84	Synthesis	HCAPLUS
Smith, A	1986	42	2957	Tetrahedron	HCAPLUS
Smith, G	1963	2	287	Adv Heterocycl Chem	HCAPLUS
Smith, G	1954		3842	J Chem Soc	HCAPLUS
Smith, G	1973	29	669	Tetrahedron	HCAPLUS
Smith, K	1996		2793	J Chem Soc, Perkin T	HCAPLUS
Smith, P	1983	24	5169	Tetrahedron Lett	HCAPLUS
Smith, W	1996	37	299	Tetrahedron Lett	HCAPLUS
Snieckus, V	1990	90	879	Chem Rev	HCAPLUS
Snieckus, V	1970	35	3994	J Org Chem	HCAPLUS
Snyder, H	1955	77	1257	J Am Chem Soc	HCAPLUS
Snyder, H	1957	79	2217	J Am Chem Soc	HCAPLUS
Snyder, H	1958	80	4622	J Am Chem Soc	HCAPLUS
Soe, T	1996	42	347	Heterocycles	HCAPLUS
Soederberg, B	1997	62	5838	J Org Chem	
Somei, M	1980		813	Chem Lett	HCAPLUS
Somei, M	1978	26	2522	Chem Pharm Bull	HCAPLUS
Somei, M	1981	29	249	Chem Pharm Bull	HCAPLUS
Somei, M	1981	29	726	Chem Pharm Bull	HCAPLUS
Somei, M	1984	32	5064	Chem Pharm Bull	HCAPLUS
Somei, M	1985	33	3696	Chem Pharm Bull	HCAPLUS
Somei, M	1986	34	3971	Chem Pharm Bull	HCAPLUS
Somei, M	1986	34	4116	Chem Pharm Bull	HCAPLUS
Somei, M	1986	34	948	Chem Pharm Bull	HCAPLUS
Somei, M	1987	35	1322	Chem Pharm Bull	HCAPLUS
Somei, M	1987	35	3146	Chem Pharm Bull	HCAPLUS
Somei, M	1991	39	1905	Chem Pharm Bull	HCAPLUS

Somei, M	1998	46	191	Chem Pharm Bull	HCAPLUS
Somei, M	1981	16	1523	Heterocycles	HCAPLUS
Somei, M	1981	16	941	Heterocycles	HCAPLUS
Somei, M	1983	20	1797	Heterocycles	HCAPLUS
Somei, M	1983	20	1983	Heterocycles	HCAPLUS
Somei, M	1984	22	797	Heterocycles	HCAPLUS
Somei, M	1985	23	1101	Heterocycles	HCAPLUS
Somei, M	1985	23	3113	Heterocycles	HCAPLUS
Somei, M	1986	24	3065	Heterocycles	HCAPLUS
Somei, M	1988	27	1585	Heterocycles	HCAPLUS
Somei, M	1988	27	2363	Heterocycles	HCAPLUS
Somei, M	1989	29	1251	Heterocycles	HCAPLUS
Somei, M	1989	29	643	Heterocycles	HCAPLUS
Somei, M	1989	29	643	Heterocycles	HCAPLUS
Somei, M	1989	29	653	Heterocycles	HCAPLUS
Somei, M	1992	34	1285	Heterocycles	HCAPLUS
Somei, M	1992	34	1295	Heterocycles	HCAPLUS
Somei, M	1997	45	2327	Heterocycles	HCAPLUS
Somei, M	1997	46	91	Heterocycles	HCAPLUS
Song, H	1998	48	103	Heterocycles	HCAPLUS
Sosnovsky, G	1989	44b	582	Z Naturforsch, B: Ch	
Spadoni, G	1992	29	305	J Heterocycl Chem	HCAPLUS
Spaeth, E	1930	63	2102	Chem Ber	
Speckamp, W	1984	21	211	Heterocycles	HCAPLUS
Speeter, M	1954	76	6208	J Am Chem Soc	HCAPLUS
Srivastava, N	1983	22	707	Indian J Chem	
St Black, D	1980	33	343	Aust J Chem	
Stamos, I	1995	32	947	J Heterocycl Chem	HCAPLUS
Stamos, I	1980		663	Synthesis	HCAPLUS
Stephens, R	1963	28	3313	J Org Chem	HCAPLUS
Stjernlof, P	1995	38	2202	J Med Chem	MEDLINE
Stoll, A	1955	38	1452	Helv Chim Acta	HCAPLUS
Street, J	1987		1599	J Chem Soc, Perkin T	HCAPLUS
Street, L	1993	36	1529	J Med Chem	HCAPLUS
Stuetz, P	1977	6	109	Org Synth, Coll	
Su, H	1960	82	1187	J Am Chem Soc	HCAPLUS
Sugasawa, T	1979	44	578	J Org Chem	HCAPLUS
Sukari, M	1983		2219	J Chem Soc, Perkin T	HCAPLUS
Sukata, K	1983	56	280	Bull Chem Soc	HCAPLUS
Sumpter, W	1945	67	1657	J Am Chem Soc	
Sumpter, W	1945	67	499	J Am Chem Soc	HCAPLUS
Sundberg, R	1996			Indoles	
Sundberg, R	1969	6	441	J Heterocycl Chem	HCAPLUS
Sundberg, R	1981	18	807	J Heterocycl Chem	HCAPLUS
Sundberg, R	1965	30	3604	J Org Chem	HCAPLUS
Sundberg, R	1972	37	719	J Org Chem	HCAPLUS
Sundberg, R	1973	38	3324	J Org Chem	HCAPLUS
Sundberg, R	1984	49	249	J Org Chem	HCAPLUS
Sundberg, R	1988	53	5097	J Org Chem	HCAPLUS
Sundberg, R	1991	56	3048	J Org Chem	HCAPLUS
Sundberg, R	1970			The Chemistry of Ind	
Suresh, J	1997	53	14737	Tetrahedron	HCAPLUS
Suzuki, H	1984		616	Synthesis	HCAPLUS
Suzuki, K	1979		1241	Chem Lett	HCAPLUS
Suzuki, T	1997	45	101	Chem Pharm Bull	HCAPLUS
Swaminathan, S	1966	99	889	Chem Ber	HCAPLUS
Swaminathan, S	1958	23	707	J Org Chem	HCAPLUS
Szabo-Pusztay, K	1979		276	Synthesis	HCAPLUS
Szczepankiewicz, B	1997	53	8853	Tetrahedron	HCAPLUS
Szmuszkowicz, J	1957	79	2819	J Am Chem Soc	HCAPLUS
Takami, H	1996	39	5047	J Med Chem	HCAPLUS
Takechi, H	1988	36	3770	Chem Pharm Bull	HCAPLUS
Takeda, Y	1992	33	173	Heterocycles	HCAPLUS

Tambute, A	1974	278	1239	CR Acad Sci Ser C	HCAPLUS
Tamura, Y	1984	32	1995	Chem Pharm Bull	HCAPLUS
Tamura, Y	1980		1132	J Chem Soc, Perkin T	HCAPLUS
Tamura, Y	1978	15	425	J Heterocycl Chem	HCAPLUS
Tanaka, H	1989	62	3742	Bull Chem Soc	HCAPLUS
Tani, M	1990	38	3261	Chem Pharm Bull	HCAPLUS
Taniguchi, M	1983	31	1857	Chem Pharm Bull	
Taniguchi, M	1984	32	2545	Chem Pharm Bull	
Taniguchi, N	1981	37	1487	Tetrahedron	
Taylor, E	1985	26	5963	Tetrahedron Lett	HCAPLUS
ten Have, R	1998	54	1913	Tetrahedron	HCAPLUS
Teotino, U	1959	89	1853	Gazz Chim	HCAPLUS
Teranishi, K	1994		1018	Synthesis	HCAPLUS
Teranishi, K	1995		506	Synthesis	HCAPLUS
Terashima, M	1982	19	91	Heterocycles	HCAPLUS
Terent'ev, A	1958	121	481		HCAPLUS
Terent'ev, A	1958		118	Dokl Chem	
Terent'ev, A	1960		1238	J Gen Chem	
Terent'ev, A	1962	32	1311	J Gen Chem	
Terent'ev, A	1960	30	1218	Zh Obshch Khim	HCAPLUS
Terent'ev, A	1962	32	1335	Zh Obshch Khim	HCAPLUS
Terpko, M	1979	101	5281	J Am Chem Soc	HCAPLUS
Teuber, H	1956	89	489	Chem Ber	HCAPLUS
Teuber, H	1963	96	2617	Chem Ber	HCAPLUS
Thesing, J	1952	85	324	Chem Ber	HCAPLUS
Thesing, J	1954	87	692	Chem Ber	HCAPLUS
Thesing, J	1955	88	1295	Chem Ber	HCAPLUS
Thesing, J	1955	88	1978	Chem Ber	HCAPLUS
Thesing, J	1957	90	1419	Chem Ber	HCAPLUS
Thesing, J	1964	680	52	Justus Liebigs Ann C	HCAPLUS
Tietze, L	1994	59	192	J Org Chem	HCAPLUS
Tischler, A	1986	27	1653	Tetrahedron Lett	HCAPLUS
Tomita, K	1976	4	729	Heterocycles	HCAPLUS
Tomita, K	1976	4	733	Heterocycles	HCAPLUS
Toyota, M	1990	31	1431	Heterocycles	HCAPLUS
Toyota, M	1992		547	J Chem Soc, Perkin T	HCAPLUS
Treibs, W	1961	94	2142	Chem Ber	HCAPLUS
Troxler, F	1968	51	1203	Helv Chim Acta	HCAPLUS
Tsuji, Y	1986		1575	J Chem Soc, Chem Com	HCAPLUS
Tsuji, Y	1987	52	1673	J Org Chem	HCAPLUS
Tsuji, Y	1990	55	580	J Org Chem	HCAPLUS
Tyson, F	1955	3	479	Org Synth, Coll	
Uchica, M	1987	35	853	Chem Pharm Bull	
Uhle, F	1949	71	761	J Am Chem Soc	HCAPLUS
Uhle, F	1955	77	3334	J Am Chem Soc	HCAPLUS
Uhle, F	1960	82	1200	J Am Chem Soc	HCAPLUS
Unangst, P	1984	21	709	J Heterocycl Chem	HCAPLUS
Unangst, P	1987	24	811	J Heterocycl Chem	HCAPLUS
Unangst, P	1987	24	817	J Heterocycl Chem	HCAPLUS
Unangst, P	1996	33	2025	J Heterocycl Chem	HCAPLUS
Underwood, R	1992	22	343	Synth Commun	HCAPLUS
Utsunomiya, I	1995	43	37	Chem Pharm Bull	HCAPLUS
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van Alphen, J	1942	61	888	Recl Trav Chim Pays-	HCAPLUS
van Pee, K	1981		233	Liebigs Ann Chem	HCAPLUS
van Tamelen, E	1955	77	1860	J Am Chem Soc	HCAPLUS
Venemalm, L	1988	29	2993	Tetrahedron Lett	
Venkatachalam, T	1993	25	249	Org Prep Proc Int	HCAPLUS
Verboom, W	1986	42	5053	Tetrahedron	HCAPLUS
Vice, S	1985	26	165	Tetrahedron Lett	HCAPLUS
Villemin, D	1989	29	1255	Heterocycles	HCAPLUS
von Dobeneck, H	1962	95	1484	Chem Ber	
Vorbruggen, H	1994	50	6549	Tetrahedron	HCAPLUS

Wackerele, L	1975		598	Synthesis	
Wakamatsu, T	1980	14	1441	Heterocycles	HCAPLUS
Walker, G	1956	78	3698	J Am Chem Soc	HCAPLUS
Walker, G	1958	77	3844	J Am Chem Soc	
Walker, J	1970	13	983	J Med Chem	HCAPLUS
Walkup, R	1985	26	2155	Tetrahedron Lett	HCAPLUS
Walsh, D	1984	27	1379	J Med Chem	HCAPLUS
Walsh, D	1990	33	2296	J Med Chem	HCAPLUS
Walton, E	1965	8	204	J Med Chem	HCAPLUS
Wang, J	1997	38	3797	Tetrahedron Lett	HCAPLUS
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Wang, S	1997	38	7597	Tetrahedron Lett	HCAPLUS
Wang, Z	1996	61	816	J Org Chem	HCAPLUS
Weedon, A	1992		95	Synthesis	HCAPLUS
Welch, W	1977		645	Synthesis	HCAPLUS
Wender, P	1983	39	3767	Tetrahedron	HCAPLUS
Wender, P	1986	42	2985	Tetrahedron	HCAPLUS
Wender, P	1987	28	6125	Tetrahedron Lett	HCAPLUS
Wenkert, E	1988	110	7188	J Am Chem Soc	HCAPLUS
Wenkert, E	1986	51	2343	J Org Chem	HCAPLUS
Wenkert, E	1987	52	3404	J Org Chem	HCAPLUS
Wensbro, D	1995	51	10323	Tetrahedron	
Wensbro, D	1996	52	14975	Tetrahedron	
Whaley, W	1951	6	151	Org React	
Whaley, W	1951	6	74	Org React	
Wieland, T	1963	96	253	Chem Ber	HCAPLUS
Wieland, T	1954	587	146	Justus Liebigs Ann C	HCAPLUS
Wierenga, W	1983	24	2437	Tetrahedron Lett	HCAPLUS
Wilcox, M	1965	48	252	Helv Chim Acta	HCAPLUS
Wilkens, J	1987	43	3237	Tetrahedron	HCAPLUS
Williams, T	1993	36	1291	J Med Chem	HCAPLUS
Wirth, T	1994		717	Synlett	HCAPLUS
Wiseman, E	1973	16	131	J Med Chem	HCAPLUS
Wojciechowski, K	1986		651	Synthesis	HCAPLUS
Wojciechowski, K	1989		106	Synthesis	HCAPLUS
Wojciechowski, K	1984	25	4793	Tetrahedron Lett	HCAPLUS
Wojcieshowski, W	1986	95	671	Bull Soc Chim Belg	
Wolfe, J	1980	102	3646	J Am Chem Soc	HCAPLUS
Wolff, J	1986	42	4267	Tetrahedron	HCAPLUS
Wolman, Y	1975		732	Synthesis	HCAPLUS
Woolridge, E	1989	30	6117	Tetrahedron Lett	HCAPLUS
Wright, S	1996	37	4631	Tetrahedron Lett	HCAPLUS
Wrobel, Z	1993		597	Synlett	HCAPLUS
Yagil, G	1967	23	2855	Tetrahedron	HCAPLUS
Yamada, F	1987	26	1173	Heterocycles	HCAPLUS
Yamaguchi, M	1998		1399	Chem Commun	HCAPLUS
Yamamoto, H	1968	16	17	Chem Pharm Bull	HCAPLUS
Yamamoto, K	1982		1225	Chem Lett	HCAPLUS
Yamane, K	1972	45	269	Bull Chem Soc	HCAPLUS
Yamashita, A	1985	26	2969	Tetrahedron Lett	HCAPLUS
Yang, C	1993	58	3100	J Org Chem	HCAPLUS
Yang, L	1996	37	5041	Tetrahedron Lett	HCAPLUS
Yang, N	1989	111	8060	J Am Chem Soc	HCAPLUS
Yang, Y	1992	34	1169	Heterocycles	HCAPLUS
Yang, Y	1992	34	1395	Heterocycles	HCAPLUS
Yang, Y	1992	22	1757	Synth Commun	HCAPLUS
Yasuhara, A	1998	39	595	Tetrahedron Lett	HCAPLUS
Yokoyama, M	1987		846	Synthesis	HCAPLUS
Yokoyama, Y	1991		1125	Chem Lett	HCAPLUS
Yokoyama, Y	1991	39	2830	Chem Pharm Bull	HCAPLUS
Yokoyama, Y	1994	42	832	Chem Pharm Bull	HCAPLUS
Yokoyama, Y	1989	29	1661	Heterocycles	HCAPLUS
Yokoyama, Y	1990	31	803	Heterocycles	HCAPLUS

Yokoyama, Y	1993	36	1739	Heterocycles	HCAPLUS
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Yoneda, F	1967	15	8	Chem Pharm Bull	HCAPLUS
Yoshida, K	1987	35	4700	Chem Pharm Bull	HCAPLUS
Youmans, H	1976	13	949	J Heterocycl Chem	HCAPLUS
Young, E	1958		3493	J Chem Soc	HCAPLUS
Youngdale, G	1969	12	948	J Med Chem	HCAPLUS
Zelesko, M	1983	26	230	J Med Chem	HCAPLUS
Zembower, D	1994		1433	Synthesis	HCAPLUS
Zhang, D	1996	61	2594	J Org Chem	HCAPLUS
Zhang, H	1997	62	1804	J Org Chem	HCAPLUS
Zhang, H	1997	38	2439	Tetrahedron Lett	HCAPLUS
Zhang, P	1995	36	3401	Tetrahedron Lett	HCAPLUS
Zhang, R	1990		801	Synthesis	HCAPLUS
Zhang, Y	1993	115	8867	J Am Chem Soc	HCAPLUS
Zhao, D	1991	56	3001	J Org Chem	HCAPLUS
Zheng, Q	1994	37	1761	Heterocycles	HCAPLUS
Ziegler, F	1970	92	3492	J Am Chem Soc	HCAPLUS
Zorgdrager, J	1989	108	441	Recl Trav Chim Pays-	HCAPLUS

L143 ANSWER 9 OF 28 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2002:556140 HCAPLUS

DN 137:125159

TI Preparation and antiviral activity of heterocyclic substituted
2-methylbenzimidazole antiviral agents

IN Yu, Kuo-Long; Civiello, Rita L.; Combrink, Keith D.; Gulgeze, Hatice
Belgin; Sin, Ny; Wang, Xiangdong; Meanwell, Nicholas; Venables, Brian Lee;
Zhang, Yi; Pearce, Bradley C.; Yin, Zhiwei; Thuring, Jan Willem

PA Bristol-Myers Squibb Co., USA

SO U.S. Pat. Appl. Publ., 89 pp.

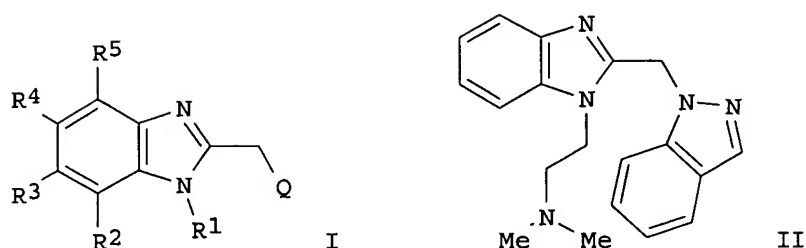
CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002099208	A1	20020725	US 2001-994012	20011116 <--
	US 6774134	B2	20040810		
	WO 2002062290	A2	20020815	WO 2001-US45149	20011120 <--
	WO 2002062290	A3	20021121		
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1343499	A2	20030917	EP 2001-270116		20011120 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2004520387	T2	20040708	JP 2002-562298	20011120 <--
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PRAI	US 2000-257139P	P	20001220	<--	
	US 2001-994012	A3	20011116	<--	
	WO 2001-US45149	W	20011120	<--	
OS	MARPAT 137:125159				
GI					



AB The title compds. [I; R1 = (CRaRb)nX; Ra, Rb = independently H, C1-6 (un)substituted alkyl; X = H, C1-6 (un)substituted alkyl; n = 1-6; R2, R5 = independently H or halogen; R3, R4 = independently H, halogen, C1-6 (un)substituted alkyl; Q = heterocyclic group], useful in the treatment of viral infections, more particularly, for the treatment of respiratory syncytial virus infection, were prepared E.g., a four-step synthesis of II, starting with 2-(chloromethyl)benzimidazole, was given. The antiviral activity of these compds. against respiratory syncytial virus (RSV) was determined in HEp-2 (ATCC CCL 23) cells. The title compds. I, disclosed herein, show antiviral activity with EC50s between 50 μ M and 0.001 μ M.

IT 443985-51-1P 443985-84-0P 443986-30-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation and use of heterocyclic substituted 2-methyl-benzimidazole antiviral agents)

IT 75-05-8, Acetonitrile, reactions 591-51-5, Phenyllithium
939-16-2 1822-51-1, 4-(Chloromethyl)pyridine
hydrochloride 5345-47-1, 2-Aminonicotinic acid
14338-32-0, 2-Chloro-1-methyl pyridinium iodide 37756-48-2
38700-15-1

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation and use of heterocyclic substituted 2-methyl-benzimidazole antiviral agents)

IT 443986-86-5P 443986-88-7P 443987-12-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and use of heterocyclic substituted 2-methyl-benzimidazole antiviral agents)

RETABLE

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Anon	2000			WO 0004900	HCAPLUS
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Anon	2001			WO 0100612	HCAPLUS
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Pagani, F	1965	104	427	Boll. Chim. Farm.	HCAPLUS
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Shigeta, S	1992	3	171	Antiviral Chemistry	HCAPLUS
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L143. ANSWER 10 OF 28 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2001:167995 HCAPLUS

DN 134:207833

TI Preparation of tricyclic inhibitors of poly(ADP-ribose) polymerases

IN Webber, Stephen Evan; Skaltitzky, Donald James; Tikhe, Jayashree Girish; Kumpf, Robert Arnold; Marakovits, Joseph Timothy; Eastman, Walter Brian

PA Agouron Pharmaceuticals, Inc., USA; Cancer Research Campaign Technology Limited

SO PCT Int. Appl., 236 pp.

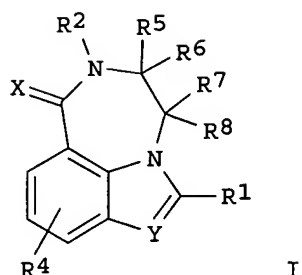
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001016136	A2	20010308	WO 2000-US23882	20000831 <--
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2382404	AA	20010308	CA 2000-2382404	20000831 <--
	AU 2000073389	A5	20010326	AU 2000-73389	20000831 <--
	EP 1208104	A2	20020529	EP 2000-961437	20000831 <--
	EP 1208104	B1	20050119		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
	BR 2000015051	A	20020625	BR 2000-15051	20000831 <--
	JP 2003513015	T2	20030408	JP 2001-519702	20000831 <--
	US 6548494	B1	20030415	US 2000-653184	20000831 <--
	EE 200200100	A	20030616	EE 2002-100	20000831 <--
	NZ 516793	A	20040326	NZ 2000-516793	20000831 <--
	AT 287406	E	20050215	AT 2000-961437	20000831 <--
	NO 2002000421	A	20020425	NO 2002-421	20020128 <--
	ZA 2002000830	A	20030130	ZA 2002-830	20020130 <--
	BG 106562	A	20030331	BG 2002-106562	20020329 <--
PRAI	US 1999-152142P	P	19990831	<--	
	WO 2000-US23882	W	20000831	<--	
OS	MARPAT 134:207833				
GI					



AB The title compds. [I; X = O, S; Y = N, CR3 (wherein R3 = halo, CN, alkyl, etc.); R1 = H, halo, CN, etc.; R2 = H, alkyl; R4 = H, halo, alkyl; R5-R8 = H, alkyl, alkenyl, aryl, etc.] which are poly(ADP-ribosyl)transferase inhibitors, and are useful in treating cancers and in ameliorating the effects of stroke, head trauma, and neurodegenerative disease, were prepared E.g., a multi-step synthesis of 1-phenyl-8,9-dihydro-7H-2,7,9a-triaza-benzo[cd]azulen-6-one [I; Y = N; X = O; R1 = Ph; R2, R4-R8 = H] was given. Biol. data for compds. I were presented.

IT 328542-32-1P 328544-08-7P 328544-40-7P
328544-55-4P 328544-57-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of tricyclic inhibitors of poly(ADP-ribose) polymerases)

IT 328542-08-1P 328542-16-1P 328542-26-3P
328542-78-5P 328543-35-7P 328544-06-5P
328544-22-5P 328544-25-8P 328546-05-0P
328546-07-2P 328546-09-4P 328546-13-0P
328546-15-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tricyclic inhibitors of poly(ADP-ribose) polymerases)

IT 107-13-1, Acrylonitrile, reactions 109-04-6,
2-Bromopyridine 591-51-5, Phenyllithium 626-55-1,
3-Bromopyridine 1945-84-2, 2-Ethynylpyridine 19524-06-2
, 4-Bromopyridine hydrochloride 39178-35-3, Isonicotinoyl
chloride hydrochloride 66608-11-5, 6-Chloronicotinoyl chloride
hydrochloride 328547-40-6 328547-41-7

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of tricyclic inhibitors of poly(ADP-ribose) polymerases)

IT 99163-12-9P 127406-55-7P 127406-56-8P
328546-85-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of tricyclic inhibitors of poly(ADP-ribose) polymerases)

L143 ANSWER 11 OF 28 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2000:911230 HCAPLUS

DN 134:71598

TI Preparation of 2-arylamino-5-cyanopyrimidines as inhibitors of KDR kinase and/or FGFR kinase.

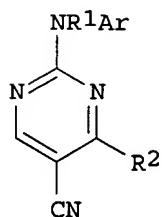
IN Batchelor, Mark James; Moffat, David Festus Charles; Davis, Jeremy Martin; Hutchings, Martin Clive

PA Celltech Chiroscience Limited, UK

SO PCT Int. Appl., 102 pp.

CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000078731	A1	20001228	WO 2000-GB2382	20000619 <--
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 6579983	B1	20030617	US 2000-596952	20000616 <--
	CA 2375182	AA	20001228	CA 2000-2375182	20000619 <--
	BR 2000011770	A	20020305	BR 2000-11770	20000619 <--
	EP 1187816	A1	20020320	EP 2000-940569	20000619 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	DE 10084704	T	20020529	DE 2000-10084704	20000619 <--
	GB 2369360	A1	20020529	GB 2001-30563	20000619 <--
	JP 2003502406	T2	20030121	JP 2001-504897	20000619 <--
	ES 2188429	A1	20030616	ES 2001-50085	20000619 <--
	AU 778533	B2	20041209	AU 2000-55488	20000619 <--
	BG 106116	A	20020731	BG 2001-106116	20011119 <--
	ZA 2001009841	A	20020429	ZA 2001-9841	20011129 <--
	NO 2001006162	A	20020218	NO 2001-6162	20011217 <--
	US 2002147339	A1	20021010	US 2002-151518	20020520 <--
	US 2004180914	A1	20040916	US 2004-812293	20040329 <--
PRAI	GB 1999-14258	A	19990618	<--	
	US 2000-596952	A1	20000616	<--	
	WO 2000-GB2382	W	20000619	<--	
	US 2002-151518	B1	20020520		
OS	MARPAT 134:71598				
GI					



I

AB Title compds. [I; Ar = (substituted) aryl, heteroaryl; R₁ = H, alkyl; R₂ = X₁R₃; X₁ = bond, linker atom or group; R₃ = (substituted) aliphatic, cycloaliph., heteroaliph., heterocycloaliph., aromatic or heteroarom. group] and the salts, solvates, hydrates and N-oxides thereof, were prepared Thus, 3,4,5-trimethoxyphenylguanidinium nitrate (preparation given), 1-phenyl-2-cyano-3-dimethylaminopropen-1-one, and NaOH were refluxed in EtOH to give 5-cyano-4-phenyl-N-(3,4,5-trimethoxyphenyl)pyrimidin-2-amine. I inhibited KDR kinase and FGFR kinase with IC₅₀ ≤ 1 μM.

IT 314267-42-0P 314267-60-2P 314269-08-4P
 314269-09-5P 314269-10-8P 314269-13-1P
 314269-14-2P 314269-15-3P 314269-18-6P
 314269-38-0P 314269-46-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2-arylamino-5-cyanopyrimidines as inhibitors of KDR kinase and/or FGFR kinase)

IT 75-05-8, Acetonitrile, reactions 614-18-6, Ethyl nicotinate 52023-68-4, 2-Morpholino-5-aminopyridine 58757-38-3, 6-Chloronicotinoyl chloride 60639-28-3 314268-65-0, 2-Chloro-5-cyano-4-phenylpyridine

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of 2-arylamino-5-cyanopyrimidines as inhibitors of KDR kinase and/or FGFR kinase)

IT 130371-60-7P 314267-71-5P 314267-76-0P 314267-77-1P 314267-78-2P 314268-30-9P 314268-31-0P 314268-33-2P 314268-39-8P

RL: RCT (Reactant); SPN (Synthetic preparation);

PREP (Preparation); RACT (Reactant or reagent)

(preparation of 2-arylamino-5-cyanopyrimidines as inhibitors of KDR kinase and/or FGFR kinase)

RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Ciba-Geigy	1985			EP 0135472 A	HCAPLUS
Signal	1997			WO 9709325 A	HCAPLUS

L143 ANSWER 12 OF 28 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2000:241185 HCAPLUS

DN 132:265094

TI Preparation of 2,3-disubstituted pyridine derivatives as phosphodiesterase IV (PDE IV) inhibitors, process for the preparation thereof, drug compositions containing the same and intermediates for the preparation

IN Kawasaki, Motoji; Nigo, Tomohiro; Nobata, Tadashi; Nakamura, Shunya; Itoh, Mari

PA Dainippon Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 70 pp.

CODEN: PIXXD2

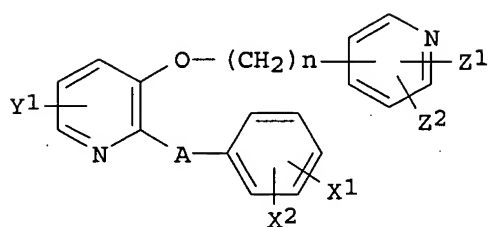
DT Patent

LA Japanese

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2000020391	A1	20000413	WO 1999-JP5385	19990930 <--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2345577	AA	20000413	CA 1999-2345577	19990930 <--
AU 9960000	A1	20000426	AU 1999-60000	19990930 <--
AU 754253	B2	20021107		
EP 1120409	A1	20010801	EP 1999-970082	19990930 <--
EP 1120409	B1	20050126		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200101028	T2	20010821	TR 2001-200101028	19990930 <--
BR 9914091	A	20011127	BR 1999-14091	19990930 <--
NZ 510719	A	20030228	NZ 1999-510719	19990930 <--

RU 2235095 C2 20040827 RU 2001-112110 19990930 <--
 AT 287875 E 20050215 AT 1999-970082 19990930 <--
 ZA 2001002067 A 20011024 ZA 2001-2067 20010313 <--
 US 6555557 B1 20030429 US 2001-787766 20010322 <--
 NO 2001001722 A 20010605 NO 2001-1722 20010405 <--
 US 2003195232 A1 20031016 US 2003-383586 20030310 <--
 US 6765095 B2 20040720
 US 2003199554 A1 20031023 US 2003-383585 20030310 <--
 US 6683186 B2 20040127
 PRAI JP 1998-283848 A 19981006 <--
 WO 1999-JP5385 W 19990930 <--
 US 2001-787766 A3 20010322 <--
 OS MARPAT 132:265094
 GI



I

AB Described are pyridinylalkoxy pyridine compds. represented by general formula (I) (wherein A is O, S, CHR₁ or NR₂; R₁ and R₂ are each H or lower alkyl; X₁ and X₂ are each H, halogeno, nitro, cyano or the like; Y₁ is H or lower alkyl; Z₁ and Z₂ are each H, halogeno, cyano, hydroxy, lower alkyl or the like; and n is an integer of 2 to 4), and physiol. acceptable salts thereof; a process for the preparation of both; drug compns. containing

the

same as the active ingredient; and intermediates for the preparation The compds. I exhibit potent PDE IV inhibiting and excellent bronchodilating activities, and are therefore useful as PDE IV inhibitors and as therapeutic and preventive drugs for various allergic inflammatory diseases, organ inflammatory diseases and so on, particularly airway-obstructive lung diseases including asthma. Thus, 2-phenoxy-3-pyridinol was condensed with 3-(3-methoxymethoxy pyridin-4-yl)-1-propanol using Ph3P and diisopropyl azodicarboxylate in THF, followed by hydrolysis in HCl in aqueous ethanol under reflux to give 2-phenoxy-3-[3-(3-hydroxypyridin-4-yl)propoxy]pyridine which was acetylated by Ac₂O in pyridine to give 2-phenoxy-3-[3-(3-acetoxypyridin-4-yl)propoxy]pyridine (II). II showed IC₅₀ of 5.6 nM against PDE IV. A tablet formulation containing 2-(3-chlorophenoxy)-3-[3-(3-hydroxypyridin-4-yl)propoxy]pyridine was described.

IT 263390-85-8P 263390-86-9P 263390-87-0P
 263390-88-1P 263390-89-2P 263390-90-5P
 263390-91-6P 263390-92-7P 263390-93-8P
 263390-94-9P 263390-95-0P 263390-96-1P
 263390-97-2P 263390-98-3P 263390-99-4P
 263391-00-0P 263391-01-1P 263391-02-2P
 263391-03-3P 263391-04-4P 263391-05-5P
 263391-06-6P 263391-07-7P 263391-08-8P
 263391-09-9P 263391-10-2P 263391-11-3P
 263391-12-4P 263391-13-5P 263391-15-7P
 263391-16-8P 263391-17-9P 263391-18-0P
 263391-19-1P 263391-20-4P 263391-21-5P
 263391-22-6P 263391-23-7P 263391-24-8P
 263391-25-9P 263391-26-0P 263391-27-1P
 263391-28-2P 263391-29-3P 263391-30-6P

263391-31-7P 263391-32-8P 263391-34-0P
 263391-36-2P 263391-37-3P 263391-38-4P
 263391-39-5P 263391-40-8P 263391-41-9P
 263391-42-0P 263391-43-1P 263391-44-2P
 263391-45-3P 263391-46-4P 263391-48-6P
 263391-49-7P 263391-51-1P 263391-52-2P
 263391-53-3P 263391-54-4P 263391-55-5P
 263391-56-6P 263391-58-8P 263391-59-9P
 263391-60-2P 263391-61-3P 263391-67-9P
 263391-69-1P 263391-70-4P 263391-71-5P
 263391-72-6P 263391-73-7P 263391-74-8P
 263391-75-9P 263391-77-1P 263391-78-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2,3-disubstituted pyridine derivs. as phosphodiesterase IV (PDE IV) inhibitors and drugs)

IT 75-21-8, Oxirane, reactions 625-92-3,
 3,5-Dibromopyridine 917-54-4, Methyllithium 1802-16-0,
 3-(Pyridin-3-yl)propanal 2457-47-8, 3,5-Dichloropyridine
 2859-67-8, 3-(Pyridin-3-yl)-1-propanol 3430-22-6,
 3-Bromo-4-methylpyridine 6602-32-0, 2-Bromo-3-pyridinol
 24100-18-3, 2-Bromo-3-methoxypyridine 120690-80-4,
 4-Pyridinepropanal 132330-98-4, 3-Benzyloxy-2-bromopyridine
 162271-10-5 263270-32-2

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of 2,3-disubstituted pyridine derivs. as phosphodiesterase IV (PDE IV) inhibitors and drugs)

IT 5264-15-3P, 4-Pyridinebutanol 5444-01-9P,
 3-Cyano-4-methylpyridine 71532-24-6P, 3-(3-Butenyl)pyridine
 153615-95-3P 198710-37-1P 229184-01-4P
 263390-53-0P 263390-64-3P 263390-65-4P
 263390-66-5P 263390-67-6P 263390-68-7P
 263390-69-8P 263390-70-1P 263390-71-2P
 263390-72-3P 263390-73-4P 263390-74-5P
 263390-75-6P 263390-76-7P 263390-77-8P
 263390-78-9P 263390-79-0P 263390-80-3P
 263390-81-4P 263390-82-5P 263390-83-6P
 263390-84-7P 263391-62-4P 263391-63-5P
 263391-64-6P 263391-65-7P 263391-66-8P
 263391-68-0P 263391-76-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 2,3-disubstituted pyridine derivs. as phosphodiesterase IV (PDE IV) inhibitors and drugs)

RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Pfizer Inc				JP 08501318 A	
Pfizer Inc				US 5814651 A	HCAPLUS
Pfizer Inc	1994			WO 9412461 A1	HCAPLUS
Sliwa, H	1979	12	493	Synthesis of New Fun	HCAPLUS
Teikoku Hormone Mfg Co				JP 1129569 A	
Teikoku Hormone Mfg Co				AU 9880369 A1	HCAPLUS
Teikoku Hormone Mfg Co	1999			WO 9902519 A1	HCAPLUS

L143 ANSWER 13 OF 28 HCAPLUS COPYRIGHT 2005 ACS on STN

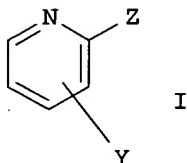
AN 1999:722748 HCAPLUS

DN 131:322543

TI Preparation of 2-substituted pyridines via lithiation and electrophilic substitution

IN Kelly, Martha Jean; Weaver, Damian Gerard
 PA Rohm and Haas Company, USA
 SO Eur. Pat. Appl., 7 pp.
 CODEN: EPXXDW
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 955291	A1	19991110	EP 1999-303341	19990428 <--
	EP 955291	B1	20020710		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	CN 1238331	A	19991215	CN 1999-105986	19990426 <--
	CN 1114593	B	20030716		
	IL 129596	A1	20031031	IL 1999-129596	19990426 <--
	AU 9925003	A1	19991118	AU 1999-25003	19990429 <--
	AU 748410	B2	20020606		
	US 6054583	A	20000425	US 1999-305410	19990505 <--
	BR 9901985	A	20000502	BR 1999-1985	19990507 <--
	JP 11335353	A2	19991207	JP 1999-128401	19990510 <--
PRAI	US 1998-84685P	P	19980508	<--	
OS	CASREACT 131:322543; MARPAT 131:322543				
GI					



AB 2-Substituted pyridines (I; Y = a group that is not reactive with the lithium compds. under reaction conditions; Z = electrophile residue) are prepared in high yield via a metal-halogen exchange with sec-Bu lithium on optionally substituted 2-bromo or 2-iodopyridines and the resulting 2-lithopyridine intermediate is then reacted with an electrophile to provide I. Thus, sec-Bu lithium was reacted with 2-bromo-5-chloropyridine and the lithiated intermediate reacted with N,N-dimethylacetamide to produce 2-acetyl-5-chloropyridine in 65% yield.

IT 75-05-8, Acetonitrile, reactions 107-12-0, Propionitrile 598-30-1, sec-Butyl lithium 40473-01-6, 2-Bromo-5-chloropyridine 50488-42-1

RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of 2-substituted pyridines via lithiation and electrophilic substitution)

IT 94952-46-2P 248274-16-0P

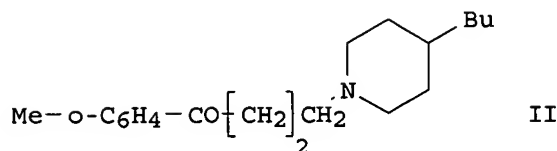
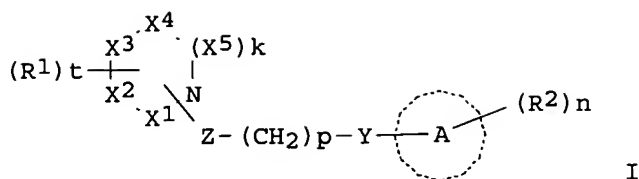
RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of 2-substituted pyridines via lithiation and electrophilic substitution)

RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Dongwei, C	1996	37	2537	TETRAHEDRON LETTERS	
Gilman, H	1951	16	1788	JOURNAL OF ORGANIC C	HCAPLUS
Reitz, D	1997			US 5602153 A	
Sandoz AG	1995			EP 0683156 A	HCAPLUS

AN 1999:640837 HCAPLUS
 DN 131:243187
 TI Preparation of piperidine derivs. with activity on muscarinic receptors
 IN Brann, Mark Robert; Messier, Terri; Currier, Erika Anne; Duggento,
 Katharan Lauri; Friberg, Mikael; Skjaerbaek, Niels; Spalding, Tracy
 PA Acadia Pharmaceuticals, Inc., USA
 SO PCT Int. Appl., 52 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9950247	A1	19991007	WO 1999-US7057	19990331 <--
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2326804	AA	19991007	CA 1999-2326804	19990331 <--
	AU 9932187	A1	19991018	AU 1999-32187	19990331 <--
	AU 762726	B2	20030703		
	EP 1068185	A1	20010117	EP 1999-914306	19990331 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	BR 9909277	A	20011016	BR 1999-9277	19990331 <--
	JP 2002509918	T2	20020402	JP 2000-541152	19990331 <--
	NZ 507204	A	20031219	NZ 1999-507204	19990331 <--
	RU 2230740	C2	20040620	RU 2000-127105	19990331 <--
	NZ 525108	A	20050225	NZ 1999-525108	19990331 <--
	ZA 2000005149	A	20020108	ZA 2000-5149	20000926 <--
	NO 2000004912	A	20001123	NO 2000-4912	20000929 <--
PRAI	US 1998-80133P	A1	19980331	<--	
	WO 1999-US7057	W	19990331	<--	
OS	MARPAT 131:243187				
GI					



AB Compds. and methods are provided for the alleviation or treatment of diseases or conditions in which modification of muscarinic m1 receptor activity has a beneficial effect. In the method, a therapeutically effective amount of a selective muscarinic m1 agonist title compds. I (X1 - x5 = C, N, O; R1 = alkyl, alkenyl, etc; A = aryl, cycloalkyl, each optionally comprising 1 or more heteroatoms; R2 = H, amino, etc.; n = 0 - 4; Y = O, S, etc.; Z is CR8R9; R8, R9 = H, alkyl, a proviso is given; p = 0 - 5; t = 0 - 2; k = 0 or 1) are prepared and administered to a patient in need of such treatment. Compound II (prepared) only activated the m1 receptor subtype, at which it was a potent partial agonist.

IT 244291-76-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of piperidine derivs. with activity on muscarinic receptors)

IT 75-05-8, Acetonitrile, reactions 109-04-6,
2-Bromopyridine 109-72-8, n-Butyllithium, reactions

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of piperidine derivs. with activity on muscarinic receptors)

RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Archibald, J	1977			US 4045566 A	HCAPLUS
Britton, S	1954	43	641	JOURNAL OF THE AMERI	MEDLINE
Ciba	1965			FR 1382425 A	HCAPLUS
Janssen, C	1962			BE 610830 A	HCAPLUS
Kaiser, C	1993	36	610	JOURNAL OF MEDICINAL	HCAPLUS
Knoll A G Chemische Fab	1961			GB 874206 A	HCAPLUS
Les Laboratoires Brunea				FR 1543944 A	HCAPLUS
Schering Corp	1996			WO 9626196 A	HCAPLUS
Schering Corp	1998			WO 9805292 A	HCAPLUS
Schering Corp	1998			WO 9806697 A	HCAPLUS
Schipper, E	1969			FR 1570446 A	HCAPLUS
Sumitomo Chemical Co	1973			DE 2259004 A	HCAPLUS
Swain, A	1954			US 2695295 A	HCAPLUS
Wyeth John & Brother Lt	1975			FR 2261008 A	HCAPLUS

L143 ANSWER 15 OF 28 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 1998:764290 HCAPLUS

DN 130:25077

TI Preparation of piperidinypropylaminocarbonyldihydropyrimidones and related compounds as selective adrenergic α 1A receptor antagonists.

IN Wong, Wai C.; Lagu, Bharat; Nagarathnam, Dhanapalan; Marzabadi, Mohammad R.; Gluchowski, Charles

PA Synaptic Pharmaceutical Corporation, USA

SO PCT Int. Appl., 314 pp.

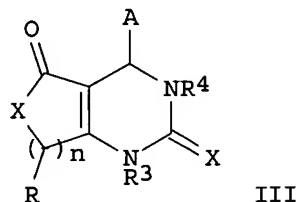
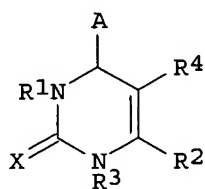
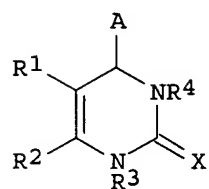
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9851311	A2	19981119	WO 1998-US10082	19980515 <--
	WO 9851311	A3	19990114		
	W:				
	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW:				
	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	US 6245773	B1	20010612	US 1997-858017	19970516 <--
	AU 9876872	A1	19981208	AU 1998-76872	19980515 <--
	US 2002010186	A1	20020124	US 2001-855597	20010515 <--
PRAI	US 1997-858017	A	19970516	<--	
	US 1996-17801P	P	19960516	<--	
	WO 1998-US10082	W	19980515	<--	
OS	MARPAT 130:25077				
GI					



AB Title compds. [I, II, III; A = specified (substituted) (hetero)aryl; X = S, O, NR₃; R₁ = H, NO₂, cyano, alkyl, fluoroalkyl, alkenyl, alkynyl, cycloalkyl, fluorocycloalkyl, cycloalkenyl, N(R₃)₂, OR₃, COR₃, CO₂R₃, CON(R₃)₂; R₂ = H, alkyl, hydroxyalkyl, alkoxyalkyl, aminoalkyl, fluoroalkyl, alkenyl, alkynyl, cycloalkyl, fluorocycloalkyl, cycloalkenyl, cycloalkylalkyl, cyano, OR₃, etc.; R₃ = H, alkyl, fluoroalkyl, alkenyl, alkynyl, cycloalkyl, fluorocycloalkyl, cycloalkenyl; R₄ = specified substituted heterocyclylpiperidinyalkyl, etc.; n = 0-5], were prepared I are useful for lowering intraocular pressure, inhibiting cholesterol synthesis, relaxing lower urinary tract tissue, treatment of benign prostatic hyperplasia, impotency, cardiac arrhythmia, etc. Thus, (+)-5-carboxamido-4-ethyl-1-[N-[3-(4-methoxycarbonyl-4-phenylpiperidin-1-yl)propyl]]carboxamido-6-(4-nitrophenyl)-2-oxo-1,2,3,6-tetrahydropyrimidine (preparation given) bound to human α 1A receptors with pK_i = 9.74.

IT 200050-54-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of piperidinypropylaminocarbonyldihydropyrimidones as selective adrenergic α 1A receptor antagonists)

IT 179481-50-6P 179481-51-7P 179481-56-2P
179481-57-3P 179481-59-5P 179481-60-8P
179481-61-9P 179481-62-0P 179481-63-1P
179481-79-9P 179481-81-3P 179481-82-4P
200050-50-6P 200050-53-9P 200050-58-4P
200050-59-5P 200050-60-8P 200050-63-1P
200050-76-6P 200051-10-1P 200051-14-5P
200051-18-9P 200051-19-0P 200051-35-0P
200051-36-1P 200051-37-2P 200200-23-3P
216310-03-1P 216310-05-3P 216310-11-1P
216310-13-3P 216310-15-5P 216310-17-7P
216310-20-2P 216310-51-9P 216310-52-0P
216310-84-8P 216310-86-0P 216311-41-0P
216311-42-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of piperidinypropylaminocarbonyldihydropyrimidones as selective adrenergic α 1A receptor antagonists)

IT 107-13-1, 2-Propenenitrile, reactions 581-47-5,
2,4'-Dipyridyl 626-55-1, 3-Bromopyridine 917-54-4,
Methylithium 2739-97-1, 2-Pyridylacetonitrile 5470-18-8
, 2-Chloro-3-nitropyridine 200052-50-2

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of piperidinypropylaminocarbonyldihydropyrimidones as selective adrenergic α 1A receptor antagonists)

IT 30532-37-7P, 4-(2-Pyridyl)piperidine 50461-59-1P
138828-89-4P, 1-Benzyl-4-(2-pyridyl)piperidine
179482-21-4P, 1-Benzyl-4-cyano-4-(2-pyridyl)piperidine
179482-22-5P 179482-23-6P 179482-32-7P
179482-33-8P 179482-43-0P 179482-51-0P
179482-68-9P 179482-69-0P 179482-73-6P
179482-74-7P 179482-82-7P 179482-85-0P
179482-89-4P 179483-08-0P, 1-(3-Hydroxypropyl)-4-(2-pyridyl)piperidine 189129-78-0P 200051-85-0P
216310-97-3P 216311-04-5P 216311-23-8P
216311-24-9P 216311-25-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of piperidinypropylaminocarbonyldihydropyrimidones as selective adrenergic α 1A receptor antagonists)

L143 ANSWER 16 OF 28 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 1998:102853 HCAPLUS

DN 128:167432

TI Preparation of 6-phenyltetrahydro-1,3-oxazin-2-one derivatives as phosphodiesterase IV inhibitors

IN Ina, Shinji; Yamana, Kenjiro; Noda, Kyoji

PA Nikken Chemicals Co., Ltd., Japan

SO PCT Int. Appl., 158 pp.

CODEN: PIXXD2

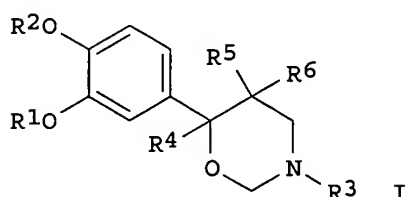
DT Patent

LA Japanese

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	-----

PI WO 9804534 A1 19980205 WO 1997-JP2654 19970730 <--
 W: CA, JP, US
 RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
 EP 928789 A1 19990714 EP 1997-933853 19970730 <--
 EP 928789 B1 20040929
 R: CH, DE, FR, GB, IT, LI
 JP 3192662 B2 20010730 JP 1998-508704 19970730 <--
 CA 2262502 C 20021126 CA 1997-2262502 19970730 <--
 CA 2262502 AA 19980205
 US 6251897 B1 20010626 US 1999-230869 19990201 <--
 PRAI JP 1996-216926 A 19960731 <--
 WO 1997-JP2654 W 19970730 <--
 OS MARPAT 128:167432
 GI



AB The title 6-Phenyltetrahydro-1,3-oxazin-2-one derivs. represented by general formula (I; R1 = optionally substituted C1-8 alkyl, C3-7 cycloalkyl, or heterocyclyl, polycyclic hydrocarbyl; R2 = C1-4 alkyl; R3, R5, R6 = H, optionally substituted alkyl or C3-7 cycloalkyl, acyl, aryl optionally substituted and optionally containing at least one hetero atom selected from O, S, and S; R4 = H, optionally substituted C1-6 alkyl, aryl optionally substituted and optionally containing at least one hetero atom selected from O, S, and S), optically active substances thereof, pharmacol. acceptable salts thereof or their hydrates or solvates are prepared Also claimed are medicinal compns. containing the same, in particular preventives or remedies for inflammatory diseases and antiasthmatics,. These derivs. have potent effects of inhibiting phosphodiesterase (PDE) IV and bronchodilating and antiinflammatory effects and are useful for the treatment of asthma, inflammation such as dermatitis, multiple sclerosis, and autoimmune diseases such as rheumatism. Thus, 3-amino-1-(3,4-dimethoxyphenyl)-1-propanol was acylated by Me chloroformate in the presence of Et3N in THF at room temperature for 5.5 h to give 3-(methoxycarbonylamino)-1-(3,4-dimethoxyphenyl)-1-propanol, which was cyclized by treatment with NaH in benzene at room temperature to give I (R1 =

R2 = Me, R3 - R6 = H). The latter compound and I (R1 = 2-indanyl, R2 = R3 = R6 = Me, R4 = R5 = H) in vitro showed IC50 of 1.0+10-5 and 6.6+10-8 M, resp., against phosphodiesterase IV. I (R1 = Me2CHCH2, R2 = R4 = Me, R3 = R5 = R6 = H) showed ED50 of 0.028 mg/kg i.v. for inhibiting egg albumin-induced constriction of air way in guinea pigs. Pharmaceutical compns., e.g., a tablet formulation containing I (R1 = cyclopentyl, R2 = R4 = Me, R3 = R5 = R6 = H), were prepared

IT 202847-53-8P 202847-57-2P 202847-60-7P
 202847-64-1P 202847-66-3P 202847-80-1P
 202847-83-4P 202847-99-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of phenyltetrahydrooxazinone derivs. as phosphodiesterase IV inhibitors as antiinflammatory and antiasthmatic agents)

IT 75-05-8, Acetonitrile, reactions 78-82-0,

Isobutyronitrile 103-74-2, 2-(2-Pyridyl)ethanol 109-04-6
 , 2-Bromopyridine 109-72-8, Butyllithium, reactions
 591-51-5, Phenyllithium 626-55-1, 3-Bromopyridine
 1822-51-1, 4-Chloromethylpyridine hydrochloride 2786-07-4
 , 2-Thienyllithium 3747-74-8, 2-Chloromethylquinoline
 hydrochloride 6959-47-3, 2-Chloromethylpyridine hydrochloride
 6959-48-4, 3-Chloromethylpyridine hydrochloride

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of phenyltetrahydrooxazinone derivs. as phosphodiesterase IV
 inhibitors as antiinflammatory and antiasthmatic agents)

IT 187970-51-0P, 4-Methoxy-3-[2-(2-pyridyl)ethoxy]benzaldehyde
 202848-55-3P, 3-(3-Cyclopentyloxy-4-methoxybenzoyl)pyridine
 202848-56-4P 202848-57-5P, 2-(3-Cyclopentyloxy-4-
 methoxybenzoyl)pyridine 202848-58-6P 202848-67-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP

(Preparation); RACT (Reactant or reagent)

(preparation of phenyltetrahydrooxazinone derivs. as phosphodiesterase IV
 inhibitors as antiinflammatory and antiasthmatic agents)

RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
=====	=====	=====	=====	=====	=====
Pfizer Inc	1995			US 5459145 A	HCAPLUS

L143 ANSWER 17 OF 28 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 1998:31305 HCAPLUS

DN 128:102087

TI Substituted azabicyclic compounds and their use as inhibitors of the
 production of TNF and cyclic AMP phosphodiesterase

IN Cox, Paul Joseph; Bower, Shelley; Aldous, David John; Astles, Peter
 Charles; McGarry, Daniel Gerard; Hulme, Christopher; et al.

PA Regan, John Robinson, UK; Huang, Fu-Chih; Rhone-Poulenc Rorer Ltd.; Cox,
 Paul Joseph; Bower, Shelley; et al.

SO PCT Int. Appl., 355 pp.

CODEN: PIXXD2

DT Patent

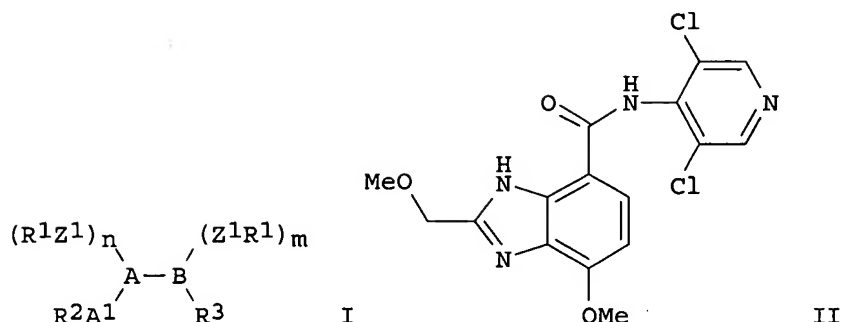
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	WO 9748697	A1	19971224	WO 1997-GB1639	19970619 <--
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,				
	DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ,				
	LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL,				
	PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US,				
	UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR,				
	GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA,				
	GN, ML, MR, NE, SN, TD, TG				
	CA 2258728	AA	19971224	CA 1997-2258728	19970619 <--
	AU 9731026	A1	19980107	AU 1997-31026	19970619 <--
	ZA 9705446	A	19981221	ZA 1997-5446	19970619 <--
	EP 934307	A1	19990811	EP 1997-926148	19970619 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
	JP 2000509719	T2	20000802	JP 1998-502503	19970619 <--
	US 6303600	B1	20011016	US 1998-216392	19981218 <--
	US 6800645	B1	20041005	US 2000-612530	20000707 <--
	US 2002173527	A1	20021121	US 2002-109629	20020328 <--
	US 2005038069	A1	20050217	US 2004-933077	20040901 <--
PRAI	GB 1996-12760	A	19960619	<--	
	US 1996-23047P	P	19960802	<--	
	WO 1997-GB1639	W	19970619	<--	
	US 1998-216392	A1	19981218	<--	

US 2000-612530
OS MARPAT 128:102087
GI

A3 20000707 <--



AB The invention is directed to physiol. active compds. of formula I [wherein AB = fused bicyclic ring system, of approx. 10-13 ring members, wherein A = azaheterocycle ring and B = azaheteroaryl or optionally halo-substituted benzene ring; R¹ = H, (hydroxy- or halo-substituted) alkyl, and also alkenyl, alkynyl, or CHO when Z¹ = bond; R² = H, alkenyl, alkoxy, alkyl, aryl, aryloxy, cyano, etc.; R³ = wide variety of sidechains and functional groups; A¹ = bond, (un)substituted alkylene, alkenylene, alkynylene; Z¹ = bond, O, S, NH; m, n = 0, 1; provided that (n+m) = 1] and their N-oxides, prodrugs, and pharmaceutically acceptable salts and solvates. I inhibit the production or physiol. effects of TNF, and inhibit cAMP phosphodiesterase (PDE IV). The invention is also directed to pharmaceutical compns. comprising I, their pharmaceutical use, and methods for their preparation. For instance, 7-methoxy-2-(methoxymethyl)-3H-benzimidazole-4-carboxylic acid (preparation given) was treated with O-benzotriazol-1-yl-N,N,N',N'-bis(tetramethylene)uronium tetrafluoroborate to give the 1-benzotriazolyl ester, which was amidated with 4-amino-3,5-dichloropyridine in THF (after treatment of the latter with Na diethylaluminumate) to give the title compound II. Compds. I had IC₅₀ of 10⁻⁵ to 10⁻¹⁰ M against guinea pig macrophage PDE IV, with 50- to 10,000-fold selectivity for PDE IV vs. PDE I, II, III, or V. The compds. also inhibited antigen-induced bronchoconstriction in rats by up to 89% at oral doses of 10 mg/kg.

IT 201286-60-4P 201286-63-7P 201286-64-8P
201286-65-9P 201286-66-0P 201286-67-1P
201287-16-3P 201287-75-4P 201287-77-6P
201304-14-5P 201304-15-6P

RL: RCT (Reactant); SPN (Synthetic preparation);

PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of azabicyclic compds. as inhibitors of TNF production and PDE IV)

IT 201284-92-6P 201284-97-1P 201284-99-3P
201285-01-0P 201285-04-3P 201285-06-5P
201285-12-3P 201285-19-0P 201285-22-5P
201285-55-4P 201285-57-6P 201285-59-8P
201285-78-1P 201285-80-5P 201286-21-7P
201286-24-0P 201286-25-1P 201286-26-2P
201286-27-3P 201286-31-9P 201286-32-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of azabicyclic compds. as inhibitors of TNF production and PDE

IV)

IT 201284-93-7P 201284-94-8P 201284-95-9P

201284-98-2P 201285-00-9P 201285-02-1P
 201285-03-2P 201285-05-4P 201285-07-6P
 201285-08-7P 201285-09-8P 201285-10-1P
 201285-11-2P 201285-13-4P 201285-14-5P
 201285-15-6P 201285-16-7P 201285-17-8P
 201285-18-9P 201285-28-1P 201285-33-8P
 201285-34-9P 201285-35-0P 201285-36-1P
 201285-37-2P 201285-38-3P 201285-39-4P
 201285-40-7P 201285-41-8P 201285-42-9P
 201285-43-0P 201285-44-1P 201285-45-2P
 201285-46-3P 201285-47-4P 201285-49-6P
 201285-51-0P 201285-53-2P 201285-61-2P
 201285-68-9P 201285-69-0P 201285-70-3P
 201285-71-4P 201285-72-5P 201285-73-6P
 201285-74-7P 201285-75-8P 201285-76-9P
 201285-77-0P 201285-79-2P 201285-81-6P
 201285-82-7P 201285-83-8P 201285-84-9P
 201285-85-0P 201285-86-1P 201285-87-2P
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 201286-04-6P 201286-05-7P 201286-06-8P
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 201286-10-4P 201286-11-5P 201286-12-6P
 201286-13-7P 201286-14-8P 201286-15-9P
 201286-16-0P 201286-17-1P 201286-18-2P
 201286-19-3P 201286-20-6P 201286-22-8P
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 201286-45-5P 201286-46-6P 201286-47-7P
 201286-48-8P 201286-49-9P 201286-50-2P
 201286-51-3P 201286-52-4P 201286-53-5P
 201286-54-6P 201286-55-7P 201286-56-8P
 201286-57-9P 201286-58-0P 201286-59-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation);
 USES (Uses)

(preparation of azabicyclic compds. as inhibitors of TNF production and PDE

IV)

IT

75-05-8, Acetonitrile, reactions 78-82-0,
 Isobutyronitrile 100-47-0, Benzonitrile, reactions
 108-89-4, 4-Picoline 10400-19-8, Nicotinyl chloride
 14248-66-9 19798-77-7, 4-Amino-3-chloropyridine
 22889-78-7, 4-Amino-3,5-dichloropyridine 43078-60-0
 52200-48-3, 3-Bromo-2-chloropyridine 90145-48-5
 91872-02-5 100868-46-0, 3,5-Dichloro-4-methylpyridine
 183795-64-4

RL: RCT (Reactant); RACT (Reactant or reagent)

(starting material; preparation of azabicyclic compds. as inhibitors of TNF production and PDE IV)

L143 ANSWER 18 OF 28 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 1997:41948 HCAPLUS

DN 126:59875

TI Preparation of beta-heterocyclyl-alpha, beta-unsaturated ketone derivatives as inhibitors of interleukin 1 production

IN Tanaka, Masayuki; Okita, Makoto; Miyamoto, Mitsuaki; Kaneko, Toshihiko; Kawahara, Tetsuya; Akamatsu, Keishi; Chiba, Kenichi; Obaishi, Hiroshi;

Sakurai, Hideki; Abe, Shinya; Kobayashi, Seiichi; Yamanaka, Takashi
 PA Eisai Co., Ltd., Japan
 SO PCT Int. Appl., 254 pp.
 CODEN: PIXXD2
 DT Patent
 LA Japanese
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9636608	A1	19961121	WO 1996-JP1330	19960520 <--
	W: CA, US				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	JP 08311032	A2	19961126	JP 1995-142394	19950518 <--
PRAI	JP 1995-142394	A	19950518	<--	

OS MARPAT 126:59875

GI For diagram(s), see printed CA Issue.

AB α,β -Unsatd. ketone derivs. represented by general formula
 RCH:CHCOR1 [R = Q, Q1; wherein Z = NH, O, S; ring B = an optionally substituted aromatic ring; R2 = H, halo, optionally halogenated lower alkyl, etc.; R3 = H, optionally halogenated lower alkyl, cycloalkyl optionally having heteroatom(s), alkoxyalkyl, optionally substituted aryl, optionally substituted heteroaryl, etc.; R1 = CR4R5R6; wherein R4, R5 = H, optionally halogenated lower alkyl, etc.; R6 = H, optionally halogenated lower alkyl, cycloalkyl optionally having heteroatom(s), optionally substituted aryl, optionally substituted heteroaryl, etc.] or pharmacol. acceptable salts thereof, which are useful for the prevention and treatment of interleukin 1 production-related diseases, e.g. inflammation, are prepared. Thus, 1.68 g 7-ethyl-4-methoxymethoxy-3,5,8-trimethoxy-2-quinolinecarboxaldehyde and 1.0 g 3-hydroxy-3-methyl-2-butanone were dissolved in MeOH, treated with 0.21 g LiOH.H₂O and heated at 50-60° for 1 h to give, after treatment of the product with 1 N aqueous HCl in EtOAc, the title quinolinylbutenone derivative (I; R7 = R10 = OMe, R8 = H, R9 = Et, R11 = CMe₂OH). The latter compound and I (R7 = R9 = R10 = H, R8 = Cl, R2 = R11 = Me) showed IC₅₀ of 1.08 and <0.1 nM, resp., for inhibiting the production of interleukin 1 α in human peripheral monocyte and 0.92 and <0.1 nM, resp., for inhibiting the production of interleukin 1 β in human peripheral monocyte.

IT 185205-72-5P 185205-75-8P 185206-18-2P
 185206-19-3P 185206-28-4P 185206-31-9P
 185206-49-9P 185206-50-2P 185206-51-3P
 185206-52-4P 185206-53-5P 185206-54-6P
 185206-55-7P 185206-56-8P 185206-57-9P
 185206-58-0P 185206-59-1P 185206-60-4P
 185206-61-5P 185206-63-7P 185206-65-9P
 185206-67-1P 185206-69-3P 185206-70-6P
 185206-71-7P 185206-72-8P 185206-73-9P
 185206-74-0P 185206-75-1P 185206-76-2P
 185206-77-3P 185206-78-4P 185206-79-5P
 185206-80-8P 185206-81-9P 185206-82-0P
 185206-83-1P 185206-84-2P 185206-85-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of β -heterocyclyl- α , β -unsatd. ketone derivs. as inhibitors of interleukin 1 production)

IT 107-13-1, 2-Propenenitrile, reactions 109-04-6,
 2-Bromopyridine 626-55-1, 3-Bromopyridine 6867-30-7,
 Lithium acetylde-ethylenediamine complex 24782-42-1
 39931-77-6, Ethyl 3-pyridylacetate 185208-07-5
 185208-09-7, 4,6-Dichloro-3-methyl-2-quinolinecarboxaldehyde
 185208-13-3

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of β -heterocyclyl- α , β -unsatd. ketone derivs.
as inhibitors of interleukin 1 production)

IT 120690-70-2P 185206-93-3P 185207-06-1P
185207-07-2P 185207-08-3P 185207-23-2P
185207-24-3P 185207-26-5P 185207-27-6P
185207-31-2P 185207-32-3P 185207-33-4P
185207-39-0P 185207-40-3P 185207-41-4P
185207-42-5P 185207-43-6P 185207-44-7P
185207-45-8P 185207-46-9P 185207-47-0P
185207-48-1P 185207-49-2P 185207-50-5P
185207-52-7P 185207-60-7P 185207-61-8P
185207-62-9P 185207-63-0P 185207-64-1P
185207-66-3P 185207-67-4P 185207-68-5P
185207-69-6P 185207-71-0P 185207-72-1P
185207-73-2P 185207-74-3P 185207-75-4P
185207-76-5P 185207-77-6P 185207-78-7P
185207-79-8P 185207-80-1P 185207-81-2P
185207-83-4P 185207-84-5P 185207-85-6P
185207-86-7P 185207-87-8P 185208-01-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP
(Preparation); RACT (Reactant or reagent)

(preparation of β -heterocyclyl- α , β -unsatd. ketone derivs.
as inhibitors of interleukin 1 production)

L143 ANSWER 19 OF 28 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 1996:754424 HCAPLUS

DN 126:101707

TI Synthesis of quinolizinone-type antibacterial compounds

IN Chu, Daniel T.; Li, Qun; Cooper, Curt S.; Fung, Anthony K. L.; Lee, Cheuk
M.; Plattner, Jacob J.

PA Abbott Laboratories, USA

SO U.S., 115 pp., Cont.-in-part of U.S. Ser. No. 137,236, abandoned.

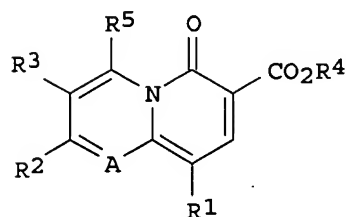
CODEN: USXXAM

DT Patent

LA English

FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5580872	A	19961203	US 1994-316319	19940930 <--
	US 5599816	A	19970204	US 1995-482249	19950607 <--
	US 5726182	A	19980310	US 1995-484632	19950607 <--
PRAI	US 1990-517780	B2	19900502	<--	
	US 1992-940870	B2	19921027	<--	
	US 1993-137236	B2	19931014	<--	
	US 1994-316319	A2	19940930	<--	
	US 1995-469159	A3	19950606	<--	
OS	MARPAT 126:101707				
GI					



I

- AB Antibacterial quinolizinones and related compds. [I; R1 = (halo)alkyl, alkenyl, alkynyl, alkoxy, C3-8 cycloalkyl, (substituted) Ph, halo, CN, NO2, bicycloalkyl, N-containing aromatic heterocyclyl, etc.; R2 = alkyl, alkenyl, C3-8 cycloalkyl, C4-8 cycloalkenyl, NH2, :NH, alkylamino, (substituted) Ph, N-containing bicyclic or aromatic heterocyclyl, etc.; R3 = H, halo, alkoxy; R4 = H, alkyl, cation, prodrug ester group; R5 = H, halo, OH, alkyl, haloalkyl, alkoxy, (substituted) amino; A = N, CR6; R6 = halo, (substituted) alkyl, alkoxy] are prepared for use in pharmaceutical compns. for treatment of bacterial infections. Thus, 3-fluoro-9-(4-fluorophenyl)-2-(4-methylpiperazin-1-yl)-6H-6-oxopyrido[1,2-a]pyrimidine-7-carboxylic acid (II) showed a MIC of 0.39 and 0.1 µg/mL in vitro against *Staphylococcus aureus* A5177 and *Pseudomonas aeruginosa* BMH10, resp. II was prepared in 6 steps from 5-fluoro-2-(4-fluorobenzyl)-4-hydroxypyrimidine (preparation given).
- IT 169748-87-2P 169749-12-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis of quinolizinone-type antibacterial compds.)
- IT 107-12-0, Propionitrile 700-16-3, Pentafluoropyridine 931-19-1 1735-84-8, 3-Chlorotetrafluoropyridine 1822-00-0, Trimethylsilylmethylolithium 3731-52-0, 3-(Aminomethyl)pyridine 4548-45-2, 2-Chloro-5-nitropyridine 33403-97-3 34803-66-2 52026-98-9, 4-Chlorotetrafluoropyridine 150281-45-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis of quinolizinone-type antibacterial compds.)
- IT 3430-14-6P, 5-Amino-2-picoline 3678-63-5P, 4-Chloro-2-picoline 18368-63-3P, 6-Chloro-2-picoline 21203-68-9P, 5-Nitro-2-picoline 31181-53-0P, 5-Fluoro-2-picoline 45673-79-8P 93856-98-5P, 4-Chloro-2-propylpyridine 101192-15-8P 102297-41-6P 113209-88-4P 113209-89-5P 131189-22-5P 139161-27-6P, 4-Chloro-5-fluoro-2-propylpyridine 139161-28-7P 139161-29-8P 155562-25-7P 169749-81-9P 169749-82-0P 169749-83-1P 169749-84-2P 169749-85-3P 169749-86-4P 169749-87-5P 169749-88-6P 169749-90-0P 169749-95-5P 169749-96-6P 169749-97-7P 169749-98-8P 169750-03-2P 169750-04-3P 169750-05-4P 169750-08-7P 169750-09-8P 169750-10-1P 169750-35-0P 169750-36-1P 169750-37-2P 169750-43-0P 169750-44-1P 169750-45-2P 169750-46-3P 169750-47-4P 169750-48-5P 169750-50-9P 169750-95-2P, 4-Chloro-5-fluoro-2-picoline 185691-80-9P 185691-93-4P 185692-02-8P 185692-13-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of quinolizinone-type antibacterial compds.)
- L143 ANSWER 20 OF 28 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1996:672946 HCAPLUS
DN 126:47225
TI Preparation of substituted heterocyclylisoquinolinium salts for the treatment and prevention of neurodegenerative disorders or neurotoxic injuries
IN Dority, John A., Jr.; Earley, William G.; Kumar, Virendra; Mallamo, John P.; Miller, Matthew S.; Subramanyam, Chakrapani
PA Sterling Winthrop Inc., USA
SO U.S., 58 pp., Cont.-in-part of U.S. Ser. No. 121,389, abandoned.

CODEN: USXXAM

DT Patent
 LA English
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5569655	A	19961029	US 1994-283319	19940729 <--
	EP 647641	A1	19950412	EP 1994-202603	19940910 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	CA 2131967	AA	19950315	CA 1994-2131967	19940913 <--
	AU 9472941	A1	19950330	AU 1994-72941	19940913 <--
	AU 685821	B2	19980129		
	HU 68092	A2	19950529	HU 1994-2629	19940914 <--
	JP 07224065	A2	19950822	JP 1994-219974	19940914 <--
	US 5604224	A	19970218	US 1995-452941	19950530 <--
PRAI	US 1993-121389	B2	19930914	<--	
	US 1994-283319	A	19940729	<--	

OS MARPAT 126:47225

GI For diagram(s), see printed CA Issue.

AB The title compds. [I; R1 = H, lower alkyl; R2, R3 = H, lower alkyl; R2R3 = cycloalkyl, lower alkylidene; R4, R5 = lower alkynyl, lower alkoxy, (un)substituted Ph, etc.; R6 = H, lower alkyl, halo, etc.; A = (un)substituted 5- or 6-membered monocyclic aromatic heterocycle (together with C and N atoms to which it is attached); X- = anion; p = 0 when R6 is neg. charged radical; p = 1 when R6 = other than neg. charged radical], useful in the treatment or prevention of neurodegenerative disorders such as Huntington's disease, Alzheimer's disease, amyotrophic lateral sclerosis, Down's Syndrome, senile dementia, multi-infarct dementia and Parkinson's disease, as well as in the treatment or prevention of neurotoxic injuries associated with, e.g., stroke, carbon monoxide poisoning, hyperinsulinemia and cardiac arrest, were prepared. Thus, reaction of thiazolo[3,2-b]isoquinolinium perchlorate with 2,2-dimethyl-1,1-diethoxyethylene in MeCN under reflux followed by conversion of the corresponding perchlorate to chloride afforded II which showed IC50 of 173 nM against NMDA-induced neurotoxicity.

IT 78-82-0, Isobutyronitrile 591-51-5, Phenyllithium
 626-05-1, 2,6-Dibromopyridine 626-55-1, 3-Bromopyridine
 19524-06-2, 4-Bromopyridine hydrochloride

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of substituted heterocyclylisoquinolinium salts for the treatment and prevention of neurodegenerative disorders or neurotoxic injuries)

IT 1120-87-2P, 4-Bromopyridine 6918-15-6P
 35779-35-2P 42772-87-2P 161227-84-5P
 161227-85-6P 169377-33-7P 169377-34-8P
 170486-59-6P

RL: RCT (Reactant); SPN (Synthetic preparation);

PREP (Preparation); RACT (Reactant or reagent)

(preparation of substituted heterocyclylisoquinolinium salts for the treatment and prevention of neurodegenerative disorders or neurotoxic injuries)

L143 ANSWER 21 OF 28 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 1996:363276 HCAPLUS

DN 125:33646

TI 1,2-Substituted imidazolyl compounds for the treatment of inflammation

IN Khanna, Ish K.; Weier, Richard M.; Collins, Paul W.; Yu, Yi; Xu, Xiangdong; Huff, Renee M.; Partis, Richard A.; Koszyk, Francis J.

PA G.D. Searle and Co., USA

SO PCT Int. Appl., 249 pp.

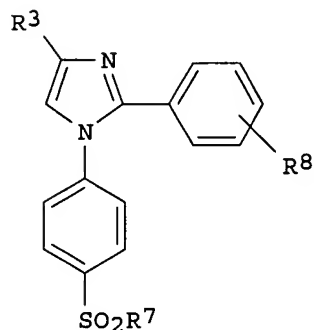
CODEN: PIXXD2

DT Patent

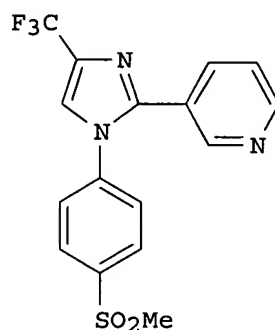
LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9603388	A1	19960208	WO 1995-US9506	19950727 <--
	W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
	RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	US 5616601	A	19970401	US 1995-464154	19950605 <--
	AU 9532025	A1	19960222	AU 1995-32025	19950727 <--
	EP 772600	A1	19970514	EP 1995-928164	19950727 <--
	EP 772600	B1	20020918		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	JP 10503211	T2	19980324	JP 1995-505972	19950727 <--
	AT 224374	E	20021015	AT 1995-928164	19950727 <--
	AU 767993	B2	20031127	AU 2001-11100	20010109 <--
	US 2003036557	A1	20030220	US 2001-4944	20011205 <--
	US 6613789	B2	20030902		
PRAI	US 1994-282395	A	19940728	<--	
	US 1995-464154	A	19950605	<--	
	WO 1995-US9506	W	19950727	<--	
	AU 1997-15739	A3	19970124	<--	
	WO 1997-US300	W	19970124	<--	
	US 1999-101493	B1	19990602	<--	
OS	MARPAT 125:33646				
GI					



I



II

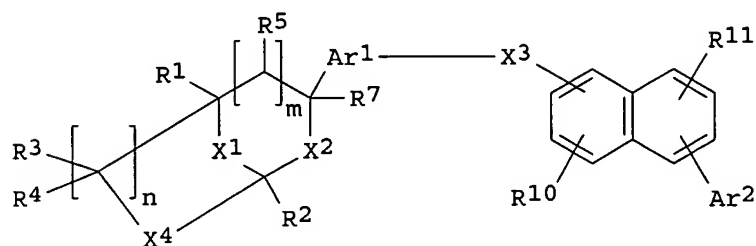
AB A class of imidazolyl compds., which are selective inhibitors of cyclooxygenase 2 (COX 2), is described. The compds. are useful in treating inflammation and related disorders (arthritis, fever, and pain). Compds. of particular interest are I [R³ = H, (un)substituted alkyl, aralkyl, heterocycloalkyl, acyl, cyano, alkoxy, alkylthio, cycloalkoxy, halo, substituted carbonyl, sulfonyl, oxy, thio, aryl, and heteroaryl; R⁷ = alkyl or amino; R⁸ = ≥ 1 of H, halo, alkyl, haloalkyl, alkoxy, amino, haloalkoxy, cyano, CO₂H, OH, hydroxyalkyl, alkoxyalkyl, alkylamino, nitro, and alkylthio], as well as certain heterocyclic analogs. For instance, condensation of 4-(methylsulfonyl)aniline-HCl with 3-cyanopyridine in the presence of Me₃Al (34%), followed by cyclization of the resultant amidine with BrCH₂COCF₃ (60%), and dehydration of the obtained hydroxydihydroimidazole derivative using p-MeC₆H₄SO₃H (23%), gave title compound II. In the carrageenan-induced rat paw edema and analgesia tests, II gave 57% inhibition of edema at 30 mg/kg orally, and 51%

inhibition of hyperalgesic foot withdrawal at 10 mg/kg orally. Inhibition data for recombinant COX 1 and 2 are also given.

- IT 70-57-5P, 5-Methyl-3-pyridinecarboxamide 1620-76-4P,
2-Cyano-4-methylpyridine 1620-77-5P, 5-Methyl-2-cyanopyridine
1721-23-9P, 3-Cyano-2-methylpyridine 3222-49-9P,
5-Methylnicotinic acid 42885-14-3P, 3-Cyano-5-methylpyridine
58539-65-4P, 2-Methylnicotinamide 177662-36-1P
177662-37-2P 177662-46-3P 177662-47-4P
177662-48-5P 177662-49-6P 177662-50-9P
177662-51-0P 177662-52-1P 177662-53-2P
177662-54-3P 177662-55-4P 177662-56-5P
177662-57-6P 177662-58-7P 177662-59-8P
177662-60-1P 177662-61-2P 177662-62-3P
177662-63-4P 177662-64-5P 177662-69-0P
177662-70-3P 177662-71-4P 177662-72-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP
(Preparation); RACT (Reactant or reagent)
(intermediate; preparation of imidazole derivs. as antiinflammatories)
- IT 177660-77-4P 177660-80-9P 177660-83-2P
177660-88-7P 177660-93-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); RCT (Reactant); SPN (Synthetic preparation)
; THU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of imidazole derivs. as antiinflammatories)
- IT 177660-70-7P 177660-78-5P 177660-79-6P
177660-81-0P 177660-82-1P 177660-84-3P
177660-85-4P 177660-86-5P 177660-87-6P
177660-89-8P 177660-92-3P 177660-94-5P
177660-95-6P 177661-63-1P 177661-64-2P
177661-65-3P 177661-68-6P 177661-93-7P
177661-94-8P 177661-95-9P 177661-96-0P
177661-97-1P 177661-98-2P 177661-99-3P
177662-00-9P 177662-01-0P 177662-02-1P
177662-03-2P 177662-04-3P 177662-05-4P
177662-06-5P 177662-07-6P 177662-08-7P
177662-09-8P 177662-10-1P 177662-11-2P
177662-12-3P 177662-13-4P 177662-14-5P
177662-15-6P 177662-16-7P 177662-17-8P
177662-18-9P 177662-19-0P 177662-20-3P
177662-21-4P 177662-22-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation);
USES (Uses)
(preparation of imidazole derivs. as antiinflammatories)
- IT 100-47-0, Benzonitrile, reactions 100-48-1,
4-Cyanopyridine 100-54-9, 3-Cyanopyridine 100-70-9,
2-Cyanopyridine 591-22-0, 3,5-Lutidine 917-54-4,
Methylolithium 1003-67-4, 4-Picoline N-oxide 1620-75-3,
6-Methyl-2-cyanopyridine 2369-19-9, 2-Fluoro-5-methylpyridine
3222-48-8, 6-Methyl-3-cyanopyridine 3222-56-8,
2-Methylnicotinic acid 4377-41-7, 2-(Chloromethyl)quinoline
15871-85-9, 6-Methoxy-3-cyanopyridine
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; preparation of imidazole derivs. as antiinflammatories)
- L143 ANSWER 22 OF 28 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1995:994328 HCAPLUS
DN 124:86991
TI Preparation of 6,8-dioxabicyclo[3.2.1]octanes as inhibitors of leukotriene
biosynthesis.
IN Delorme, Daniel; Fortin, Rejean; Friesen, Richard; Girard, Yves; Leger,

Serge; Chauret, Nathalie; Nicoll-Griffith, Deborah; Yergey, James
 PA Merck Frosst Canada Inc., Can.
 SO Can. Pat. Appl., 113 pp.
 CODEN: CPXXEB
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CA 2138631	AA	19950629	CA 1994-2138631	19941220 <--
PRAI	US 1993-174557	A	19931228	<--	
OS	MARPAT 124:86991				
GI					



AB Title compds. [I; R1, R5 = H, OH, alkyl, alkoxy; R2 = H, alkyl; R3 = H, OH, alkyl, alkoxy, alkylthio, F, CF3; R4 = alkyl, F, CF3; R3R4 = O, atoms to form a saturated 3-8 membered carbocyclic ring; R7 = H, OH, alkyl, alkoxy, alkylthio, alkylcarbonyloxy, etc.; R10, R11 = H, alkyl, alkoxy, OH, alkyl, alkylthio, alkylcarbonyl, cyano, NO2, CF3, N3, etc.; Ar1 = (substituted) 5- or 6-membered arylene, etc.; Ar2 = Ar1, bicyclic 8-10 membered arylene; m = 0, 1; n = 1, 2; X1, X4 = O, S; X2 = O, S, (substituted) CH2, bond; X3 = S, (substituted) OCH2], were prepared Thus, (1S,5S)-6-[3-(7,7-dimethyl-3a-hydroxy-6,8-dioxabicyclo[3.2.1]octyl)]pyridin-2-ylmethanol (preparation given) and 1-(3-furyl)-3-cyano-6-naphthol (preparation given) were stirred with Ph3P and di-tert-Bu azodicarboxylate in THF to give (1S,5S)-1-(3-furyl)-3-cyano-6-[6-[3-(7,7-dimethyl-3a-hydroxy-6,8-dioxabicyclo[3.2.1]octyl)]pyridin-2-ylmethoxy]naphthalene (II). The 7,7-di-Me substitution in II led to increased recovery from microsomal incubations.

IT 107-13-1, Acrylonitrile, reactions 109-72-8,
 Butyllithium, reactions 626-05-1, 2,6-Dibromopyridine
 917-54-4, Methyllithium 33674-96-3 37669-64-0,
 5-Bromopyridin-3-ylmethanol 118289-16-0 131747-45-0

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of 6,8-dioxabicyclo[3.2.1]octanes as inhibitors of leukotriene biosynthesis)

IT 153607-76-2P 153607-77-3P 153607-78-4P
 153607-79-5P 153635-21-3P 155447-06-6P
 155447-07-7P 155447-10-2P 155819-70-8P
 155933-92-9P 155933-93-0P 156151-84-7P
 172403-18-8P 172403-23-5P

RL: RCT (Reactant); SPN (Synthetic preparation);

PREP (Preparation); RACT (Reactant or reagent)

(preparation of 6,8-dioxabicyclo[3.2.1]octanes as inhibitors of leukotriene biosynthesis)

L143 ANSWER 23 OF 28 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 1995:881408 HCAPLUS

DN 123:286025

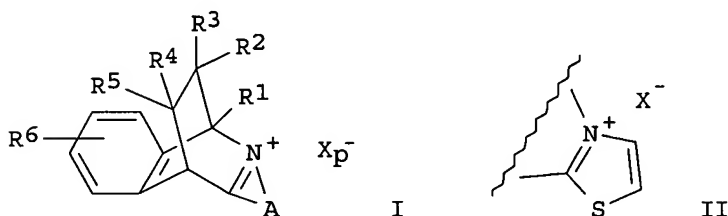
TI Preparation of annelated 5,10-ethanoisoquinolinium salts as neuroprotectants

IN Dority, John A., Jr.; Earley, William G.; Kumar, Virendra; Mallamo, John
 P.; Miller, Matthew S.; Subramanyam, Chakrapani
 PA Sterling Winthrop Inc., USA
 SO Can. Pat. Appl., 132 pp.
 CODEN: CPXXEB

DT Patent
 LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CA 2131967	AA	19950315	CA 1994-2131967	19940913 <--
	US 5569655	A	19961029	US 1994-283319	19940729 <--
PRAI	US 1993-121389	A	19930914	<--	
	US 1994-283319	A	19940729	<--	
OS	MARPAT 123:286025				
GI					



AB Title compds. [I; A = atoms to complete an (un)substituted aromatic ring; R1 = H or alkyl; R2,R3 = H or alkyl; R2R3 = atoms to complete a carbocyclic ring, alkylidene; R4,R5 = alkynyl, alkoxy, Ph, heteroaryl, etc.; R6 = H, alkyl, Oh, halo, alkoxy, etc.; X- = anion; p = 1; p = 0 when R6 contains a neg. charge] were prepared. Thus, 2-bromothiazole was converted in 3 steps to 3-benzyl-2-(1,3-dioxolan-2-yl)thiazolium bromide which was treated successively with HBr and NaClO4 to give thiazolo[3,2-b]isoquinolinium perchlorate. The latter was cyclocondensed with R4R5C:CH2 (R4 = R5 = 3-furyl) (preparation given) to give, in 2 addnl. steps, title compound (+)-II (R1-R3,R6 = H, R4 = R5 = 3-furyl, X = Cl, p = 1) which gave 78% inhibition of cerebral infarct and penumbra region in artery-occluded rats at 0.1mg/kg/min. i.v.

IT 78-82-0, Isobutyronitrile 591-51-5, Phenyllithium
 626-05-1, 2,6-Dibromopyridine 626-55-1, 3-Bromopyridine
 19524-06-2, 4-Bromopyridine hydrochloride

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of annelated 5,10-ethanoisoquinolinium salts as neuroprotectants)

IT 1120-87-2P, 4-Bromopyridine 1135-32-6P
 6918-15-6P, Di(4-pyridyl) ketone 24950-44-5P
 35779-35-2P, Di(3-pyridyl) ketone 42772-87-2P
 169377-33-7P 169377-34-8P 169377-35-9P

RL: RCT (Reactant); SPN (Synthetic preparation);

PREP (Preparation); RACT (Reactant or reagent)

(preparation of annelated 5,10-ethanoisoquinolinium salts as neuroprotectants)

L143 ANSWER 24 OF 28 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 1990:571837 HCAPLUS

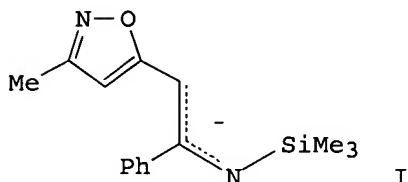
DN 113:171837

TI One-pot synthesis of perfluoroalkylated 4-fluoropyridines via
 N-silyl-1-azaallyl anion

AU Konakahara, Takeo; Satoh, Mitsunobu; Haruyama, Tomonori; Sato, Kenji

CS Fac. Sci. Technol., Sci. Univ. Tokyo, Noda, 278, Japan

SO Nippon Kagaku Kaishi (1990), (5), 466-71
 CODEN: NKAKB8; ISSN: 0369-4577
 DT Journal
 LA Japanese
 OS CASREACT 113:171837
 GI



- AB An N-silyl-1-azaallyl anion I, generated from an α -silyl carbanion (II) of 3-methyl-5-(trimethylsilylmethyl)isoxazole and benzonitrile, reacted with an excess amount of perfluoro(2-methyl-2-pentene) in the presence of various kinds of tertiary amines in THF to give 4-fluoro-5-(3-methyl-5-isoxazolyl)-2-(pentafluoroethyl)-6-phenyl-3-(trifluoromethyl)pyridine. Under the reaction conditions determined as optimum, the corresponding pyridines were prepared from the anion II and p-substituted benzonitriles. The reaction was extremely accelerated by the trimethylsilyl group of the anions. However, an analogous reaction of the anion derived from 2-(trimethylsilylmethyl)pyridine did not give the 4-fluoropyridine derivative, but gave the corresponding 4-pyridone in poor yield (22%).
- IT 125378-17-8P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and hydrolysis of)
- IT 1620-53-7P 115687-41-7P 129760-64-1P
 129760-65-2P 129760-66-3P 129760-67-4P
 RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)
- IT 115687-40-6
 RL: RCT (Reactant); RACT (Reactant or reagent) (reaction of, with perfluoro(methylpentene))
- IT 100-47-0, Benzonitrile, reactions
 RL: RCT (Reactant); RACT (Reactant or reagent) (reaction of, with silyl carbanion from methyl(trimethylsilylmethyl)isoxazole)
- IT 129760-68-5, 5-Lithio-3-methylisoxazole
 RL: RCT (Reactant); RACT (Reactant or reagent) (sequential reaction of, with benzonitrile and perfluoro(methylpentene))
- IT 129760-62-9 129760-63-0
 RL: RCT (Reactant); RACT (Reactant or reagent) (sequential reaction of, with benzonitriles and perfluoro(methylpentene))
- L143 ANSWER 25 OF 28 HCAPLUS COPYRIGHT 2005 ACS on STN
 AN 1989:573987 HCAPLUS
 DN 111:173987
 TI Heterocyclic alkenamides and derivatives, particularly (pyridinylalkyl)alkenamides, useful as antagonists of platelet activating factor, and their preparation, compositions, and use
 IN Guthrie, Robert William; Kierstead, Richard Wightman; Mullin, John Guilfoyle, Jr.; Tilley, Jefferson Wright
 PA Hoffmann-La Roche, F., und Co. A.-G., Switz.

SO Eur. Pat. Appl., 72 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 298466	A2	19890111	EP 1988-110814	19880706 <--
	EP 298466	A3	19901024		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	ZA 8804859	A	19890426	ZA 1988-4859	19880706 <--
	IL 87019	A1	19930708	IL 1988-87019	19880706 <--
	DK 8803780	A	19890111	DK 1988-3780	19880707 <--
	AU 8818825	A1	19890112	AU 1988-18825	19880707 <--
	AU 611460	B2	19910613		
	FI 8803289	A	19890111	FI 1988-3289	19880708 <--
	NO 8803082	A	19890111	NO 1988-3082	19880708 <--
	HU 47909	A2	19890428	HU 1988-3583	19880708 <--
	HU 203873	B	19911028		
	JP 01085963	A2	19890330	JP 1988-171719	19880710 <--
PRAI	US 1987-72199	A	19870710	<--	
	US 1988-179616	A	19880411	<--	

OS MARPAT 111:173987

AB Title compds. R1R2C:CR3(CH2)tCYY1NR4(CR5R6)mAR [I; Y = Y' = H, or YY' = O, S; A = p-C6H4, (CH2)n(X)s(CH2)r; X = O, S, CH:CH; n, r = 1; t = 0-10; R1, R2 = alkyl, alkenyl, aryl; or 1 of R1 and R2 = H and other = aryl group Q; W = CX3:CX4, CH2CH2, CH2, O, S, NX5; X1 = alkyl, (un)substituted Ph; X2-X4 = H, alkyl, alkoxy, halo; X5 = alkyl; R3 = H, alkyl, aryl; R4 = H, alkyl, aralkyl, aryl, acyl; R5 = H, alkyl; R6 = H, alkyl, cycloalkyl, aryl, heterocyclylalkyl; R = (un)substituted 6-membered heteroaryl with 1-2 N atoms] are prepared as antagonists of platelet activating factor (PAF). 6-Methoxytetralone was converted in 5 steps to (E)-3-(1-butyl-6-methoxy-2-naphthalenyl)-2-propenoic acid (II) Me ester. Saponification by NaOH in aqueous MeOH

gave II, which was reesterified using DCC and 4-nitrophenol to give II 4-nitrophenyl ester. Direct amidation of the latter with (R)- α -methyl-3-pyridinebutanamine in THF gave N-(pyridylbutyl)naphthylpropenamide III. At 1 mg/kg i.v. in anesthetized guinea pigs, III gave 95% inhibition of PAF-induced bronchoconstriction. An aerosol solution contained III 1.0, EtOH 30.0, ascorbic acid 0.5, Freon 12 54.8, and Freon 114 13.7 weight %.

IT 90874-88-7P, 5-(3-Pyridinyl)-2-pentanone 111848-81-8P

111848-82-9P 119981-03-2P 119981-04-3P

119981-06-5P 119981-08-7P 119981-09-8P

119981-10-1P 119981-11-2P 119981-12-3P

119981-13-4P 119981-14-5P 119981-16-7P

119981-17-8P 119981-18-9P 119981-19-0P

120001-17-4P 120053-76-1P 120552-91-2P

120555-54-6P 120555-89-7P 121482-71-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP

(Preparation); RACT (Reactant or reagent)

(preparation and reaction of, in preparation of platelet activating factor antagonists)

IT 121457-49-6P 121457-50-9P 121457-51-0P

121457-52-1P 121457-53-2P 121457-54-3P

121457-55-4P 121457-56-5P 121457-57-6P

121457-58-7P 121457-59-8P 121457-60-1P

121457-61-2P 121457-62-3P 121457-63-4P

121457-64-5P 121457-65-6P 121457-66-7P

121457-67-8P 121457-68-9P 121457-69-0P

121457-70-3P 121457-71-4P 121457-72-5P

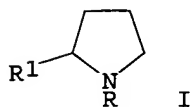
121457-73-6P 121457-74-7P 121457-75-8P

121457-76-9P 121457-77-0P 121457-79-2P

- RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antagonist of platelet activating factor)
- IT 75-05-8, Acetonitrile, reactions 109-72-8,
n-Butyllithium, reactions 500-22-1, 3-Pyridinecarboxaldehyde
626-55-1, 3-Bromopyridine 6021-23-4,
3-Pyridinebutanamine 88940-38-9, 3-Pyridinehexanamine
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of platelet activating factor antagonists)
- L143 ANSWER 26 OF 28 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1988:167247 HCAPLUS
DN 108:167247
TI Synthesis and adrenergic activity of erythro- and threo-2-(α -
hydroxyarylmethyl)piperidines
AU Delgado, A.; Mauleon, D.; Rosell, G.; Salas, Maria L.; Najjar, J.
CS Fac. Farm., Univ. Barcelona, Barcelona, Spain
SO Anales de Quimica, Serie C: Quimica Organica y Bioquimica (1987
, 83(1), 90-5
CODEN: AQSD6; ISSN: 0211-1357
DT Journal
LA Spanish
OS CASREACT 108:167247
AB The synthesis and adrenergic activity of erythro- and threo-2-(α -
hydroxyarylmethyl)piperidines (I and II, resp.) as cyclic analogs of
adrenergic drugs is described. The synthesis was carried out through
condensation of 1-naphthonitrile or 2,5-dimethoxybenzonitrile with
2-lithiopyridine to give aryl pyridyl ketones, isolated as their
hydrochlorides, which were catalytically hydrogenated over PtO₂ to give,
in each case, a 85:15 diastereomeric mixture of I and II whose separation was
achieved by silica gel chromatog. of their N-acetyl derivs. Treatment of
these derivative mixts. with SOCl₂ followed by alkaline hydrolysis gave the
minor
isomer II. I and II showed β -blocking activity and their β ₁
potency was higher than β ₂ activity.
- IT 109-04-6, 2-Bromopyridine
RL: RCT (Reactant); RACT (Reactant or reagent)
(lithiation and reaction of, with aromatic nitriles)
- IT 64306-56-5P 107341-55-9P 113631-11-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP
(Preparation); RACT (Reactant or reagent)
(preparation and reactions of)
- IT 113631-24-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
- IT 17624-36-1, 2-Lithiopyridine
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with aromatic nitriles)
- IT 86-53-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with lithiopyridine)
- L143 ANSWER 27 OF 28 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1985:166561 HCAPLUS
DN 102:166561
TI Derivatives of 1,3-benzodioxoles, 53. Preparation of N-alkyl-2-
arylpyrrolidines
AU Dallacker, Franz; Jouck, Walter
CS Abt. Chem. Med., Tech. Hochsch. Aachen, Aachen, D-5100, Fed. Rep. Ger.
SO Zeitschrift fuer Naturforschung, Teil B: Anorganische Chemie, Organische
Chemie (1984), 39B(11), 1598-606
CODEN: ZNBAD2; ISSN: 0340-5087
DT Journal
LA German

OS CASREACT 102:166561

GI



- AB The N-alkyl-2-aryl-pyrrolidines I (R = Me, Et, Pr, CHMe₂, Bu; R₁ = benzodioxol-5-yl, pyrido[2,3-d][1,3]dioxol-6-yl, pyrido[2,3-b][1,4]dioxen-7-yl) were synthesized from R₁CHO via R₁COCH₂CH₂CN and 2-arylpyrrolines. In some cases, the recovery was high. The conversion of R₁MgBr or R₁Li with lactim ethers did not prove successful.
- IT 76470-56-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(lithiation and reaction of, with cyclopentanone or cyclohexenones)
- IT 95849-31-3P 95849-32-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrolysis of)
- IT 95897-49-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and lithiation of)
- IT 95849-29-9P 95849-30-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with acrylonitrile)
- IT 95897-50-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with cyclopentanone or cyclohexenones)
- IT 95849-26-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with morpholine and cyanide)
- IT 95849-36-8P 95849-37-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reduction of)
- IT 95849-33-5P 95849-34-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reductive cyclization of)
- IT 95849-25-5P 95849-27-7P 95849-28-8P
95849-39-1P 95849-40-4P 95849-42-6P
95849-43-7P 95849-45-9P 95849-46-0P
95849-56-2P 95849-57-3P 95849-58-4P
95897-51-1P 95897-52-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
- IT 107-13-1, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with benzodioxolyl- and benzodioxenylmorpholinoacetonitriles)
- IT 34206-49-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with dibromoethane)
- IT 76470-45-6
RL: RCT (Reactant); RACT (Reactant or reagent)

(reaction of, with morpholine and cyanide)

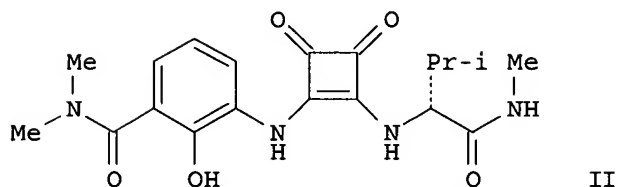
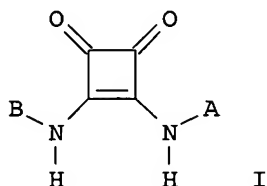
L143 ANSWER 28 OF 28 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1973:418528 HCAPLUS
DN 79:18528
TI Cleavage of the α -aminotetrahydropyran ring by organometallic compounds
AU Brocard, J.
CS Lab. Chim. Org. I, Univ. Sci. Tech. Lille, Villeneuve-d'Ascq, Fr.
SO Annales de Chimie (Paris, France) (1972), 7(6), 387-97
CODEN: ANCPAC; ISSN: 0151-9107
DT Journal
LA French
OS CASREACT 79:18528
GI For diagram(s), see printed CA Issue.
AB The tetrahydropyrans I (R = NHR1, R1 = Me, Et, Pr, CHMe2, Bu, n-C5H11, allyl) underwent ring-cleavage with R2Li (R2 = Et, Pr, Bu, Ph) to give 79-94% HO(CH2)4CHEtNHR1, which underwent Mannich reaction, cyanoethylation, and cyclization to piperidines. Reformatskii reaction of I (R = NMe2, NEt2, NPr2, NBu2, N(C5H11)2, NBuEt, piperidino) with BrCMe2CO2Et gave HO(CH2)4CHRCMe2CO2Et (III) or with Et 1-bromocyclohexane-1-carboxylate (IV) gave II, which on LiAlH4 reduction gave HO(CH2)4CHRCMe2CH2OH or cyclohexylmethanols, resp. With R2Li the esters III and IV yielded HO(CH2)4CHRCMe2CR22OH or the corresponding cyclohexylalkanols, both of which could be cyclized to piperidines.
IT 20092-97-1P 33387-57-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
IT 591-51-5 811-49-4 2417-93-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with aminotetrahydropyran)
IT 109-04-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with bromoisobutyrate)
IT 107-13-1, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(with aminoheptanol)
IT 109-72-8, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(with aminotetrahydropyran)

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L144 ANSWER 1 OF 12 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:451668 HCAPLUS
DN 141:23213
TI Preparation of 3,4-di-substituted cyclobutene-1,2-diones as CXC-chemokine receptor ligands
IN Taveras, Arthur G.; Aki, Cynthia J.; Bond, Richard W.; Chao, Jianping; Dwyer, Michael; Ferreira, Johan A.; Chao, Jianhua; Yu, Younong; Baldwin, John J.; Kaiser, Bernd; Li, Ge; Merritt, J. Robert; Biju, Purakkattil J.; Nelson, Kingsley H.; Rokosz, Laura L.
PA Schering Corporation, USA
SO U.S. Pat. Appl. Publ., 331 pp., Cont.-in-part of U.S. Ser. No. 208,412.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004106794	A1	20040603	US 2002-241326	20020911 <--
	US 2004097547	A1	20040520	US 2002-208412	20020730 <--

WO 2004011418 A1 20040205 WO 2003-US23785 20030730
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU,
ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD,
MG, MK, MN, MX, MZ, NI, NO, NZ, PG, PH, PL, PT, RO, RU, SC, SE,
SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UZ, VC, VN, YU, ZA, ZM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
US 2004147559 A1 20040729 US 2003-630258 20030730 <--
PRAI US 2001-284026P P 20010416 <--
US 2002-122841 A2 20020415
US 2002-208412 A2 20020730
US 2002-241326 A 20020911
OS MARPAT 141:23213
GI



AB Title compds. I [A = (un)substituted heterocycle, heterocyclalkyl, heteroaryl, heteroarylalkyl, cycloalkyl, etc.; B = (un)substituted aryl, heteroaryl, heterocycle, heteroarylarene, etc.], or a pharmaceutically acceptable salt or solvate thereof, are prepared and disclosed as cxc-chemokine receptor ligands. Thus, II was prepared by substitution of (dimethylaminocarbonylhydroxyphenylamino) (ethoxy) cyclobutenedione [preparation given] with (R)-2-amino-N,3-dimethylbutanamide monohydrochloride [preparation given]. Compds. of the invention demonstrated an IC50 value of < 20 μ M in CXCR1 SPA assay and < 5 μ M in CXCR2 SPA assay. I are useful for the treatment of chemokine-mediated diseases such as acute and chronic inflammatory disorders and cancer.

IT 473724-65-1P 473724-67-3P 473724-70-8P
473724-78-6P 473725-17-6P 473725-18-7P
473725-19-8P 473728-57-3P 473729-15-6P
473729-53-2P 473729-75-8P 473730-04-0P
473730-05-1P 473730-06-2P 473730-60-8P
473730-63-1P 473730-69-7P 473730-73-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
THU (Therapeutic use); BIOL (Biological study); PREP (Preparation)
; USES (Uses)

(drug candidate; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

IT 78-82-0 98-98-6, 2-Pyridinecarboxylic acid

594-19-4 1120-87-2 1888-75-1 2402-95-1
2786-07-4 3002-94-6 3731-53-1,
4-Pyridinemethanamine 14305-17-0 20173-04-0
34803-66-2 50392-78-4 60289-68-1
147701-78-8 473735-05-6 473735-15-8
473735-17-0 473735-20-5 473735-28-3

RL: RCT (Reactant); RACT (Reactant or reagent)
(stereoselective preparation of disubstituted cyclobutenediones as
cxc-chemokine receptor ligands)

IT 1008-91-9P 39639-98-0P 63980-43-8P
337956-36-2P 389628-28-8P 473731-17-8P
473731-58-7P 473731-59-8P 473731-60-1P
473731-75-8P 473733-59-4P 473733-91-4P
473733-92-5P 473733-97-0P 473733-98-1P
473733-99-2P 473734-00-8P 473734-01-9P
473734-25-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP
(Preparation); RACT (Reactant or reagent)
(stereoselective preparation of disubstituted cyclobutenediones as
cxc-chemokine receptor ligands)

L144 ANSWER 2 OF 12 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:414638 HCAPLUS

DN 140:406571

TI Preparation of 3,4-di-substituted cyclobutene-1,2-diones as CXC-chemokine
receptor ligands

IN Taveras, Arthur G.; Aki, Cynthia J.; Bond, Richard W.; Chao, Jianping;
Dwyer, Michael; Ferreira, Johan A.; Chao, Jianhua; Yu, Younong; Baldwin,
John J.; Kaiser, Bernd; Li, Ge; Merritt, J. Robert; Nelson, Kingsley H.;
Rokosz, Laura L.

PA USA

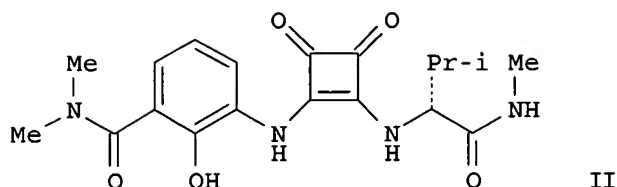
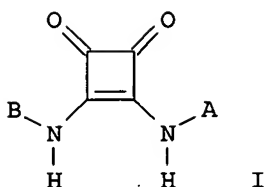
SO U.S. Pat. Appl. Publ., 308 pp., Cont.-in-part of U.S. Ser. No. 122,841.
CODEN: USXXCO

DT Patent

LA English

FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004097547	A1	20040520	US 2002-208412	20020730 <--
	US 2004106794	A1	20040603	US 2002-241326	20020911 <--
	WO 2004011418	A1	20040205	WO 2003-US23785	20030730
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NI, NO, NZ, PG, PH, PL, PT, RO, RU, SC, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UZ, VC, VN, YU, ZA, ZM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2004147559	A1	20040729	US 2003-630258	20030730 <--
PRAI	US 2001-284026P	P	20010416	<--	
	US 2002-122841	A2	20020415		
	US 2002-208412	A2	20020730		
	US 2002-241326	A	20020911		
OS	MARPAT 140:406571				
GI					



AB Title compds. I [A = (un)substituted heterocycle, heterocyclealkyl, heteroaryl, heteroarylalkyl, cycloalkyl, etc.; B = (un)substituted aryl, heteroaryl, heterocycle, heteroarylarene, etc.], or a pharmaceutically acceptable salt or solvate thereof, are prepared and disclosed as cxc-chemokine receptor ligands. Thus, II was prepared by substitution of (dimethylaminocarbonylhydroxyphenylamino)(ethoxy)cyclobutenedione [preparation given] with (R)-2-amino-N,3-dimethylbutanamide monohydrochloride [preparation given]. Compds. of the invention demonstrated an IC₅₀ value of < 20 μ M in CXCR1 SPA assay and < 5 μ M in CXCR2 SPA assay. I are useful for the treatment of chemokine-mediated diseases such as acute and chronic inflammatory disorders and cancer.

IT 473724-65-1P 473724-67-3P 473724-70-8P
 473724-78-6P 473725-17-6P 473725-18-7P
 473725-19-8P 473728-57-3P 473729-15-6P
 473729-53-2P 473729-75-8P 473730-04-0P
 473730-05-1P 473730-06-2P 473730-60-8P
 473730-63-1P 473730-69-7P 473730-73-3P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
 THU (Therapeutic use); BIOL (Biological study); PREP (Preparation)
 ; USES (Uses)

(drug candidate; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

IT 78-82-0 98-98-6, 2-Pyridinecarboxylic acid
 594-19-4 1120-87-2 1888-75-1 2402-95-1
 2786-07-4 3002-94-6 3731-53-1,
 4-Pyridinemethanamine 14305-17-0 20173-04-0
 34803-66-2 50392-78-4 60289-68-1
 147701-78-8 473735-05-6 473735-15-8
 473735-17-0 473735-20-5 473735-28-3

RL: RCT (Reactant); RACT (Reactant or reagent)

(stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

IT 1008-91-9P 39639-98-0P 63980-43-8P
 337956-36-2P 389628-28-8P 473731-17-8P
 473731-58-7P 473731-59-8P 473731-60-1P
 473731-75-8P 473733-59-4P 473733-91-4P
 473733-92-5P 473733-97-0P 473733-98-1P
 473733-99-2P 473734-00-8P 473734-01-9P
 473734-25-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

L144 ANSWER 3 OF 12 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2003:77799 HCAPLUS

DN 138:122460

TI Process for preparing unsymmetrical biaryls and alkylated aromatic compounds from aryl nitriles and Grignard reagents or organozinc compounds in the presence of nickel or palladium catalysts.

IN Miller, Joseph A.

PA DSM N.V., Neth.; Koninklijke DSM N.V.

SO Eur. Pat. Appl., 20 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 1279656	A2	20030129	EP 2002-102055	20020725 <--
	EP 1279656	A3	20041006		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
	US 2003100760	A1	20030529	US 2002-202483	20020723 <--
PRAI	US 2001-308003P	P	20010725 <--		
OS	CASREACT 138:122460; MARPAT 138:122460				
AB	Ar1R [Ar1 = (substituted) aryl; R = (substituted) aryl, alkyl, alkenyl], were prepared by reaction of Ar1CN with RxM (R as defined above; M = Mg, Zn optionally bearing addnl. ligands; x = 1-3) in the presence of Ni or Pd catalysts having P ligands. Thus, PhMgBr was heated 1 h in a solution of LiCOMe3 in THF at 60°; the solution was cooled and 4-MeOC6H4CN and (PPh3)2NiCl2 were added followed by heating at 60° for 2 h to give 91% 4-phenylanisole.				
IT	939-23-1P, 4-Phenylpyridine 1008-88-4P, 3-Phenylpyridine 1008-89-5P, 2-Phenylpyridine 4467-06-5P, 2-(4-Methylphenyl)pyridine				
	RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)				
	(preparation of biaryls and alkylarom. compds. from aryl nitriles and Grignard reagents or organozinc compds. in the presence of nickel or palladium catalysts)				
IT	100-47-0, Benzonitrile, reactions 100-48-1, 4-Cyanopyridine 100-54-9, 3-Cyanopyridine 100-70-9, 2-Cyanopyridine 109-04-6, 2-Bromopyridine 591-51-5, Phenyllithium				
	RL: RCT (Reactant); RACT (Reactant or reagent)				
	(preparation of biaryls and alkylarom. compds. from aryl nitriles and Grignard reagents or organozinc compds. in the presence of nickel or palladium catalysts)				
IT	1907-33-1 2388-07-0, Lithium ethoxide				
	2973-86-6, Lithium thiophenoxide 42031-71-0				
	RL: RGT (Reagent); RACT (Reactant or reagent)				
	(preparation of biaryls and alkylarom. compds. from aryl nitriles and Grignard reagents or organozinc compds. in the presence of nickel or palladium catalysts)				

L144 ANSWER 4 OF 12 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2003:4850 HCAPLUS

DN 138:73075

TI Process for the preparation of substituted aromatics via lithiation and electrophilic alkylation of haloaromatics

IN Meudt, Andreas; Erbes, Michael; Forstinger, Klaus

PA Clariant G.m.b.H., Germany

SO Eur. Pat. Appl., 11 pp.

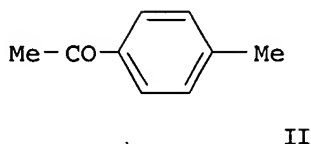
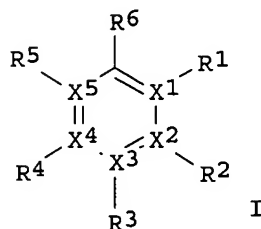
CODEN: EPXXDW

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 1270535	A2	20030102	EP 2002-12763	20020608 <--
	EP 1270535	A3	20040218		
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	DE 10155209	A1	20030109	DE 2001-10155209	20011109 <--
	US 2003018192	A1	20030123	US 2002-171444	20020613 <--
	US 6657093	B2	20031202		
	JP 2003073308	A2	20030312	JP 2002-180218	20020620 <--
	US 2004073032	A1	20040415	US 2003-677412	20031002 <--
PRAI	DE 2001-10129765	A	20010620	<--	
	DE 2001-10155209	A	20011109	<--	
	US 2002-171444	A3	20020613		
OS	CASREACT 138:73075; MARPAT 138:73075				
GI					



AB A process for the preparation of compds. I [R1 - R5 = H, (un)substituted alkyl, alkoxy, etc.; R6 = aryl, alkyl] via the lithiation and electrophilic alkylation of haloaroms. I [R1 - R5 = H, (un)substituted alkyl, alkoxy, etc.; R6 = Cl, F] is disclosed. For example, a mixture of p-chlorotoluene (1 mol) and acetonitrile (1.1 mol) was added to a suspension of lithium (2.0 mol) in THF (350 mL) at -50°C. After stirring for 7.5 h, the reaction was quenched with water, the pH adjusted to 2.0 and the mixture heated at reflux for 2 h. The reaction was cooled, extracted with petroleum ether and the combined organic layers were distilled to provide acetophenone II in 99% yield. The preparation of approx. 12-specific examples of compds. I are disclosed.

IT 75-21-8, Oxirane, reactions 626-60-8, 3-Chloropyridine

RL: RCT (Reactant); RACT (Reactant or reagent)

(process for the preparation of substituted aroms. via lithiation and electrophilic alkylation of the corresponding haloaroms)

IT 75-05-8, Acetonitrile, reactions 7439-93-2,

Lithium, reactions

RL: RGT (Reagent); RACT (Reactant or reagent)

(process for the preparation of substituted aroms. via lithiation and electrophilic alkylation of the corresponding haloaroms)

IT 350-03-8P, 3-Acetylpyridine

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(product; process for the preparation of substituted aroms. via lithiation and electrophilic alkylation of the corresponding haloaroms)

L144 ANSWER 5 OF 12 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2002:814089 HCAPLUS

DN 137:325178

TI Preparation of 3,4-di-substituted cyclobutene-1,2-diones as cxc-chemokine receptor ligands

IN Taveras, Arthur G.; Aki, Cynthia J.; Bond, Richard W.; Chao, Jianping;

Dwyer, Michael; Ferreira, Johan A.; Chao, Jianhua; Yu, Younong; Baldwin, John J.; Kaiser, Bernd; Li, Ge; Merritt, J. Robert; Nelson, Kingsley H.; Rokosz, Laura L.

PA Schering Corporation, USA; Pharmacoepia, Inc.

SO PCT Int. Appl., 394 pp.

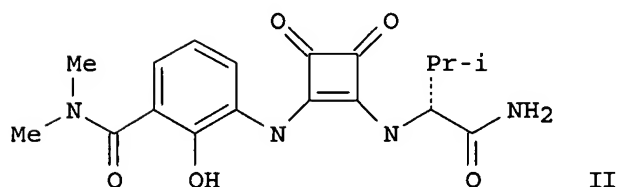
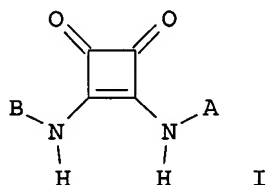
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002083624	A1	20021024	WO 2002-US12681	20020415 <--
	W:			AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VN, YU, ZA, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM	
	RW:			GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG	
	NZ 529551	A	20031219	NZ 2002-529551	20020415 <--
	EP 1381590	A1	20040121	EP 2002-739172	20020415 <--
	R:			AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR	
	BR 2002008957	A	20040622	BR 2002-8957	20020415 <--
	JP 2004532846	T2	20041028	JP 2002-581381	20020415 <--
	NO 2003004612	A	20031208	NO 2003-4612	20031015 <--
PRAI	US 2001-284026P	P	20010416	<--	
	WO 2002-US12681	W	20020415		
OS	MARPAT 137:325178				
GI					



AB Title compds. I [A = (un)substituted heterocycle, heterocyclealkyl, heteroaryl, heteroarylalkyl, cycloalkyl, etc.; B = (un)substituted aryl, heteroaryl, heterocycle, heteroarylarene, etc.], or a pharmaceutically acceptable salt or solvate thereof, are prepared and disclosed as cxc-chemokine receptor ligands. Thus, II was prepared by substitution of (dimethylaminocarbonylhydroxyphenylamino) (ethoxy)cyclobutenedione [preparation given] with (R)-2-amino-N,3-dimethylbutanamide monohydrochloride [preparation given]. Compds. of the invention demonstrated an IC₅₀ value of < 20 μM

in CXCR1 SPA assay and < 5 μ M in CXCR2 SPA assay. I are useful for the treatment of chemokine-mediated diseases such as acute and chronic inflammatory disorders and cancer.

IT 473724-65-1P 473724-67-3P 473724-70-8P
473724-78-6P 473725-17-6P 473725-18-7P
473725-19-8P 473728-57-3P 473729-15-6P
473729-53-2P 473729-75-8P 473730-04-0P
473730-05-1P 473730-06-2P 473730-60-8P
473730-63-1P 473730-69-7P 473730-73-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
THU (Therapeutic use); BIOL (Biological study); PREP (Preparation)
; USES (Uses)

(drug candidate; stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

IT 78-82-0 98-98-6, 2-Pyridinecarboxylic acid
594-19-4 1120-87-2 1888-75-1 2402-95-1
2786-07-4 3002-94-6 3731-53-1,
4-Pyridinemethanamine 14305-17-0 20173-04-0
34803-66-2 50392-78-4 60289-68-1
147701-78-8 473735-05-6 473735-15-8
473735-17-0 473735-20-5 473735-28-3

RL: RCT (Reactant); RACT (Reactant or reagent)

(stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

IT 1008-91-9P 39639-98-0P 63980-43-8P
337956-36-2P 389628-28-8P 473731-17-8P
473731-58-7P 473731-59-8P 473731-60-1P
473731-75-8P 473733-59-4P 473733-91-4P
473733-92-5P 473733-97-0P 473733-98-1P
473733-99-2P 473734-00-8P 473734-01-9P
473734-25-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP
(Preparation); RACT (Reactant or reagent)

(stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
American Home Prod	1995			WO 9514005 A	HCAPLUS
American Home Prod	1996			WO 9614300 A	HCAPLUS
American Home Prod	1996			WO 9615103 A	HCAPLUS
American Home Prod	1998			WO 9833763 A	HCAPLUS
American Home Prod	2000			WO 0035855 A	HCAPLUS
Anon	1994	018	C-1222	PATENT ABSTRACTS OF	
Butera, J	2000	43	1187	JOURNAL OF MEDICINAL	HCAPLUS
Palovich, M	2001			WO 0164208 A	HCAPLUS
Sumitomo Metal Ind Ltd	1994			JP 06092915 A	HCAPLUS

L144 ANSWER 6 OF 12 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2000:161523 HCAPLUS

DN 132:209505

TI Bleaching fabrics by atmospheric oxygen in the presence of transition metal complex catalysts

IN Appel, Adrianus Cornelis Maria; Carina, Riccardo Filippo; Delroisse, Michel Gilbert Jose; Feringa, Bernard Lucas; Girerd, Jean-jacques; Hage, Ronald; Kalmeijer, Robertus Everardus; Martens, Constantinus Franciscus; Peelen, Jacobus Carolina Johannes; Que, Lawrence; Swarthoff, Ton; Tetard, David; Thornthwaite, David; Tiwari, Laxmikant; Thijssen, Rob; Twisker, Robin Stefan; Veerman, Simon Marinus; Van Der Voet, Gerrit; Smith, Richard George

PA Unilever Plc, UK; Unilever Nv; Hindustan Lever Limited

SO PCT Int. Appl., 86 pp.

CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 13

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000012808	A1	20000309	WO 1999-GB2878	19990901 <--
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	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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	AU 9956370	A1	20000321	AU 1999-56370	19990901 <--
	US 6245115	B1	20010612	US 1999-388171	19990901 <--
	EP 1109965	A1	20010627	EP 1999-943085	19990901 <--
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	TR 200101257	T2	20010821	TR 2001-200101257	19990901 <--
	BR 9913367	A	20020129	BR 1999-13367	19990901 <--
	EP 1433840	A1	20040630	EP 2004-7615	19990901 <--
	R: BE, DE, ES, FR, GB, IT				
	RU 2240391	C2	20041120	RU 2001-108575	19990901 <--
	CA 2364605	AA	20001012	CA 2000-2364605	20000322 <--
	WO 2000060043	A1	20001012	WO 2000-EP2587	20000322 <--
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	EP 1165738	A1	20020102	EP 2000-918830	20000322 <--
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	BR 2000009457	A	20020108	BR 2000-9457	20000322 <--
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	WO 2001016268	A1	20010308	WO 2000-EP7561	20000804 <--
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WO 2001016269 A1 20010308 WO 2000-EP7563 20000804 <--
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BR 2000013592 A 20020507 BR 2000-13592 20000804 <--
 BR 2000013593 A 20020507 BR 2000-13593 20000804 <--
 EP 1208184 A1 20020529 EP 2000-951477 20000804 <--
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TR 200200535 T2 20020722 TR 2002-200200535 20000804 <--
 AU 777434 B2 20041014 AU 2000-64400 20000804 <--
 CA 2383590 AA 20010308 CA 2000-2383590 20000816 <--
 CA 2383596 AA 20010308 CA 2000-2383596 20000816 <--
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US 2000-650134 A3 20000829 <--

OS MARPAT 132:209505

AB Fabrics such as laundered fabrics are bleached by atmospheric O by treatment
with transition metal complexes, that are applied in the dry form or in aqueous
solns. (such as in laundering) or in nonaq. solns. (such in dry cleaning).
The method can confer cleaning benefits to the textile after the
treatment. A typical complex was manufactured by reaction of 2-pyridyl ketone
oxime 1 h in EtOH-NH4OH containing NH4OAc with Zn at reflux, reaction of the
resulting bis(pyridin-2-yl)methylamine 40 h with picolyl chloride
hydrochloride in aqueous NaOH, reduction of the perchlorate salt of the 2nd
intermediate with LiAlH4, lithiation of the 3rd intermediate with BuLi,
methylation of 4th intermediate with MeI, and complexation of the
resulting ligand with Fe(ClO4)2.6H2O.

IT 136768-57-5D, manganese complex
RL: CAT (Catalyst use); USES (Uses)
(compsn. containing transition metal complex catalysts for bleaching
laundered fabrics with atmospheric oxygen)

IT 260395-33-3P 260395-35-5P 260395-37-7P
RL: CAT (Catalyst use); IMF (Industrial manufacture); PREP
(Preparation); USES (Uses)
(compsn. containing transition metal complex catalysts for bleaching
laundered fabrics with atmospheric oxygen)

IT 768-61-6P, 2-Hydroxymethyl-5-ethyl pyridine 772-71-4P,
2-Acetoxymethyl-5-methylpyridine 3099-28-3P,

2,6-Dichloromethylpyridine. 5371-70-0P, 4-Chloro-2,6-pyridinedicarboxylic acid dimethyl ester 21852-60-8P, 2-Acetoxymethyl-5-ethyl pyridine 22940-71-2P, 2-Hydroxymethyl-5-methylpyridine 52814-41-2P, 2-Acetoxymethyl-3-methylpyridine. 58088-50-9P 63071-09-0P, 2-Hydroxymethyl-3-methyl pyridine 89561-22-8P 260395-23-1P

RL: IMF (Industrial manufacture); RCT (Reactant);

PREP (Preparation); RACT (Reactant or reagent)

(ligand precursor; compns. containing transition metal complex catalysts for bleaching laundered fabrics with atmospheric oxygen)

IT 104-90-5, 5-Ethyl-2-methyl pyridine 109-72-8, Butyllithium, reactions 583-61-9, 2,3-Dimethylpyridine 589-93-5, 2,5-Lutidine 1195-59-1, 2,6-Pyridinedimethanol 1562-95-4, 2-Pyridyl ketone oxime 4377-33-7, 2-Chloro-methylpyridine 6959-47-3, Picolylchloride hydrochloride

RL: RCT (Reactant); RACT (Reactant or reagent)

(ligand precursor; compns. containing transition metal complex catalysts for bleaching laundered fabrics with atmospheric oxygen)

IT 80384-94-7P 223504-10-7P 260395-25-3P 260395-26-4P 260395-27-5P 260395-28-6P 260395-29-7P 260395-30-0P 260395-31-1P

RL: IMF (Industrial manufacture); RCT (Reactant); PREP

(Preparation); RACT (Reactant or reagent)

(ligand; compns. containing transition metal complex catalysts for bleaching laundered fabrics with atmospheric oxygen)

IT 75-05-8, Acetonitrile, reactions 136768-57-5 172300-86-6

RL: RCT (Reactant); RACT (Reactant or reagent)

(ligand; compns. containing transition metal complex catalysts for bleaching laundered fabrics with atmospheric oxygen)

RETABLE

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Procter & Gamble	1995			WO 9527772 A	HCAPLUS
Rhone Poulenc Chemicals	1997			WO 9707124 A	HCAPLUS
Unilever Nv	1996			WO 9606154 A	HCAPLUS

L144 ANSWER 7 OF 12 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2000:161417 HCAPLUS

DN 132:209503

TI Composition and method for bleaching a substrate such as laundered fabrics with atmospheric oxygen

IN Appel, Adrianus Cornelis Maria; Carina, Riccardo Filippo; Delroisse, Michel Gilbert Jose; Feringa, Bernard Lucas; Girerd, Jean-jacques; Hage, Ronald; Kalmeijer, Robertus Everardus; Martens, Constantinus Franciscus; Peelen, Jacobus Carolina Johannes; Que, Lawrence; Swarthoff, Ton; Tetard, David; Thornthwaite, David; Tiwari, Laxmikant; Thijssen, Rob; Twisker, Robin Stefan; Veerman, Simon Marinus; Van Der Voet, Gerrit

PA Unilever Plc, UK; Unilever Nv; Hindustan Lever Limited

SO PCT Int. Appl., 83 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 13

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OS MARPAT 132:209503

AB A method of bleaching a substrate such as laundered fabrics is provided that comprises applying to the substrate, in an aqueous medium, an transition metal complex, so that the complex catalyzes bleaching of the substrate by atmospheric oxygen. A typical complex was manufactured by reaction of 2-pyridyl ketone oxime 1 h in EtOH-NH4OH containing NH4OAc with Zn at reflux, reaction of the resulting bis(pyridin-2-yl)methylamine 40 h with picolyl chloride hydrochloride in aqueous NaOH, reduction of the perchlorate salt of the 2nd intermediate with LiAlH4, lithiation of the 3rd intermediate with BuLi, methylation of 4th intermediate with MeI, and complexation of the resulting ligand with Fe(ClO4)2.6H2O.

IT 260395-33-3P 260395-35-5P 260395-37-7P
 RL: CAT (Catalyst use); IMF (Industrial manufacture); PREP (Preparation); USES (Uses)
 (compns. containing transition metal complex catalysts for bleaching laundered fabrics with atmospheric oxygen)

IT 768-61-6P, 2-Hydroxymethyl-5-ethyl pyridine 772-71-4P
 3099-28-3P, 2,6-Dichloromethylpyridine. 5371-70-0P,
 4-Chloro-2,6-pyridinedicarboxylic acid dimethyl ester 21852-60-8P
 , 2-Acetoxyethyl-5-ethyl pyridine 22940-71-2P
 52814-41-2P 58088-50-9P 63071-09-0P,
 2-Hydroxymethyl-3-methyl pyridine 89561-22-8P
 260395-23-1P
 RL: IMF (Industrial manufacture); RCT (Reactant);
 PREP (Preparation); RACT (Reactant or reagent)
 (ligand precursor; compns. containing transition metal complex catalysts for bleaching laundered fabrics with atmospheric oxygen)

IT 104-90-5, 5-Ethyl-2-methyl pyridine 109-72-8,
 Butyllithium, reactions 583-61-9, 2,3-Dimethylpyridine
 589-93-5, 2,5-Lutidine 1195-59-1, 2,6-Pyridinedimethanol
 1562-95-4, 2-Pyridyl ketone oxime 4377-33-7,
 2-Chloro-methylpyridine 6959-47-3, Picolylchloride hydrochloride
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (ligand precursor; compns. containing transition metal complex catalysts for bleaching laundered fabrics with atmospheric oxygen)

IT 80384-94-7P 223504-10-7P 260395-25-3P
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 RL: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)
 (ligand; compns. containing transition metal complex catalysts for bleaching laundered fabrics with atmospheric oxygen)

IT 75-05-8, Acetonitrile, reactions 136768-57-5
 172300-86-6
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (ligand; compns. containing transition metal complex catalysts for bleaching laundered fabrics with atmospheric oxygen)

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L144 ANSWER 8 OF 12 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 1999:197515 HCAPLUS

DN 131:4838

TI TMP-zincate as highly chemoselective base for directed ortho metalation

AU Kondo, Yoshinori; Shilai, Manabu; Uchiyama, Masanobu; Sakamoto, Takao

CS Graduate School of Pharmaceutical Sciences, Tohoku University, Sendai,
980-8578, Japan

SO Journal of the American Chemical Society (1999), 121(14),
3539-3540

CODEN: JACSAT; ISSN: 0002-7863

PB American Chemical Society

DT Journal

LA English

OS CASREACT 131:4838

AB TMP-zincate [I; **lithium** di-tert-butyl(2,2,6,6-tetramethylpiperidino)zincate] was prepared as a chemoselective base for directed ortho metalation reactions. Thus, PhX [X = CO₂Me, CO₂Et, CO₂CHMe₂, CO₂CMe₃, CON(CHMe₂)₂, cyano] were treated with I and the resulting ortho metalated derivs. underwent electrophilic substitution with iodine to give 2-IC₆H₄X in excellent yields. Thiophenes, furans, pyridines, and quinolines underwent analogous regioselective metalations with I.

IT 100-47-0, Benzonitrile, reactions 1120-90-7,

3-Iodopyridine 38227-87-1, **Lithium**

2,2,6,6-tetramethylpiperidide

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of **lithium** di-tert-butyl(tetramethylpiperidino)zincate as reagent in chemoselective ortho metalation/electrophilic substitution of aromatic hydrocarbons and heterocyclic compds.)

IT 225942-46-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP

(Preparation); RACT (Reactant or reagent)

(preparation of **lithium** di-tert-butyl(tetramethylpiperidino)zincate as reagent in chemoselective ortho metalation/electrophilic substitution of aromatic hydrocarbons and heterocyclic compds.)

IT 5029-67-4P, 2-Iodopyridine 6560-83-4P, 2-Iodoquinoline

19658-77-6P, 1-Iodoisoquinoline 225797-25-1P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of **lithium** di-tert-butyl(tetramethylpiperidino)zincate as reagent in chemoselective ortho metalation/electrophilic substitution of aromatic hydrocarbons and heterocyclic compds.)

RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Bauer, W	1989	111	7191	J Am Chem Soc	HCAPLUS
Beak, P	1986	19	356	Acc Chem Res	HCAPLUS
Caron, S	1998	63	2054	J Org Chem	HCAPLUS
Clarke, A	1974		2373	tetrahedron Lett	HCAPLUS
Comins, D	1988	44	199	Adv Heterocycl Chem	HCAPLUS
Eaton, P	1989	111	8016	J Am Chem Soc	HCAPLUS
Erdik, E	1987	43	2203	Tetrahedron	HCAPLUS
Erdik, E	1992	48	9577	Tetrahedron	HCAPLUS

Gilman, H	1939	61	109	J Am Chem Soc	
Gilman, H	1954	8	258	Org React	
Gschwend, H	1979	26	1	Heteroatom Facilitat	HCAPLUS
Harada, T	1993	58	2958	J Org Chem	HCAPLUS
Harada, T	1993	58	4897	J Org Chem	HCAPLUS
Isobe, M	1977		679	Chem Lett	HCAPLUS
Jansen, J	1988	29	3593	Tetrahedron Lett	HCAPLUS
Kessar, S	1997	97	721	Chem Rev	HCAPLUS
Kjonaas, R	1988	53	4133	J Org Chem	HCAPLUS
Knochel, P	1993	93	2117	Chem Rev	HCAPLUS
Knochel, P	1991	1	211	Comprehensive Organi	
Kondo, Y	1997		799	J Chem Soc Perkin Tr	HCAPLUS
Kondo, Y	1999	1	123	J Comb Chem	HCAPLUS
Kondo, Y	1994	59	4717	J Org Chem	HCAPLUS
Kondo, Y	1996		2331	J Chem Soc PERkin Tr	HCAPLUS
Krizan, T	1983	105	6155	J Am Chem Soc	HCAPLUS
Muller, H	1977	140	C17	J Organomet Chem	
Queguiner, G	1991	52	187	Adv Heterocycl Chem	HCAPLUS
Rennels, R	1998	120	421	J Am Chem Soc	HCAPLUS
Rewcastle, G	1993	56	155	Adv Heterocycl Chem	HCAPLUS
Saa, J	1992	114	9093	J Am Chem Soc	HCAPLUS
Saa, J	1996	61	5194	J Org Chem	HCAPLUS
Snieckus, V	1990	90	879	Chem Rev	HCAPLUS
Taylor, S	1991		570	J Chem Soc Chem Comm	
Tuckmantel, W	1986	119	1581	Chem Ber	HCAPLUS
Uchiyama, M	1996	118	8733	J Am Chem Soc	HCAPLUS
Uchiyama, M	1998	120	4934	J Am Chem Soc	HCAPLUS
Upton, C	1975	40	1094	J Org Chem	HCAPLUS
Vedejs, E	1996	118	1809	J Am Chem Soc	HCAPLUS
Vedejs, E	1996	61	5192	J Org Chem	HCAPLUS
Verbeek, J	1984	49	3857	J Org Chem	HCAPLUS
Verbeek, J	1984	49	3857	J Org Chem	HCAPLUS
Wittig, G	1940	73	1197	Chem Ber	

L144 ANSWER 9 OF 12 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 1998:493611 HCAPLUS

DN 129:149362

TI Polymerization of olefins in the presence of nickel complexes

IN Johnson, Lynda Kaye; Bennett, Alison Margaret Anne; Ittel, Steven Dale; Wang, Lin; Parthasarathy, Anju; Hauptman, Elisabeth; Simpson, Robert D.; Feldman, Jerald; Coughlin, Edward Bryan; et al.

PA E. I. Du Pont de Nemours & Co., USA; Johnson, Lynda Kaye; Bennett, Alison Margaret Anne; Ittel, Steven Dale; Wang, Lin; Parthasarathy, Anju; Hauptman, Elisabeth; Simpson, Robert D.

SO PCT Int. Appl., 149 pp.

CODEN: PIXXD2

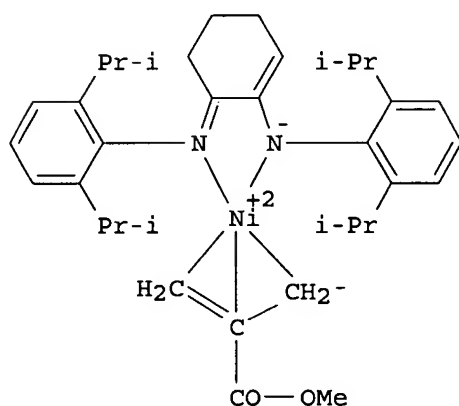
DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9830609	A1	19980716	WO 1998-US610	19980113 <--
	W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GW, HU, ID, IL, IS, JP, KG, KP, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2274817	AA	19980716	CA 1998-2274817	19980113 <--
	AU 9859150	A1	19980803	AU 1998-59150	19980113 <--
	AU 734651	B2	20010621		
	EP 952997	A1	19991103	EP 1998-902510	19980113 <--

EP 952997	B1	20040811		
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, NL, SE, FI				
BR 9806894	A	20000321	BR 1998-6894	19980113 <--
TR 9901645	T2	20000421	TR 1999-9901645	19980113 <--
JP 2000514132	T2	20001024	JP 1998-531236	19980113 <--
JP 3418992	B2	20030623		
US 6174975	B1	20010116	US 1998-6536	19980113 <--
AT 273329	E	20040815	AT 1998-902510	19980113 <--
NO 9903295	A	19990901	NO 1999-3295	19990702 <--
MX 9906534	A	20000228	MX 1999-6534	19990713 <--
US 6613915	B1	20030902	US 1999-417323	19991013 <--
PRAI US 1997-35190P	P	19970114	<--	
US 1998-6536	A3	19980113	<--	
WO 1998-US610	W	19980113	<--	
OS MARPAT 129:149362				
GI				



I

AB Selected olefins such as ethylene and α -olefins are polymerized by nickel[II] complexes of certain monoanionic ligands such as nickel-diimine complex I. The polyolefins are useful in many applications such as molding resins, film, fibers and others. I was manufactured by reaction of 2 equiv Na salt of the product of 1,2-cyclohexanedione and 2,6-diisopropylaniline with 1 equiv $[(CH_2C(CO_2Me)CH_2)Ni(\mu-Br)]_2$.

IT 58086-72-9P 72366-42-8P 81292-75-3P,
Lithium 5-methyl-2-thiophenecarboxylate 210882-23-8P
210882-92-1P 210882-93-2P 210883-10-6P
210883-18-4P
RL: IMF (Industrial manufacture); RCT (Reactant);
PREP (Preparation); RACT (Reactant or reagent)
(catalyst precursor; polymerization of olefins in presence of nickel complexes of monoanionic ligands as catalysts)

IT 75-05-8, Acetonitrile, reactions 108-48-5, 2,6-Lutidine
594-19-4, tert-Butyllithium 1603-40-3,
2-Amino-3-picoline 19966-81-5, Lithium dicyclohexylphosphine
RL: RCT (Reactant); RACT (Reactant or reagent)
(catalyst precursor; polymerization of olefins in presence of nickel complexes of monoanionic ligands as catalysts)

IT 210883-30-0P 210883-32-2P 210883-45-7P
210883-54-8P 210883-58-2P
RL: CAT (Catalyst use); IMF (Industrial manufacture); PREP

(Preparation); USES (Uses)

(polymerization of olefins in presence of nickel complexes of monoanionic ligands as catalysts)

RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
=====	=====	=====	=====	=====	=====
Du Pont	1996			WO 9623010 A	HCAPLUS
Ecole Europ Des Hautes	1994			DE 4415725 A	HCAPLUS
Novak, B	1995			US 5395811 A	HCAPLUS

L144 ANSWER 10 OF 12 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 1995:433709 HCAPLUS

DN 122:161648

TI Preparation of Dipyritylmethane Ligands with Pseudo-C2 Symmetry. Grafting on Polystyrenes via Transformation to Phenolic Derivatives

AU Levacher, Vincent; Moberg, Christina

CS Department of Chemistry, Royal Institute of Technology, Stockholm, S-100 44, Swed.

SO Journal of Organic Chemistry (1995), 60(6), 1755-62

CODEN: JOCEAH; ISSN: 0022-3263

PB American Chemical Society

DT Journal

LA English

AB Efficient grafting of dipyritylmethane ligands on highly cross-linked and gel-type chloromethylated polystyrenes was achieved using phenolic derivs. of the ligands. In this way, chiral polymer-supported ligands with pseudo-C2 symmetry were obtained. The synthesis of the ligands and their grafting under mild conditions are described, and the preparation of monomeric models. During reduction of 6,6'-(2,2-dimethyl-1-oxopropyl) derivs. with sodium borohydride, the R,S isomers were unexpectedly formed with high selectivity.

IT 161584-90-3P 161584-91-4P

RL: PNU (Preparation, unclassified); PUR (Purification or recovery); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)

(NMR spectra of tetraethers obtained by methylation of chiral pyridylmethane alcs. to identify possible stereoisomers)

IT 49669-22-9P

RL: BYP (Byproduct); PREP (Preparation)

(coupling product; preparation of pyridylmethane phenolic ligands for grafting on polystyrene)

IT 161584-85-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(diastereomer; preparation of chiral pyridylmethane phenolic ligands for grafting on polystyrene)

IT 161584-86-7P

RL: SPN (Synthetic preparation); PREP (Preparation)

(homochiral bisalc.; preparation of chiral pyridylmethane phenolic ligands for grafting on polystyrene)

IT 161584-80-1P

RL: BYP (Byproduct); PREP (Preparation)

(identification by reaction of meso isomers obtained by stereoselective reduction of pyridylmethane diketone)

IT 161584-92-5P 161584-93-6P 161584-96-9P

161584-97-0P

RL: PUR (Purification or recovery); RCT (Reactant);

SPN (Synthetic preparation); PREP (Preparation);

RACT (Reactant or reagent)

(identification by reaction of meso isomers obtained by stereoselective reduction of pyridylmethane diketone)

IT 161584-98-1P

- RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(identification by reaction of meso isomers obtained by stereoselective reduction of pyridylmethane diketone)
- IT 161584-94-7P 161584-95-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(isomer; identification by reaction of meso isomers obtained by stereoselective reduction of pyridylmethane diketone)
- IT 161584-75-4P
RL: BYP (Byproduct); RCT (Reactant); PREP (Preparation)
; RACT (Reactant or reagent)
(minor product, intermediate; preparation of pyridylmethane phenolic ligands for grafting on polystyrene)
- IT 161584-79-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(no absolute configuration, diastereomer; preparation of chiral pyridylmethane phenolic ligands containing t-Bu groups for grafting on polystyrene)
- IT 161584-77-6P 161584-78-7P
RL: BYP (Byproduct); PREP (Preparation)
(preparation of chiral pyridylmethane phenolic ligands containing t-Bu groups for grafting on polystyrene)
- IT 109-72-8, Butyllithium, reactions 630-18-2,
2,2-Dimethylpropionitrile 37709-60-7 42772-87-2,
Bis(6-bromo-2-pyridyl)ketone 144382-06-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of chiral pyridylmethane phenolic ligands containing t-Bu groups for grafting on polystyrene)
- IT 161584-87-8P 161584-88-9P 161584-89-0P
RL: RCT (Reactant); SPN (Synthetic preparation);
PREP (Preparation); RACT (Reactant or reagent)
(preparation of chiral pyridylmethane phenolic ligands containing t-Bu groups for grafting on polystyrene)
- IT 161584-76-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of chiral pyridylmethane phenolic ligands for grafting on polystyrene)
- IT 161584-84-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of chiral pyridylmethane phenolic ligands for grafting on polystyrene)
- IT 161584-83-4DP, reaction products with divinylbenzene-styrene copolymer 161584-86-7DP, reaction products with divinylbenzene-styrene copolymer 161584-98-1DP, reaction products with divinylbenzene-styrene copolymer
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of polystyrene-supported chiral dipyridylmethane ligands by grafting for asym. synthesis and enantioseps.)
- IT 17624-36-1, 2-Lithiopyridine 19437-26-4,
Di(2-pyridyl)ketone
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of pyridylmethane phenolic ligands for grafting on polystyrene)
- IT 161584-74-3P 161584-81-2P 161584-82-3P
161584-83-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyridylmethane phenolic ligands for grafting on polystyrene)

AN 1989:573738 HCAPLUS
Correction of: 1986:129612
DN 111:173738
Correction of: 104:129612
TI Aromatic alkenol, alkynol, or cyclopropylalkanol derivatives useful as antiandrogens
IN Hughes, Leslie Richard; Oldfield, John; Tucker, Howard
PA Imperial Chemical Industries PLC, UK
SO Eur. Pat. Appl., 61 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 154528	A2	19850911	EP 1985-301414	19850301 <--
	EP 154528	A3	19890104		
	EP 154528	B1	19911211		
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	ZA 8501268	A	19851224	ZA 1985-1268	19850219 <--
	US 4873329	A	19891010	US 1985-704038	19850221 <--
	AT 70256	E	19911215	AT 1985-301414	19850301 <--
	IL 74486	A1	19910310	IL 1985-74486	19850303 <--
	AU 8539446	A1	19851010	AU 1985-39446	19850304 <--
	AU 566981	B2	19871105		
	FI 8500888	A	19850908	FI 1985-888	19850305 <--
	FI 86169	B	19920415		
	FI 86169	C	19920727		
	CA 1269104	A1	19900515	CA 1985-475778	19850305 <--
	NO 8500887	A	19850909	NO 1985-887	19850306 <--
	NO 164294	B	19900611		
	NO 164294	C	19900919		
	HU 38087	A2	19860428	HU 1985-842	19850306 <--
	HU 195755	B	19880728		
	DK 8501048	A	19850908	DK 1985-1048	19850307 <--
	JP 60237033	A2	19851125	JP 1985-43847	19850307 <--
	JP 07061965	B4	19950705		
	ES 541044	A1	19861116	ES 1985-541044	19850307 <--
	ES 555614	A1	19870701	ES 1986-555614	19860602 <--
	US 5032592	A	19910716	US 1989-358433	19890530 <--
PRAI	GB 1984-6000	A	19840307	<--	
	US 1985-704038	A1	19850221	<--	
	EP 1985-301414	A	19850301	<--	
AB	Aromatic alkenol, alkynol, and cyclopropylalkanol derivs. R2R3R4ZCR5R7CR6R8CR9R10OR1 [Z = benzene, naphthalene, or heterocyclic nucleus; R1 = H, alkyl, alkanoyl, aroyl; R2-R4 = halo, NO2, cyano, CF3, alkylthio, -sulfinyl, -sulfonyl, alkoxy, dialkylamino; R5, R6 = H, halo, alkyl, R7R8 = bond, CH2; R5R6 = R7R8 = bond; R9 = alkyl, haloalkyl; R10 = cycloalkyl, alkanoyl, aroyl, (di)(alkyl)carbamoyl, (un)substituted alkyl, alkenyl, alkynyl, Ph, naphthyl, heterocyclyl], useful as antiandrogens (no data), were prepared Thus, 3,4-Cl2C6H3CH:CHCOCF3, which reacted with BuLi and Me3SiC.tplbond.CH to give trans-3,4-Cl2C6H3CH:CHC(OH)(CF3)C.tplbond.CR 11 (I; R11 = Me3Si), which was desilylated with Bu4N+F- to give I (R11 = H). Oxidation of the latter alkyne with HgO in aqueous H2SO4 gave trans-3,4-Cl2C6H3CH:CHC(OH)(CF3)COME.				
IT	90945-94-1 RL: RCT (Reactant); RACT (Reactant or reagent) (alkylation of, by epoxyphenylbutenes)				
IT	23100-12-1 101066-61-9 RL: RCT (Reactant); RACT (Reactant or reagent) (condensation of, with methylphosphonium salt and fluoroalkenones)				
IT	101048-68-4 101048-69-5 101048-70-8				

101048-71-9 101048-74-2
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (condensation of, with organolithiums)
 IT 109-72-8, reactions 917-54-4 3002-94-6
 3052-45-7
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (condensation of, with phenylbutenones)
 IT 75-05-8, Acetonitrile, reactions 78-82-0
 107-12-0, Propanenitrile 109-74-0, Butanenitrile
 36178-05-9
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (lithiation and condensation of, with phenylbutenones)
 IT 101048-31-1P 101048-36-6P 101048-37-7P
 101048-38-8P 101048-39-9P 101048-41-3P
 101048-42-4P 101048-44-6P 101048-45-7P
 101065-46-7P 101066-14-2P 101066-33-5P
 101066-34-6P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological
 study, unclassified); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation);
 USES (Uses)
 (preparation of, as antiandrogen)

L144 ANSWER 12 OF 12 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 1986:129612 HCAPLUS

DN 104:129612

TI Aromatic alkenol, alkynol, or cyclopropylalkanol derivatives

IN Hughes, Leslie Richard; Oldfield, John; Tucker, Howard

PA Imperial Chemical Industries PLC, UK

SO Eur. Pat. Appl., 61 pp.

CODEN: EPXXDW

DT Patent

LA English

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 154528 A2		19850911	EP 1985-301414	19850301

PI R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE

PRAI GB 1984-6000 19840307

AB Aromatic alkenol, alkynol, and cyclopropylalkanol derivs.

R2R3R4ZCR5R7CR6R8CR9R10OR1 [Z = benzene, naphthalene, or heterocyclic
 nucleus; R1 = H, alkyl, alkanoyl, aroyl; R2-R4 = halo, NO2, cyano, CF3,
 alkylthio, -sulfinyl, -sulfonyl, alkoxy, dialkylamino; R5, R6 = H, halo,
 alkyl, R7R8 = bond, CH2; R5R6 = R7R8 = bond; R9 = alkyl, haloalkyl; R10 =
 cycloalkyl, alkanoyl, aroyl, (di) (alkyl) carbamoyl, (un)substituted alkyl,
 alkenyl, alkynyl, Ph, naphthyl, heterocyclyl], useful as antiandrogens (no
 data), were prepared Thus, 3,4-Cl2C6H3CHO and MeCOCF3 were condensed by
 LiOH in EtOH to give trans-3,4-Cl2C6H3CH:CHCOCF3, which reacted with BuLi
 and Me3SiC.tplbond.CH to give trans-3,4-Cl2C6H3CH:CHC(OH) (CF3)C.tplbond.CR
 11 (I; R11 = Me3Si), which was desilylated with Bu4N+F- to give I (R11 =
 H). Oxidation of the latter alkyne with HgO in aqueous H2SO4 gave
 trans-3,4-Cl2C6H3CH:CHC(OH) (CF3)COME.

IT 101048-68-4 101048-69-5 101048-70-8

101048-71-9 101048-74-2

RL: RCT (Reactant); RACT (Reactant or reagent)

(condensation of, with organolithiums)

IT 109-72-8, reactions 917-54-4 3002-94-6

3052-45-7

RL: RCT (Reactant); RACT (Reactant or reagent)

(condensation of, with phenylbutenones)

IT 75-05-8, reactions 78-82-0 107-12-0

109-74-0 36178-05-9

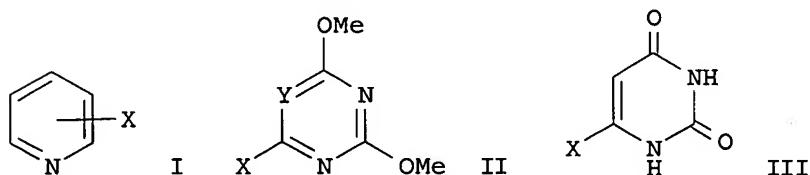
RL: RCT (Reactant); RACT (Reactant or reagent)

(lithiation and condensation of, with phenylbutenones)

IT 101048-31-1P 101048-36-6P 101048-37-7P
 101048-38-8P 101048-39-9P 101048-41-3P
 101048-42-4P 101048-44-6P 101048-45-7P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation);
 USES (Uses)
 (preparation of, as antiandrogen)

=> => d 1146 bib abs hitrn retable tot

L146 ANSWER 1 OF 4 HCAPLUS COPYRIGHT 2005 ACS on STN
 AN 2000:422008 HCAPLUS
 DN 133:164039
 TI Naphthalene-catalyzed lithiation of chlorinated nitrogenated aromatic heterocycles and reaction with electrophiles
 AU Gomez, Inmaculada; Alonso, Emma; Ramon, Diego J.; Yus, Miguel
 CS Departamento de Quimica Organica, Facultad de Ciencias, Universidad de Alicante, Alicante, E-03080, Spain
 SO Tetrahedron (2000), 56(24), 4043-4052
 CODEN: TETRAB; ISSN: 0040-4020
 PB Elsevier Science Ltd.
 DT Journal
 LA English
 OS CASREACT 133:164039
 GI



AB Naphthalene catalyzed reductive lithiation of various chloroazines, e.g., pyridine I (X = 2-, 3-, 4-Cl), in the presence of different electrophiles yields, after hydrolysis, the expected functionalized heterocycles with one and three nitrogen atoms in the ring, e.g., II (X = PhCO, Me₃CCHOH, Me₂COH, etc., Y = CH, N). This methodol. allowed us to trap in situ the lithium imine derived from the reaction of 2-pyridyllithium with benzonitrile, by reaction with a Grignard reagent in the presence of titanium alkoxides. 2,4-Dimethoxypyrimidines II [X = Me₃CCHOH, Et₂COH, Me₂CHC(OH)Me] are demethylated under acidic conditions to give the corresponding uracil derivs. III.

IT 100-47-0, Benzonitrile, reactions 109-09-1
 612-62-4 626-60-8 626-61-9 634-47-9
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (naphthalene-catalyzed electrophilic substitution of chlorinated aromatic nitrogen-heterocycles)

IT 91-02-1P 4390-52-7P 5424-19-1P
 6270-47-9P 14159-57-0P 16576-25-3P
 18085-85-3P 19490-92-7P 19490-93-8P
 19490-94-9P 19731-60-3P 20609-11-4P
 22518-71-4P 40247-47-0P 54813-46-6P
 55690-09-0P 60975-82-8P 104338-80-9P
 108981-31-3P 124009-64-9P 198270-44-9P
 202134-87-0P 287969-71-5P 287969-72-6P
 287969-74-8P 287969-75-9P 287969-76-0P
 287969-90-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
(naphthalene-catalyzed electrophilic substitution of chlorinated aromatic
nitrogen-heterocycles)

RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Alonso, E	1997	62	417	J Org Chem	HCAPLUS
Alonso, E	1999	55	11027	Tetrahedron	HCAPLUS
Alonso, F	1997	1	397	Recent Res Devel Org	HCAPLUS
Anon	1965				
Anon	1975		512		HCAPLUS
Anon	1989		288		HCAPLUS
Bachman, G	1959	24	1696	J Org Chem	HCAPLUS
Berillon, L	1998		1359	Synlett	HCAPLUS
Blomberg, C	1993			The Barbier Reaction	
Bolm, C	1992	125	1169	Chem Ber	HCAPLUS
Cahiez, G	1999	40	6407	Tetrahedron Lett	HCAPLUS
Charette, A	1998	39	5147	Tetrahedron Lett	HCAPLUS
Chelucci, G	1992	3	1235	Tetrahedron:Asymmetr	HCAPLUS
Corey, E	1998	39	6151	Tetrahedron Lett	HCAPLUS
Cussac, M	1974	9	651	Eur J Med Chem-Chim	HCAPLUS
Effenberger, F	1992	125	1131	Chem Ber	HCAPLUS
Eicher, T	1995			The Chemistry of Het	
Epsztajn, J	1985		213	J Chem Soc, Perkin T	HCAPLUS
Fontana, F	1991	56	2866	J Org Chem	HCAPLUS
Foubelo, F	1999	40	743	Tetrahedron Lett	HCAPLUS
Foubelo, F	1998	7	1	Trends Org Chem	HCAPLUS
Genov, M	1997	8	1869	Tetrahedron:Asymmetr	HCAPLUS
Gijarro, D	1993	49	7761	Tetrahedron	
Gomez, C	1999	55	7017	Tetrahedron	HCAPLUS
Gomez, C	1998	39	1397	Tetrahedron Lett	HCAPLUS
Griffin, D				EP 296722	HCAPLUS
Gros, P	1997		3597	J Chem Soc, Perkin T	HCAPLUS
Gu, Y	1996	37	2565	Tetrahedron Lett	HCAPLUS
Hannon, M	1998	39	8509	Tetrahedron Lett	HCAPLUS
Hildbrand, S	1997	119	5499	J Am Chem Soc	HCAPLUS
Hirschberg, A	1995	2	209	J Heterocyclic Chem	
Keay, J	1991	8	579	Comprehensive Organi	
Kondo, Y	1994	37	1467	Heterocycles	HCAPLUS
Krumkalns, E	1977		159	US 4039675	HCAPLUS
McWhinnie, W	1968	11	499	J Organometal Chem	HCAPLUS
Mongin, F	1998	39	1749	Tetrahedron Lett	HCAPLUS
Moore, E	1992	114	5888	J Am Chem Soc	HCAPLUS
Najera, C	1997	1	67	Recent Res Devel Org	HCAPLUS
Najera, C	1991	1	155	Trends Org Chem	
Ortiz, J	1999	55	4831	Tetrahedron	HCAPLUS
Peterson, M	1997	62	8237	J Org Chem	HCAPLUS
Ple, N	1995	60	3781	J Org Chem	HCAPLUS
Pollet, P	1999	64	4512	J Org Chem	HCAPLUS
Pozharskii, A	1997			Heterocycles in Life	
Queguiner, G	1991	52	186	Adv Heterocyclic Che	
Ramon, D	2000		225	Eur J Org Chem	HCAPLUS
Ramon, D	1997	8	2479	Tetrahedron:Asymmetr	HCAPLUS
Riedmiller, F	1998	17	4444	Organometallics	HCAPLUS
Sakamoto, T	1992	33	5373	Tetrahedron Lett	HCAPLUS
Savage, S	1998	63	10148	J Org Chem	
Shiruma, A	1999		495	Synthesis	
Sperber, N	1949	71	887	J Am Chem Soc	HCAPLUS
Stoner, E	1995	51	11043	Tetrahedron	HCAPLUS
Traynelis, V	1974	96	7289	J Am Chem Soc	HCAPLUS
Trecourt, F	2000	56	1349	Tetrahedron	HCAPLUS
Trecourt, F	1999	40	4339	Tetrahedron Lett	HCAPLUS

Trecourt, F	1999	40	4339	Tetrahedron Lett	HCAPLUS
Uenishi, J	1999	50	341	Heterocycles	HCAPLUS
van der Schaaf, P	1994	13	1433	Organometallics	HCAPLUS
Verbeek, J	1984	49	3857	J Org Chem	HCAPLUS
Verbeek, J	1998	54	8771	Tetrahedron	
Wakefield, B	1988		31	Organolithium Method	
Wibaut, J	1951	70	1054	Recl Trav Chim Pays-	HCAPLUS
Wishka, D	1998	63	7851	J Org Chem	HCAPLUS
Wolf, A	1956	78	861	J Am Chem Soc	HCAPLUS
Yus, M	1996		155	Chem Soc Rev	HCAPLUS
Yus, M	1991		398	J Chem Soc, Chem Com	HCAPLUS
Yus, M	1997	17	73	Rev Heteroatom Chem	HCAPLUS
Zymalkowski, F	1968	715	98	Justus Liebig's Ann C	HCAPLUS

L146 ANSWER 2 OF 4 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 1997:636196 HCAPLUS

DN 127:307383

TI Pyridyl imidazole compounds, useful as cytokine inhibitors, and their compositions

IN Adams, Jerry Leroy; Garigipati, Ravi Shanker; Boehm, Jeffrey Charles

PA Smithkline Beecham Corporation, USA

SO U.S., 39 pp., Cont.-in-part of U.S. Ser. No. 369,964.

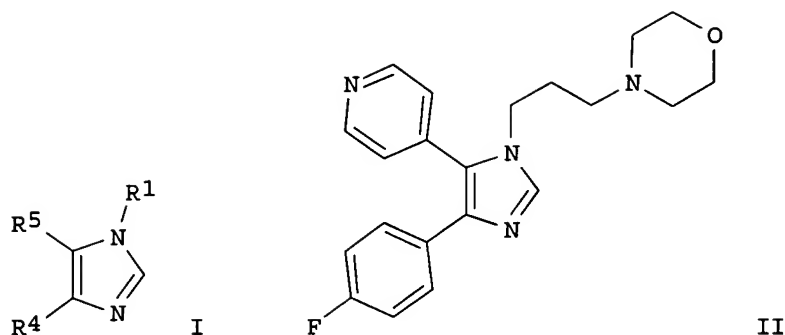
CODEN: USXXAM

DT Patent

LA English

FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5670527	A	19970923	US 1995-473058	19950607 <--
	EP 1227091	A2	20020731	EP 2002-76580	19940715 <--
	EP 1227091	A3	20020807		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI				
	EP 1227092	A2	20020731	EP 2002-76582	19940715 <--
	EP 1227092	A3	20020807		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI				
	EP 1229035	A1	20020807	EP 2002-76581	19940715 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI				
	EP 1291346	A1	20030312	EP 2002-79534	19940715 <--
	EP 1291346	B1	20050323		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI				
	ZA 9600094	A	19960724	ZA 1996-94	19960108 <--
	US 5969184	A	19991019	US 1997-854223	19970509 <--
	US 6150557	A	20001121	US 1998-185059	19981103 <--
	AU 9944782	A1	19991111	AU 1999-44782	19990827 <--
	JP 2004083600	A2	20040318	JP 2003-412020	20031210 <--
	JP 2004099622	A2	20040402	JP 2003-412029	20031210 <--
	JP 2004149541	A2	20040527	JP 2003-412024	20031210 <--
PRAI	US 1993-92733	B2	19930716	<--	
	US 1995-369964	B2	19950109	<--	
	EP 1994-923503	A3	19940715	<--	
	JP 1995-504744	A3	19940715	<--	
	WO 1994-US7969	A2	19940715	<--	
	US 1995-473058	A3	19950607	<--	
	US 1997-854223	A3	19970509	<--	
	AU 1998-71850	A3	19980602	<--	
OS	MARPAT 127:307383				
GI					



AB Novel 1,4,5-substituted imidazole compds. I [R¹ = (un)substituted alk(en/yn)yl, cycloalkyl, aralkyl, heteroaryl, wide variety of functionalized sidechains; R⁴ = (un)substituted Ph, naphthyl, or heteroaryl; R⁵ = (un)substituted 4-pyridyl, pyrimidinyl, quinolyl, isoquinolyl, quinazolin-4-yl, 1-imidazolyl, or 1-benzimidazolyl], and their compns. for use in therapy as cytokine inhibitors, are disclosed. Approx. 100 invention compds. and a variety of intermediates were prepared. For instance, (4-fluorophenyl)(p-tolylthio)methyl isocyanide and pyridine-4-carboxaldehyde [3-(4-morpholinyl)propyl]imine (preps. given) were cyclocondensed in the presence of 1,5,7-triazabicyclo[4.4.0]dec-5-ene to give 51% title compound II. The latter compound was active in a radiocompetitive, cytokine-specific binding protein assay (no data).

IT 31183-76-3P, Pyridine-4-carboxaldehyde [2-(methylthio)phenyl]imine
 42182-68-3P, N-(4-Pyridinylmethyl)-N-methylformamide
 56752-29-5P, Pyridine-4-carboxaldehyde (2-propenyl)imine
 63875-01-4P, 4-Formyl-2-methylpyridine 80863-24-7P,
 Pyridine-4-carboxaldehyde tert-butylimine 93138-82-0P,
 Pyridine-4-carboxaldehyde (2,2-diethoxyethyl)imine 165806-86-0P,
 Pyridine-4-carboxaldehyde [4-Morpholinylprop-3-yl]imine
 165806-87-1P, Pyridine-4-carboxaldehyde (3-Chloropropyl)imine
 165806-88-2P, Pyridine-4-carboxaldehyde [2-(4-morpholinyl)ethyl]imine 165806-91-7P, Pyridine-4-carboxaldehyde
 [3-(N-methyl-N-benzylamino)propyl]imine 165806-92-8P,
 Pyridine-4-carboxaldehyde [4-(methylthio)phenyl]imine 165806-93-9P
 , Pyridine-4-carboxaldehyde [3-(methylthio)phenyl]imine
 165806-96-2P, Pyridine-4-carboxaldehyde [4-(4-morpholinyl)butyl]imine 165806-97-3P, Pyridine-4-carboxaldehyde
 cyclopropylimine 165806-98-4P, Pyridine-4-carboxaldehyde
 isopropylimine 165806-99-5P, Pyridine-4-carboxaldehyde
 (cyclopropylmethyl)imine 165807-00-1P, 2-Chloropyridine-4-carboxaldehyde
 [3-(4-morpholinyl)propyl]imine 165807-01-2P,
 4-(4-Fluorophenyl)-1-[3-(4-morpholinyl)propyl]-5-(2-hydrazinyl-4-pyridinyl)imidazole 165807-03-4P, Pyridine-4-carboxaldehyde
 [2-(methoxycarbonyl)ethyl]imine 165807-04-5P,
 Pyridine-4-carboxaldehyde (1-benzylpiperidin-4-yl)imine
 165807-14-7P, Quinoline-4-carboxaldehyde [3-(4-morpholinyl)propyl]imine 165807-16-9P, 2-Methylpyridine-4-carboxaldehyde (cyclopropylmethyl)imine 187217-89-6P,
 Pyridine-4-carboxaldehyde [3-(methoxycarbonyl)propyl]imine
 197446-73-4P, 2-Methylpyridine-4-carboxaldehyde
 [3-(4-morpholinyl)propyl]imine
 RL: RCT (Reactant); SPN (Synthetic preparation);
 PREP (Preparation); RACT (Reactant or reagent)
 (intermediate; preparation of pyridylimidazoles and analogs as cytokine inhibitors)

IT 152122-36-6P, 1-Methyl-4-phenyl-5-(4-pyridyl)imidazole
 162581-10-4P, 4-(4-Fluorophenyl)-2-(4-hydroxyphenyl-3,5-t₂)-5-(4-pyridyl)imidazole 165806-09-7P, 1-[3-(4-Morpholinyl)propyl]-4-(4-

fluorophenyl)-5-(4-pyridyl)imidazole 165806-10-0P,
1-(3-Chloropropyl)-4-(4-fluorophenyl)-5-(4-pyridyl)imidazole
165806-11-1P, 1-(3-Azidopropyl)-4-(4-fluorophenyl)-5-(4-
pyridyl)imidazole 165806-12-2P, 1-(3-Aminopropyl)-4-(4-
fluorophenyl)-5-(4-pyridyl)imidazole 165806-13-3P,
1-(3-Methanesulfonamidopropyl)-4-(4-fluorophenyl)-5-(4-pyridyl)imidazole
165806-14-4P, 1-[3-[N-(Phenylmethyl)amino]propyl]-4-(4-
fluorophenyl)-5-(4-pyridyl)imidazole 165806-15-5P,
1-[3-[N-(Phenylmethyl)-N-methylamino]propyl]-4-(4-fluorophenyl)-5-(4-
pyridyl)imidazole 165806-16-6P, 1-[3-(1-Pyrrolidinyl)propyl]-4-
(4-fluorophenyl)-5-(4-pyridyl)imidazole 165806-17-7P,
1-[3-(Diethylamino)propyl]-4-(4-fluorophenyl)-5-(4-pyridyl)imidazole
165806-18-8P, 1-[3-(1-Piperidinyl)propyl]-4-(4-fluorophenyl)-5-(4-
pyridyl)imidazole 165806-19-9P, 1-[3-(Methylthio)propyl]-4-(4-
fluorophenyl)-5-(4-pyridyl)imidazole 165806-20-2P,
1-[2-(4-Morpholinyl)ethyl]-4-(4-fluorophenyl)-5-(4-pyridyl)imidazole
165806-21-3P, 1-[3-(4-Morpholinyl)propyl]-4-[3-(methylthio)phenyl]-
5-(4-pyridyl)imidazole 165806-22-4P, 1-[3-(4-Morpholinyl)propyl]-
4-[3-(methylsulfinyl)phenyl]-5-(4-pyridyl)imidazole 165806-23-5P
, 1-[3-(N-Methyl-N-benzylamino)propyl]-4-[3-(methylthio)phenyl]-5-(4-
pyridyl)imidazole 165806-24-6P, 1-[3-(N-Methyl-N-
benzylamino)propyl]-4-[3-(methylsulfinyl)phenyl]-5-(4-pyridyl)imidazole
165806-25-7P, 1-[4-(Methylthio)phenyl]-4-(4-fluorophenyl)-5-(4-
pyridyl)imidazole 165806-26-8P, 1-[4-(Methylsulfinyl)phenyl]-4-
(4-fluorophenyl)-5-(4-pyridyl)imidazole 165806-27-9P,
1-[3-(Methylthio)phenyl]-4-(4-fluorophenyl)-5-(4-pyridyl)imidazole
165806-28-0P, 1-[3-(Methylsulfinyl)phenyl]-4-(4-fluorophenyl)-5-(4-
pyridyl)imidazole 165806-29-1P, 1-[2-(Methylthio)phenyl]-4-(4-
fluorophenyl)-5-(4-pyridyl)imidazole 165806-30-4P,
1-[2-(Methylsulfinyl)phenyl]-4-(4-fluorophenyl)-5-(4-pyridyl)imidazole
165806-32-6P, 1-Cyclopropyl-4-(4-fluorophenyl)-5-(4-
pyridyl)imidazole 165806-33-7P, 1-Isopropyl-4-(4-fluorophenyl)-5-
(4-pyridyl)imidazole 165806-34-8P, 1-(Cyclopropylmethyl)-4-(4-
fluorophenyl)-5-(4-pyridyl)imidazole 165806-35-9P,
1-tert-Butyl-4-(4-fluorophenyl)-5-(4-pyridyl)imidazole
165806-36-0P, 1-(2,2-Diethoxyethyl)-4-(4-fluorophenyl)-5-(4-
pyridyl)imidazole 165806-37-1P, 1-(Formylmethyl)-4-(4-
fluorophenyl)-5-(4-pyridyl)imidazole 165806-38-2P,
1-[(Hydroxyiminyl)methyl]-4-(4-fluorophenyl)-5-(4-pyridyl)imidazole
165806-39-3P, 1-(Cyanomethyl)-4-(4-fluorophenyl)-5-(4-
pyridyl)imidazole 165806-40-6P, 1-[3-(4-Morpholinyl)propyl]-4-(4-
fluorophenyl)-5-(2-methylpyrid-4-yl)imidazole 165806-41-7P,
4-(4-Fluorophenyl)-1-[3-(4-morpholinyl)propyl]-5-(2-chloropyridin-4-
yl)imidazole 165806-42-8P, 4-(4-Fluorophenyl)-1-[3-(4-
morpholinyl)propyl]-5-(2-amino-4-pyridinyl)imidazole 165806-43-9P
, 1-[3-(Methoxycarbonyl)propyl]-4-(4-fluorophenyl)-5-(4-pyridyl)imidazole
165806-44-0P, 1-(3-Carboxypropyl)-4-(4-fluorophenyl)-5-(4-
pyridyl)imidazole 165806-46-2P, 1-(2-Carboxyethyl)-4-(4-
fluorophenyl)-5-(4-pyridyl)imidazole 165806-47-3P,
1-(1-Benzylpiperidin-4-yl)-4-(4-fluorophenyl)-5-(4-pyridyl)imidazole
165806-54-2P, 1-Methyl-4-(3-chlorophenyl)-5-(4-pyridinyl)imidazole
165806-55-3P, 1-Methyl-4-[3-(methylthio)phenyl]-5-(4-
pyridyl)imidazole 165806-56-4P, 1-Methyl-4-[3-
(methylsulfinyl)phenyl]-5-(4-pyridyl)imidazole 165806-57-5P,
4-(4-Fluorophenyl)-1-[3-(methylsulfinyl)propyl]-5-(4-pyridinyl)imidazole
165806-58-6P, 4-(4-Fluorophenyl)-1-[3-(methylsulfonyl)propyl]-5-(4-
pyridinyl)imidazole 165806-59-7P, 1-(3-Phenoxypropyl)-4-(4-
fluorophenyl)-5-(4-pyridinyl)imidazole 165806-60-0P,
1-[3-(Phenylthio)propyl]-4-(4-fluorophenyl)-5-(4-pyridinyl)imidazole
165806-61-1P, 1-[3-(4-Morpholinyl)propyl]-4-(4-fluorophenyl)-5-(4-
quinolyl)imidazole 165806-62-2P, 1-[3-(Phenylsulfinyl)propyl]-4-
(4-fluorophenyl)-5-(4-pyridinyl)imidazole 165806-63-3P,
1-(3-Ethoxypropyl)-4-(4-fluorophenyl)-5-(4-pyridinyl)imidazole

165806-64-4P, 1-[3-(Phenylsulfonyl)propyl]-4-(4-fluorophenyl)-5-(4-pyridinyl)imidazole 165806-65-5P, 1-[3-(4-Morpholinyl)propyl]-4-(3-chlorophenyl)-5-(4-pyridyl)imidazole 165806-66-6P, 1-[3-(4-Morpholinyl)propyl]-4-(3,4-dichlorophenyl)-5-(4-pyridyl)imidazole 165806-70-2P, (E)-1-(1-Propenyl)-4-(4-fluorophenyl)-5-(4-pyridinyl)imidazole 165806-71-3P, 1-(2-Propenyl)-4-(4-fluorophenyl)-5-(4-pyridinyl)imidazole 165806-73-5P, 1-[3-(4-Morpholinyl)propyl]-5-(4-pyridinyl)-4-[4-(trifluoromethyl)phenyl]imidazole 165806-74-6P, 1-[3-(4-Morpholinyl)propyl]-5-(4-pyridinyl)-4-[3-(trifluoromethyl)phenyl]imidazole 165806-75-7P, 1-(Cyclopropylmethyl)-4-(3,4-dichlorophenyl)-5-(4-pyridinyl)imidazole 165806-76-8P, 1-(Cyclopropylmethyl)-4-[3-(trifluoromethyl)phenyl]-5-(4-pyridinyl)imidazole 165806-77-9P, 1-(Cyclopropylmethyl)-4-(4-fluorophenyl)-5-(2-methylpyrid-4-yl)imidazole 165806-78-0P, 1-[3-(4-Morpholinyl)propyl]-5-(4-pyridinyl)-4-[3,5-bis(trifluoromethyl)phenyl]imidazole 165806-80-4P, 1-(1-Formyl-4-piperidinyl)-4-(4-fluorophenyl)-5-(4-pyridinyl)imidazole 165806-83-7P, 4-(4-Fluorophenyl)-5-(4-pyridyl)-1-(2-acetoxyethyl)imidazole 180869-32-3P, 5-(4-Pyridyl)-4-(4-fluorophenyl)-1-(4-piperidinyl)imidazole 180869-33-4P, 5-(4-Pyridyl)-4-(4-fluorophenyl)-1-[1-(tert-butoxycarbonyl)-4-piperidinyl]imidazole 181630-74-0P, 1-[4-(4-Morpholinyl)butyl]-4-(4-fluorophenyl)-5-(4-pyridyl)imidazole 187217-97-6P, 5-(2-Amino-4-pyrimidinyl)-4-(4-fluorophenyl)-1-(2-carboxy-2,2-dimethylethyl)imidazole lithium salt 197446-72-3P, 1-[2-(Ethoxycarbonyl)ethyl]-4-(4-fluorophenyl)-5-(4-pyridyl)imidazole
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation);
 USES (Uses)

(preparation of pyridylimidazoles and analogs as cytokine inhibitors)

IT 100-47-0, Benzonitrile, reactions 872-85-5,
 Pyridine-4-carboxaldehyde 1822-51-1, 4-Picolyl chloride
 hydrochloride 2214-53-1, 4-Cyano-2-methylpyridine
 4363-93-3, Quinoline-4-carboxaldehyde 101066-61-9,
 2-Chloropyridine-4-carboxaldehyde 152121-39-6,
 2-(3,5-Dibromo-4-hydroxyphenyl)-4-(4-fluorophenyl)-5-(4-pyridyl)imidazole
 181630-93-3, 4-(4-Fluorophenyl)-5-(4-pyridyl)imidazole
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (starting material; preparation of pyridylimidazoles and analogs as cytokine inhibitors)

L146 ANSWER 3 OF 4 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 1996:457795 HCAPLUS

DN 125:119500

TI Conjugated n-fluoropyridinium salt polymers and use thereof

IN Umemoto, Teruo; Adachi, Kenji; Tomizawa, Ginjiro; Ishihara, Sumi;
 Nagayoshi, Masayuki

PA Daikin Industries, Ltd., Japan

SO PCT Int. Appl., 69 pp.

CODEN: PIXXD2

DT Patent

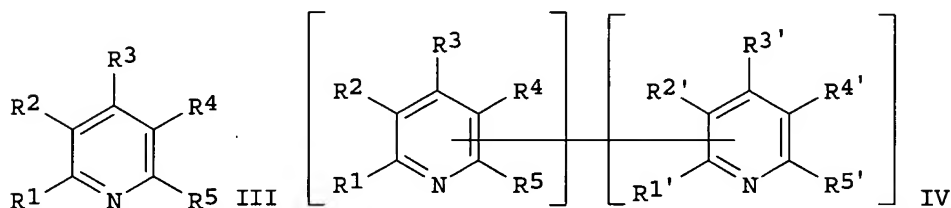
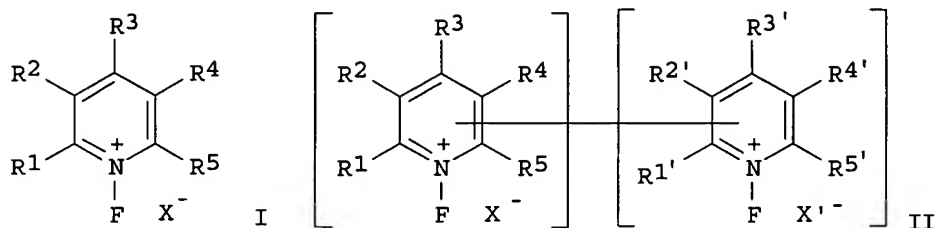
LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9612702	A1	19960502	WO 1995-JP2172	19951020 <--
	W: CA, CN, JP, KR, RU, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2203384	AA	19960502	CA 1995-2203384	19951020 <--
	CA 2203384	C	20010109		
	EP 787719	A1	19970806	EP 1995-934869	19951020 <--

EP 787719 B1 20010816
 R: CH, DE, FR, GB, IT, LI
 CN 1161691 A 19971008 CN 1995-195801 19951020 <--
 CN 1083435 B 20020424
 EP 1006135 A1 20000607 EP 2000-102627 19951020 <--
 EP 1006135 B1 20030212
 R: CH, DE, FR, GB, IT, LI
 RU 2194721 C2 20021220 RU 1997-108071 19951020 <--
 US 5736274 A 19980407 US 1997-817794 19970418 <--
 CN 1277193 A 20001220 CN 2000-103861 20000310 <--
 PRAI JP 1994-282491 A 19941022 <--
 EP 1995-934869 A3 19951020 <--
 WO 1995-JP2172 W 19951020 <--

GI



AB The polymers have repeating units I (neighboring R1 and R2, R2 and R3, R3 and R4, and R4 and R5 may join together to form -CR6:CR7-cR8:CR9-, 2 of the R1-9 are single bonds, the remaining are H, halogen, alkyl, haloalkyl, aryl, alkoxy, aryloxy, alkoxy carbonyl, aryloxy carbonyl, cyano, nitro, or amino group, X- is a conjugated base of a Bronsted acid) or II (neighboring R1 and R2, R2 and R3, R3 and R4, and R4 and R5 may join together to form -CR6:CR7-cR8:CR9-; neighboring R1' and R2', R2' and R3', R3' and R4', and R4' and R5' may join together to form -CR6':CR7'-cR8':CR9'-; 1 of the R1-9 and 1 of R1'-9' are single bonds; the remaining are H, halogen, alkyl, haloalkyl, aryl, alkoxy, aryloxy, alkoxy carbonyl, aryloxy carbonyl, cyano, nitro, or amino group; X- and X'- are conjugated bases of Bronsted acids). Preferably, the polymers have number average mol. weight $\leq 500,000$. The polymers are prepared by using I or II prepared by reacting III or IV with F in the presence of an acid and/or a salt in a mixed solvent contg C2-5 aliphatic nitrile and C1-5 aliphatic carboxylic acid. The polymers are useful as cathode active mass and/or electrolytes for batteries and as fluorination agents.

IT 14283-07-9, Lithium fluoroborate

RL: MOA (Modifier or additive use); USES (Uses)

(additives in cathodes from conjugated n-fluoropyridinium salt polymers for batteries)

IT 178439-26-4P 178439-28-6P 179108-15-7P
 179108-16-8P 179108-17-9P 179108-18-0P
 179108-20-4P 179108-22-6P 179108-24-8P

179108-26-0P 179108-28-2P 179108-30-6P

RL: DEV (Device component use); SPN (Synthetic preparation); TEM
(Technical or engineered material use); PREP (Preparation); USES
(Uses)

(manufacture of conjugated N-fluoropyridinium salt polymers for batteries
and fluorinating agents)

IT 75-05-8, Acetonitrile, reactions

RL: RCT (Reactant); RACT (Reactant or reagent)

(solvents in manufacture of conjugated n-fluoropyridinium salt polymers for
batteries and fluorinating agents)

IT 366-18-7, 2,2'-Bipyridyl 553-26-4, 4,4'-Bipyridyl
581-47-5, 2,4'-Bipyridyl 1134-35-6, 4,4'-Dimethyl-2,2'-
bipyridyl 1148-79-4, 2,2':6',2''-Terpyridine 1762-41-0
, 4,4'-Dichloro-2,2'-bipyridyl 6153-92-0 71071-46-0
142946-80-3

RL: RCT (Reactant); RACT (Reactant or reagent)

(starting substance in manufacture of conjugated n-fluoropyridinium salt
polymers for batteries and fluorinating agents)

L146 ANSWER 4 OF 4 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 1988:570193 HCAPLUS

DN 109:170193

TI Directed lithiation of 4-halopyridines: chemoselectivity,
regioselectivity and application to synthesis

AU Marsais, F.; Trecourt, F.; Breant, P.; Queguiner, G.

CS Lab. Chim. Org. Fine Heterocycl., IRCOF, Mont Saint Aignan, Fr.

SO Journal of Heterocyclic Chemistry (1988), 25(1), 81-7

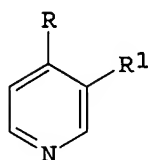
CODEN: JHTCAD; ISSN: 0022-152X

DT Journal

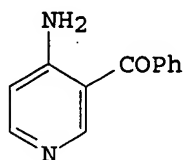
LA English

OS CASREACT 109:170193

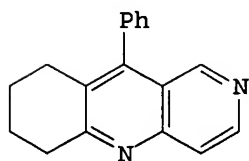
GI



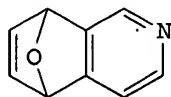
I



II



III



IV

AB The title pyridines I (R = Cl, F, R1 = H) were ortho-lithiated with
BuLi-N,N,N',N'-tetramethylethylenediamine or LiN(CHMe2)2 and treated with
electrophiles, e.g. MeI, Me3SiCl, and PhCHO, to give 3,4-disubstituted
pyridines I [R = Cl, F, R1 = Me, Me3Si, Ph(OH)CH], resp. Oxidation of I [R =
F, R1 = Ph(HO)CH] with MnO2 followed by ammonolysis with NH3-EtOH gave
ketone II. Annulation of II with cyclohexanone gave 1,6-naphthyridine
III. Lithiation of I (R = F, R1 = H) at low temperature followed by warming
gave 3,4-pyridyne which was trapped by cycloaddn. with furan to give
adduct IV.

IT 116922-60-2

RL: RCT (Reactant); RACT (Reactant or reagent)

(bromine-lithium exchange and reaction of, with pentanone)

IT 116922-68-0P

- RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and chlorination of)
- IT 82257-15-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and condensation reaction of, with malonic acid)
- IT 116922-74-8P 116922-78-2P 116922-79-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and cyclization of, by pyridinium chloride)
- IT 3810-12-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and cyclocondensation reaction of, with cyclohexanone, naphthyridine derivative from)
- IT 109574-95-0P 116922-65-7P 116922-69-1P 116922-70-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and oxidation of, by manganese dioxide)
- IT 116922-83-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and substitution reaction of, with imidazoles)
- IT 116922-72-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and substitution reactions of, with ammonia and methylamine)
- IT 1681-36-3P 40273-51-6P 40273-57-2P 40273-60-7P 77332-85-5P 90006-87-4P 116922-61-3P 116922-62-4P 116922-63-5P 116922-64-6P 116922-66-8P 116922-67-9P 116922-71-5P 116922-73-7P 116922-75-9P 116922-76-0P 116922-77-1P 116922-81-7P 116922-82-8P 116922-84-0P 116922-85-1P 116946-42-0P
RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)
- IT 13534-98-0P, 4-Amino-3-bromopyridine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation, diazotization, and fluorination of)
- IT 109575-05-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation, hydroxylation, and methoxylation of)
- IT 114077-82-6P
RL: SPN (Synthetic preparation); PREP (Preparation) (preparation, hydroxylation, methoxylation, or cyclocondensation reaction of, with Et acetoacetate and ammonia)
- IT 626-61-9P, 4-Chloropyridine 694-52-0P, 4-Fluoropyridine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation, regioselective lithiation, and reactions of, with electrophiles)
- IT 75-21-8, Ethylene oxide, reactions
RL: RCT (Reactant); RACT (Reactant or reagent) (reaction of, with lithiated chloropyridine)
- IT 1678-49-5
RL: RCT (Reactant); RACT (Reactant or reagent) (substitution reaction of, with ammonia)

=> d his

(FILE 'HOME' ENTERED AT 13:18:42 ON 21 APR 2005)
SET COST OFF

FILE 'CASREACT' ENTERED AT 13:18:53 ON 21 APR 2005
ACT ZINNA677/A

L1 STR
L2 5208 SEA FILE=CASREACT SSS FUL L1 (62854 REACTIONS)

ACT ZINNA677A/A

L3 STR
L4 STR
L5 (5208)SEA FILE=CASREACT SSS FUL L3 (62854 REACTIONS)
L6 2389 SEA FILE=CASREACT SUB=L5 SSS FUL L4 (24843 REACTIONS)

FILE 'REGISTRY' ENTERED AT 13:19:44 ON 21 APR 2005

FILE 'CASREACT' ENTERED AT 13:19:51 ON 21 APR 2005
SET SMARTSELECT ON
SET SMARTSELECT OFF

FILE 'REGISTRY' ENTERED AT 13:19:52 ON 21 APR 2005

FILE 'CASREACT' ENTERED AT 13:19:58 ON 21 APR 2005
SET SMARTSELECT ON
SET SMARTSELECT OFF

FILE 'REGISTRY' ENTERED AT 13:20:00 ON 21 APR 2005

FILE 'CASREACT' ENTERED AT 13:20:11 ON 21 APR 2005
SET SMARTSELECT ON
SET SMARTSELECT OFF

FILE 'REGISTRY' ENTERED AT 13:20:13 ON 21 APR 2005

FILE 'CASREACT' ENTERED AT 13:21:06 ON 21 APR 2005
SET SMARTSELECT ON
SET SMARTSELECT OFF

FILE 'REGISTRY' ENTERED AT 13:39:37 ON 21 APR 2005
E LI/ELS

L7 103105 S E3
L8 62839 S L7 NOT (TIS OR AYS OR MXS OR MNS OR PMS)/CI
L9 62781 S L8 NOT SQL/FA
L10 22539 S L9 AND 1/NC
L11 10103 S L10 NOT CCS/CI
L12 40242 S L9 NOT L10
L13 29499 S L12 NOT CCS/CI

FILE 'CASREACT' ENTERED AT 13:42:20 ON 21 APR 2005

L14 1134 S L11 AND L2
L15 356 S L13 AND L2

FILE 'REGISTRY' ENTERED AT 13:42:40 ON 21 APR 2005

L16 63503 S L7 NOT L11,L13
L17 212 S L16 NOT (TIS OR AYS OR MNS OR PMS OR CCS)/CI
L18 63291 S L16 NOT L17
L19 2346 S L18 AND PMS/CI

L20 60945 S L18 NOT L19

FILE 'HCAPLUS' ENTERED AT 13:43:35 ON 21 APR 2005

FILE 'CASREACT' ENTERED AT 13:43:53 ON 21 APR 2005

L21 0 S L17 AND L2

L22 0 S L19 AND L2

FILE 'REGISTRY' ENTERED AT 13:44:12 ON 21 APR 2005

L23 23198 S L20 AND CCS/CI

L24 37754 S L20 AND (TIS OR AYS OR MNS)/CI

FILE 'CASREACT' ENTERED AT 13:44:34 ON 21 APR 2005

L25 246 S L23 AND L2

FILE 'REGISTRY' ENTERED AT 13:44:56 ON 21 APR 2005

L26 30493 S L24 AND TIS/CI

L27 7261 S L24 NOT L26

FILE 'CASREACT' ENTERED AT 13:45:08 ON 21 APR 2005

L28 0 S L27 AND L2

FILE 'REGISTRY' ENTERED AT 13:45:20 ON 21 APR 2005

L29 30493 S L26 OR L26

L30 15000 S L29 RAN=(208717-06-0,)

L31 15493 S L29 RAN=(,208717-05-9)

FILE 'CASREACT' ENTERED AT 13:45:57 ON 21 APR 2005

L32 0 S L30 AND L2

L33 0 S L31 AND L2

L34 1397 S L14 OR L15 OR L25

L35 987 S L34 AND L6
E CYANATE/CT

L36 0 S E4 AND L35

L37 3 S E5 AND L35

L38 1 S E6 AND L35

L39 0 S E7 AND L35
E CYAN/CW

L40 3 S E3-E24 AND L35

L41 3 S L37,L38,L40
E CYAN/FG.RCT

L42 2 S E5 AND L35
E CYAN/FG.RGT

L43 0 S E5 AND L35
E CYAN/FG.RXN

L44 2 S E5 AND L35

L45 5 S L41,L42,L44

L46 65 S L35 AND ELECTROPHIL?

L47 2 S L46 AND OXIRAN?

L48 5 S L46 AND ?CYAN?

L49 6 S L47,L48

L50 6 S L49 AND L2

L51 2 S L34 AND (MEUDT A? OR ERBES M? OR FORSTINGER K?)/AU

L52 3 S L2 AND (MEUDT A? OR ERBES M? OR FORSTINGER K?)/AU

L53 2 S L52 AND L34

FILE 'REGISTRY' ENTERED AT 13:54:52 ON 21 APR 2005

E OC2/ES

L54 188185 S E3

L55 82477 S L54 AND 1/NC

L56 20855 S L55 AND 1/NR

FILE 'CASREACT' ENTERED AT 13:55:53 ON 21 APR 2005

L57 20 S L56 AND L35
L58 20 S L6 AND L57
L59 STR L4
L60 STR L59
L61 13 S L59 SAM SUB=L2
L62 242 S L59 FUL SUB=L2
L63 27 S L60 FUL SUB=L2
SAV L62 ZINNA677B/A
SAV L63 ZINNA677C/A
L64 113 S L62,L63 AND L34
L65 79 S L64 AND (PY<=2001 OR PRY<=2001 OR AY<=2001)
L66 79 S L65 AND 1/NS
L67 1 S L51,L52,L53 AND L66
L68 STR L59
L69 243 S L68 FUL SUB=L2
L70 174 S L6 AND L69
L71 89 S L70 AND L35

FILE 'HCAPLUS' ENTERED AT 14:02:41 ON 21 APR 2005

FILE 'REGISTRY' ENTERED AT 14:02:58 ON 21 APR 2005

L72 STR
L73 50 S L72
L74 STR
L75 34 S L74 CSS SAM
L76 SCR 1243
L77 37 S L74 AND L76 CSS SAM
L78 SCR 2127
L79 12 S L74 AND L76 NOT L78 CSS SAM
L80 SCR 2039 OR 2127 OR 2050 OR 2049 OR 2048 OR 2053 OR 2052 OR 205
L81 3 S L74 AND L76 NOT L80 CSS SAM
L82 STR L74
L83 3 S L82 AND L74 AND L76 NOT L80 CSS SAM
L84 STR L82
L85 SCR 1993 OR 2004 OR 2021 OR 2026
L86 50 S L84 AND L74 AND L76 NOT (L80 OR L85) CSS SAM
L87 50 S L84 AND L74 AND L76 NOT L85 CSS SAM
L88 2691 S L84 AND L74 AND L76 NOT (L85 OR L80) CSS FUL
SAV L88 ZINNA677D/A TEMP
L89 STR
L90 4 S L89
L91 STR L89
E OC2/ES
L92 188185 S E3
L93 50 S L91 SAM SUB=L92
L94 1 S OXIRANE/CN
L95 334 S L92 AND C4H8O2
L96 51 S L95 AND 1/NC
L97 5 S L96 AND OXIRANEETHANOL
L98 3 S L97 NOT (PMS/CI OR 180)

FILE 'HCAPLUS' ENTERED AT 14:12:13 ON 21 APR 2005

L99 78142 S L88
L100 19623 S L94,L98
L101 97106 S L99,L100
L102 2643 S L11 AND L101
L103 2165 S L13 AND L101
L104 2 S L17 AND L101
L105 61 S L19 AND L101
L106 908 S L23 AND L101
L107 52 S L27 AND L101
L108 67 S L30 AND L101
L109 161 S L31 AND L101

L110 3719 S LITHIUM AND L101
L111 1563 S LI AND L101
L112 5353 S L102-L111
L113 721 S L112 AND ?PYRID?
L114 665 S L112 AND HET?/SC,SX
L115 1191 S L113,L114
L116 4162 S L112 NOT L115

FILE 'REGISTRY' ENTERED AT 14:16:04 ON 21 APR 2005

FILE 'HCAPLUS' ENTERED AT 14:16:04 ON 21 APR 2005
SET SMARTSELECT ON

L117 SEL L115 1- RN : 50611 TERMS
SET SMARTSELECT OFF

FILE 'REGISTRY' ENTERED AT 14:16:30 ON 21 APR 2005

FILE 'HCAPLUS' ENTERED AT 14:16:56 ON 21 APR 2005

L118 4679 S L112 AND (PY<=2001 OR PRY<=2001 OR AY<=2001)
L119 562 S L118 AND L113
L120 547 S L118 AND L114
L121 3710 S L118 AND L116

FILE 'REGISTRY' ENTERED AT 14:17:59 ON 21 APR 2005

FILE 'HCAPLUS' ENTERED AT 14:17:59 ON 21 APR 2005
SET SMARTSELECT ON

L122 SEL L119 1- RN : 31041 TERMS
SET SMARTSELECT OFF

FILE 'REGISTRY' ENTERED AT 14:18:25 ON 21 APR 2005

L123 31040 S L122

FILE 'HCAPLUS' ENTERED AT 14:20:32 ON 21 APR 2005
SET SMARTSELECT ON

L124 SEL L120 1- RN : 32007 TERMS
SET SMARTSELECT OFF

FILE 'REGISTRY' ENTERED AT 14:20:51 ON 21 APR 2005

L125 32007 S L124

FILE 'HCAPLUS' ENTERED AT 14:23:12 ON 21 APR 2005
SET SMARTSELECT ON

L126 SEL L121 1- RN : 43471 TERMS
SET SMARTSELECT OFF

FILE 'REGISTRY' ENTERED AT 14:24:48 ON 21 APR 2005

L127 43471 S L126
L128 81702 S L123,L125,L127
L129 50 S L72 SAM SUB=L128
L130 3556 S L72 FUL SUB=L128
SAV L130 TEMP ZINNA677E/A
L131 STR L72
L132 618 S L131 FUL SUB=L130
SAV L132 ZINNA677F/A
L133 2938 S L130 NOT L132
SAV L133 ZINNA677G/A

FILE 'HCAPLUS' ENTERED AT 14:28:53 ON 21 APR 2005

L134 78 S L132 AND L133 AND L118
L135 64 S L132 (L) RACT+NT/RL AND L134
L136 61 S L133 (L) PREP+NT/RL AND L135
L137 52 S L136 AND L88 (L) RACT+NT/RL

L138 0 S L136 AND L88 (L) CAT+NT/RL
L139 7 S L136 AND (L94 OR L98) (L) (RACT+NT OR CAT)/RL
L140 57 S L137,L139
L141 40 S L140 AND (L11 OR L13 OR L17 OR L19 OR L23 OR L27 OR L30 OR L3
L142 0 S L140 AND (L11 OR L13 OR L17 OR L19 OR L23 OR L27 OR L30 OR L3
L143 28 S L141 AND HET?/SC,SX

FILE 'REGISTRY' ENTERED AT 14:36:00 ON 21 APR 2005

FILE 'HCAPLUS' ENTERED AT 14:37:10 ON 21 APR 2005

L144 12 S L141 NOT L143
L145 17 S L140 NOT L141,L143,L144
SEL DN AN 2 6 9 11
L146 4 S L145 AND E1-E12

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